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(71) Applicant: NIHON NOHYAKU CO., LTD.  
1-2-5, Nihonbashi  
Chuo-ku Tokyo(JP)

(72) Inventor: Hamaguchi, Hiroshi Rose Manshon Fujinomori  
A-804  
10-1, Fukakusa-Hottacho  
Fushimi-ku Kyoto(JP)

(72) Inventor: Takaishi, Hideo  
7-20, Nigawa-Yurinocho  
Nishinomiya-shi(JP)

(72) Inventor: Ohshima, Tetsuji  
7-20, Nigawa-Yurinocho  
Nishinomiya-shi(JP)

(72) Inventor: Konno, Takamichi  
3121 A, Alleen Dr.  
Raleigh NC 27606(US)

(72) Inventor: Miyagi, Yukio  
7-23-816, Nankonaka-4-chome  
Suminoe-ku Osaka(JP)

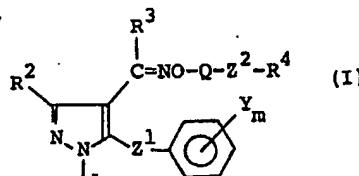
(72) Inventor: Shiraiwa, Yutaka  
521-3, Kusabe  
Saikai-shi(JP)

(72) Inventor: Akita, Takayuki  
2038-29, Murakami  
Yachiyo-shi(JP)

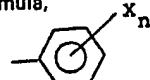
(74) Representative: Patentanwälte Grünecker, Kinkeldey,  
Stockmair & Partner  
Maximilianstrasse 58  
D-8000 München 22(DE)

(54) A pyrazole oxime derivative and its production and use.

(55) A pyrazole oxime derivative represented by the general formula (I) which is useful as an insecticide, miticide and fungicide,

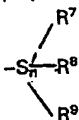


wherein R<sup>1</sup> represents C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl; R<sup>2</sup> represents hydrogen, C<sub>1</sub>-C<sub>5</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl or phenyl; R<sup>3</sup> represents hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl; R<sup>4</sup> represents hydrogen, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, benzoyl, naphthyl or a substituent of the formula,



[in which X represents hydrogen; halogen; C<sub>1</sub>-C<sub>12</sub> alkyl; C<sub>1</sub>-C<sub>8</sub> alkyl substituted with halogen, cyano, hydroxy, C<sub>1</sub>-C<sub>8</sub> alkoxy or C<sub>2</sub>-C<sub>8</sub> alkoxy carbonyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl; cycloalkyl substituted with from one to three members selected from the group

consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, halogen and cyano; C<sub>2</sub>-C<sub>4</sub> alkenyl substituted with halogen, hydroxy, C<sub>2</sub>-C<sub>4</sub> alkoxy carbonyl or C<sub>2</sub>-C<sub>6</sub> alkyl carbonyl; phenyl; hydroxy; C<sub>1</sub>-C<sub>6</sub> alkoxy; C<sub>1</sub>-C<sub>4</sub> alkoxy substituted with halogen or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl; phenoxy which may or may not be substituted with C<sub>1</sub>-C<sub>3</sub> haloalkyl benzyloxy; C<sub>1</sub>-C<sub>3</sub> alkylene dioxy formed by two adjacent Xs; pyridyloxy which may or may not be substituted with halogen or C<sub>1</sub>-C<sub>3</sub> haloalkyl; a substituent of the formula, -S(O)<sub>p</sub>R<sup>5</sup> (in which R<sup>5</sup> represents C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> haloalkyl or phenyl, and p represents an integer of 0, 1 or 2); cyano; formyl; nitro; a substituent of the formula -COOR<sup>6</sup> (in which R<sup>6</sup> represents hydrogen; alkali metal; C<sub>1</sub>-C<sub>10</sub> alkyl; C<sub>1</sub>-C<sub>6</sub> alkyl substituted with halogen, C<sub>1</sub>-C<sub>4</sub> alkoxy, phenoxy, C<sub>2</sub>-C<sub>4</sub> alkoxy carbonyl or phenoxyphenyl; C<sub>2</sub>-C<sub>7</sub> alkenyl; C<sub>3</sub>-C<sub>7</sub> alkynyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl substituted with C<sub>1</sub>-C<sub>3</sub> alkyl; phenyl; or a substituent of the formula,

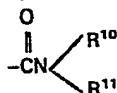


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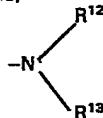
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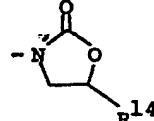
(in which R<sup>7</sup>, R<sup>8</sup> and R<sup>9</sup>, which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>3</sub>-C<sub>8</sub> cycloalkyl); C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl substituted with cyano or C<sub>2</sub>-C<sub>6</sub> alkoxy; C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl substituted with cyano or C<sub>2</sub>-C<sub>6</sub> alkoxy; C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>3</sub> alkyleneoxy, phenoxy which may or may not be substituted with trifluoromethyl, a substituent of the formula, -S(O)<sub>n</sub>R<sup>27</sup> (in which R<sup>27</sup> represents C<sub>1</sub>-C<sub>3</sub> alkyl and q represents an integer of 0, 1 or 2), hydroxycarbonyl, C<sub>2</sub>-C<sub>5</sub> alkoxy carbonylcarbonyl; benzoyl which may or may not be substituted with halogen or C<sub>1</sub>-C<sub>4</sub> alkyl; C<sub>2</sub>-C<sub>6</sub> alkylthiocarbonyl; C<sub>3</sub>-C<sub>7</sub> alkoxycarbonylcarbonyl; a substituent of the formula,



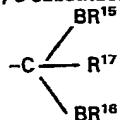
(in which R<sup>10</sup> and R<sup>11</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl or phenyl); piperidino carbonyl; morpholinocarbonyl which may or may not be substituted with one or two C<sub>1</sub>-C<sub>4</sub> alkyls; a substituent of the formula,



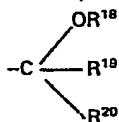
(in which R<sup>12</sup> represents hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl, and R<sup>13</sup> represents formyl, C<sub>2</sub>-C<sub>12</sub> alkoxy carbonyl, or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl substituted with halogen or C<sub>1</sub>-C<sub>4</sub> alkoxy); a substituent of the formula,



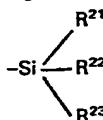
(in which R<sup>14</sup> represents hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>2</sub>-C<sub>6</sub> alkoxy- alkyl); a substituent of the formula,



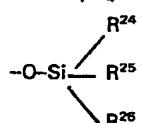
(in which R<sup>15</sup> and R<sup>16</sup>, which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl, or taken together, may form C<sub>1</sub>-C<sub>4</sub> alkylene, R<sup>17</sup> represents C<sub>1</sub>-C<sub>6</sub> alkyl, cyano or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl, and B represents oxygen or sulfur); a substituent of the formula,



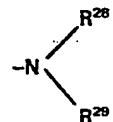
(in which R<sup>18</sup> represents hydrogen or C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, and R<sup>19</sup> and R<sup>20</sup>, which may be the same or different, represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl); a substituent of the formula,



(in which R<sup>21</sup>, R<sup>22</sup> and R<sup>23</sup>, which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl); or a substituent of the formula,



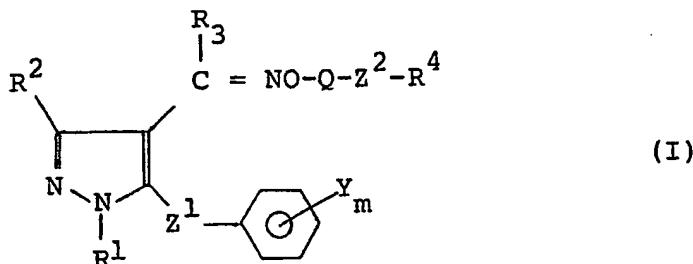
(in which R<sup>24</sup>, R<sup>25</sup> and R<sup>26</sup>, which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl), and n represents an integer of from 1 to 5, and when n represents an integer of from 2 to 5, X may be the same or different]; Y represents hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl,



(in which R<sup>28</sup> and R<sup>29</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl which may or may not be substituted with C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl); Z<sup>1</sup> represents oxygen or sulfur; Z<sup>2</sup> represents oxygen, sulfur or single bond; Q represents C<sub>1</sub>-C<sub>6</sub> alkylene, C<sub>1</sub>-C<sub>6</sub> alkylene substituted with halogen or phenyl, C<sub>3</sub>-C<sub>12</sub> alkenylene, C<sub>3</sub>-C<sub>12</sub> halo- alkenylene or C<sub>3</sub>-C<sub>6</sub> alkymylene; and m represents an integer of from 1 to 3, and when m represents an integer of 2 or 3, Y may be the same or different; and the method of controlling said pests by using the same oxime derivative.

# A PYRAZOLE OXIME DERIVATIVE AND ITS PRODUCTION AND USE

The present invention relates to a pyrazole oxime derivative, its production and an insecticidal and acaricidal composition containing it as an active ingredient for use in agriculture and horticulture, said pyrazole oxime derivative being represented by the general formula (I),



wherein R<sup>1</sup> represents C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl; R<sup>2</sup> represents hydrogen, C<sub>1</sub>-C<sub>5</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl or phenyl; R<sup>3</sup> represents hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl; R<sup>4</sup> represents hydrogen, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, benzoyl, naphthyl or a substituent of

10 the formula,  [in which X represents hydrogen;

j) halogen; C<sub>1</sub>-C<sub>12</sub> alkyl; C<sub>1</sub>-C<sub>6</sub> alkyl substituted with halogen, cyano, hydroxy, C<sub>1</sub>-C<sub>5</sub> alkoxy or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl; cycloalkyl substituted with from one to three members selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl,

15 halogen and cyano;  $C_2$ - $C_4$  alkenyl substituted with halogen,  
hydroxy,  $C_2$ - $C_4$  alkoxy carbonyl or  $C_2$ - $C_6$  alkyl carbonyl;  
phenyl; hydroxy;  $C_1$ - $C_6$  alkoxy;  $C_1$ - $C_4$  alkoxy substituted

- 2 -

- 1 with halogen or  $C_2-C_6$  alkoxy carbonyl; phenoxy which may or may not be substituted with  $C_1-C_3$  haloalkyl; benzyloxy;  $C_1-C_3$  alkylene dioxy formed by two adjacent Xs; pyridyloxy which may or may not be substituted with halogen or  $C_1-C_3$  haloalkyl; a substituent of the formula,  $-S(O)_pR^5$  (in which  $R^5$  represents  $C_1-C_6$  alkyl,  $C_1-C_5$  haloalkyl or phenyl, and p 5 represents an integer of 0, 1 or 2); cyano; formyl; nitro; a substituent of the formula  $-COOR^6$  (in which  $R^6$  represents hydrogen; alkali metal;  $C_1-C_{10}$  alkyl;  $C_1-C_5$  alkyl substituted with halogen,  $C_1-C_4$  alkoxy, phenoxy,  $C_2-C_4$  alkoxy-carbonyl or phenoxyphenyl;  $C_2-C_7$  alkenyl;  $C_3-C_7$  alkynyl; 10  $C_3-C_8$  cycloalkyl;  $C_3-C_8$  cycloalkyl substituted with  $C_1-C_3$

alkyl; phenyl; or a substituent of the formula,  $-S_n^{R^7} \begin{cases} R^8 \\ R^9 \end{cases}$

- (in which  $R^7$ ,  $R^8$  and  $R^9$ , which may be the same or different, represent  $C_1-C_4$  alkyl or  $C_3-C_8$  cycloalkyl));  $C_2-C_6$  alkylcarbonyl;  $C_2-C_6$  alkylcarbonyl substituted with cyano 15 or  $C_2-C_6$  alkoxy carbonyl; benzoyl which may or may not be substituted with halogen or  $C_1-C_6$  alkyl;  $C_2-C_6$  alkylthiocarbonyl;  $C_3-C_7$  alkoxy carbonyl carbonyl; a substituent of

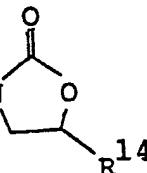
the formula,  $\begin{array}{c} O \\ || \\ -CN \begin{cases} R^{10} \\ R^{11} \end{cases} \end{array}$  (in which  $R^{10}$  and  $R^{11}$ , which may be

- the same or different, represent hydrogen,  $C_1-C_6$  alkyl or 20 phenyl); piperidinocarbonyl; morpholinocarbonyl which may or may not be substituted with one or two  $C_1-C_4$  alkyls; a

- 3 -

1 substituent of the formula,  $-N\begin{array}{c} R^{12} \\ | \\ R^{13} \end{array}$  (in which  $R^{12}$  repre-

sents hydrogen or  $C_1-C_5$  alkyl, and  $R^{13}$  represents formyl,  $C_2-C_{12}$  alkoxy carbonyl, or  $C_2-C_5$  alkoxy carbonyl substituted with halogen or  $C_1-C_4$  alkoxy); a substituent of the for-

5 mula,  (in which  $R^{14}$  represents hydrogen,  $C_1-C_4$

alkyl or  $C_2-C_6$  alkoxy alkyl); a substituent of the formula,

$-C\begin{array}{c} BR^{15} \\ | \\ R^{17} \\ | \\ BR^{16} \end{array}$  (in which  $R^{15}$  and  $R^{16}$ , which may be the same or

different, represent  $C_1-C_4$  alkyl or, taken together, may form  $C_1-C_4$  alkylene,  $R^{17}$  represents  $C_1-C_5$  alkyl, cyano or

10  $C_2-C_6$  alkoxy carbonyl, and B represents oxygen or sulfur); a

substituent of the formula,  $-C\begin{array}{c} OR^{18} \\ | \\ R^{19} \\ | \\ R^{20} \end{array}$  (in which  $R^{18}$  repre-

sents hydrogen or  $C_2-C_4$  alkyl carbonyl, and  $R^{19}$  and  $R^{20}$ ,

which may be the same or different, represent hydrogen or

$C_1-C_6$  alkyl); a substituent of the formula,  $-Si\begin{array}{c} R^{21} \\ | \\ R^{22} \\ | \\ R^{23} \end{array}$  (in

15 which  $R^{21}$ ,  $R^{22}$  and  $R^{23}$ , which may be the same or different, represent  $C_1-C_4$  alkyl); or a substituent of the formula,

$-O-Si\begin{array}{c} R^{24} \\ | \\ R^{25} \\ | \\ R^{26} \end{array}$  (in which  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$ , which may be the

same or different, represent  $C_1-C_4$  alkyl), and n represents

1 an integer of from 1 to 5, and when n represents an integer  
 of from 2 to 5, X may be the same or different]; Y repre-  
 sents hydrogen,  $C_1-C_6$  alkyl,  $C_1-C_4$  haloalkyl, halogen,  
 hydroxy,  $C_1-C_4$  alkoxy,  $C_1-C_4$  haloalkoxy,  $C_1-C_3$  alkylene-  
 5 dioxy, phenoxy which may or may not be substituted with  
 trifluoromethyl, a substituent of the formula,  $-S(O)_q R^{27}$   
 (in which  $R^{27}$  represents  $C_1-C_3$  alkyl and q represents an  
 integer of 0, 1 or 2), hydroxycarbonyl,  $C_2-C_5$  alkoxy-

carbonyl or a substituent of the formula,  $-N \begin{array}{c} R^{28} \\ | \\ R^{29} \end{array}$  (in

10 which  $R^{28}$  and  $R^{29}$ , which may be the same or different,  
 represent hydrogen,  $C_1-C_4$  alkyl, or benzyl which may or may  
 not be substituted with  $C_2-C_6$  alkoxy carbonyl);  $Z^1$  repre-  
 sents oxygen or sulfur;  $Z^2$  represents oxygen, sulfur or  
 single bond; Q represents  $C_1-C_8$  alkylene,  $C_1-C_8$  alkylene  
 15 substituted with halogen or phenyl,  $C_3-C_{12}$  alkenylene,  
 $C_3-C_{12}$  haloalkenylene or  $C_3-C_6$  alkynylene; and m represents  
 an integer of from 1 to 3, and when m represents an integer  
 of 2 or 3, Y may be the same or different.

The terms "alkyl, alkylene, alkenylene and  
 20 alkynylene" as used herein mean straight-chain or branched  
 alkyl, alkylene, alkenylene and alkynylene groups,  
 respectively. The term "halo" means halogen such as  
 fluorine, bromine, chlorine, etc., and the term "haloalkyl"  
 means an alkyl group substituted with one or more halogen  
 25 atoms which may be the same or different.

The compounds represented by the foregoing

1 general formula (I) are novel compounds not described in  
the literatrues. They have excellent insecticidal activity  
against insects belonging to Lepidoptera such as diamond-  
back moth, cabbage armyworm, tobacco cutworm, rice stem  
5 borer, etc., insects belonging to Hemiptera such as brown  
planthoper, green peach aphid, etc. and mites. In  
addition, they have excellent fungicidal activity against  
diseases of vegetables, fruit trees, flowers and ornamental  
plants, etc., such as rice blast, powdery mildew, downy  
10 mildew, crown rust, leaf blight, sheath blight, purple  
stain, etc.

Of the compounds of the present invention, those  
which are particularly useful as an insecticide and  
acaricide will be shown below:

15 Tert-butyl 4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-  
yl)methyleneaminooxymethyl]benzoate

Tert-butyl 4-[(5-(4-fluorophenoxy)-1,3-dimethyl-  
pyrazol-4-yl)-methyleneaminooxymethyl]benzoate

20 Tert-pentyl 4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-  
yl)methyleneaminooxymethyl]benzoate

Cyclohexyl 4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-  
yl)methyleneaminooxymethyl]benzoate

1-Methylcyclohexyl 4-[(1,3-dimethyl-5-phenoxy-  
pyrazol-4-yl)methyleneaminooxymethyl]benzoate

25 2-Chloromethyl-2-propyl 4-[(1,3-dimethyl-5-  
phenoxy)pyrazol-4-yl)methyleneaminooxymethyl]benzoate

Tert-pentyl 4-[(1-methyl-5-phenoxy-3-trifluoro-  
methyl)pyrazol-4-yl)methyleneaminooxymethyl]benzoate

- 1           1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime O-4-tert-butylbenzyl ether  
1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime O-4-(1-cyanocyclopentyl)benzyl ether  
5           1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime O-4-(2,2-dichloro-1-methylcyclopropyl)benzyl ether  
1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime O-4-trimethylsilylbenzyl ether  
1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
10   oxime O-4-(1,1,2,2-tetrafluoroethoxy)benzyl ether  
1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime O-4-tert-butoxybenzyl ether  
1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime O-4-(heptafluoropropylthio)benzyl ether  
15           1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime O-4-(heptafluoropropylsulfinyl)benzyl ether  
1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime O-4-(1,1,2,2-tetrafluoroethylthio)benzyl ether  
N,N-diisopropyl 4-[(1,3-dimethyl-5-phenoxy-  
20   pyrazol-4-yl)methyleneaminoxyethyl]benzamide  
Tert-butyl 4-[(1,3-dimethyl-5-phenoxyprazole-4-  
yl)methyleneaminoxyethyl]phenyl ketone  
2-Isopropyl-2-[4-((1,3-dimethyl-5-phenoxyprazole-  
4-yl)methyleneaminoxyethyl)phenyl]-1,3-dioxolane  
25           2-Isopropyl-2-[4-((1,3-dimethyl-5-phenoxyprazole-  
4-yl)methyleneaminoxyethyl)phenyl]-1,3-dithiolane  
Tert-butyl N-4-[(1,3-dimethyl-5-phenoxyprazole-4-  
yl)methyleneaminoxyethyl]phenyl-N-ethylcarbamate

- 1 1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime O-2-(4-tert-butylphenoxy)ethyl ether

Also, compounds particularly useful as a fungicide will be shown below:

- 5 Isopropyl 4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-yl)methyleneamino]methyl]benzoate

**Isopropyl 4-[{5-(4-fluorophenoxy)-1,3-dimethyl-pyrazol-4-yl}-methyleneaminooxymethyl]benzoate**

#### 1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde

- 10 oxime O-4-(methythio)benzyl ether

#### 1,3-Dimethyl-5-phenoxypraxole-4-carbaldehyde

oxime O-4-(difluoromethylsulfinyl)benzyl ether

**N,N-dimethyl 4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-yl)methyleneamino]oxymethylbenzamide**

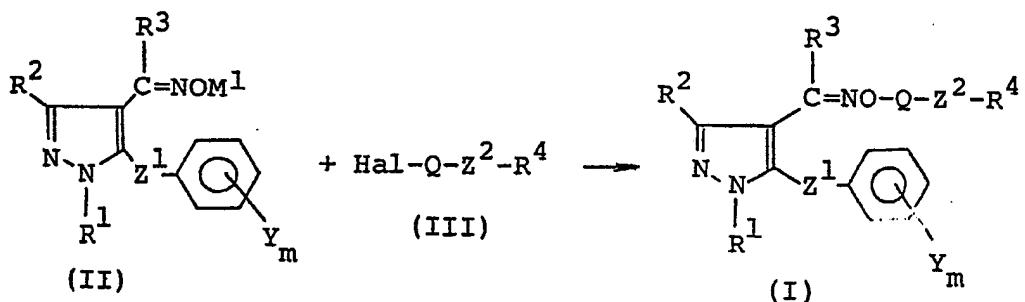
- 15 Methyl N-4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-yl]-  
methylenaminooxymethyl]phenyl-N-ethylcarbamate

5-Ethyl-3-[N'-4-((1,3-dimethyl-5-phenoxy)pyrazol-4-yl)methyleneamino]oxymethyl]phenyl-2-oxazolidone

The compounds represented by the general formula

- 20 (I) can be synthesized, for example, by methods A, B, C and D shown below in chemical formulae.

### **Method A:**



1 wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ , Q, Y,  $Z^1$ ,  $Z^2$ , m and n are as  
defined above, Hal represents a halogen atom and Ml  
represents a hydrogen atom or an alkali metal atom.

The pyrazole oxime derivatives represented by the  
5 general formula (I) can be obtained by reacting a compound  
of the general formula (II) with a compound of the general  
formula (III) in an inert solvent in the presence or  
absence of a base.

Solvents which can be used in the present invention  
10 may be any of those not disturbing the reaction, and  
include for example alcohols (e.g. isopropanol, tert-  
butanol, diethylene glycol), ketones (e.g. acetone, methyl  
ethyl ketone, cyclohexanone), ethers (e.g. diethyl ether,  
diisopropyl ether, tetrahydrofuran, dioxane, monoglyme,  
15 diglyme), halogenated hydrocarbons (e.g. dichloroethane,  
chloroform, carbon tetrachloride, tetrachloroethane),  
aromatic hydrocarbons (e.g. benzene, chlorobenzene,  
nitrobenzene, toluene), nitriles (e.g. acetonitrile),  
dimethyl sulfoxide, dimethylformamide and water. These  
20 solvents can be used alone or in combination. When a two-  
phase reaction is carried out using the solvents in  
combination, phase transfer catalysts such as triethyl-  
benzylammonium chloride, trioctylmethylammonium chloride,  
etc. may be used.

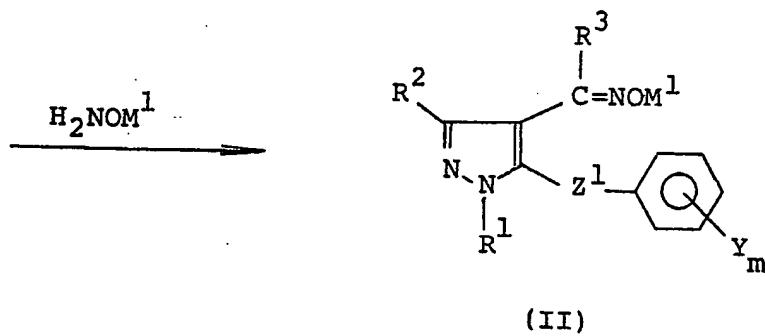
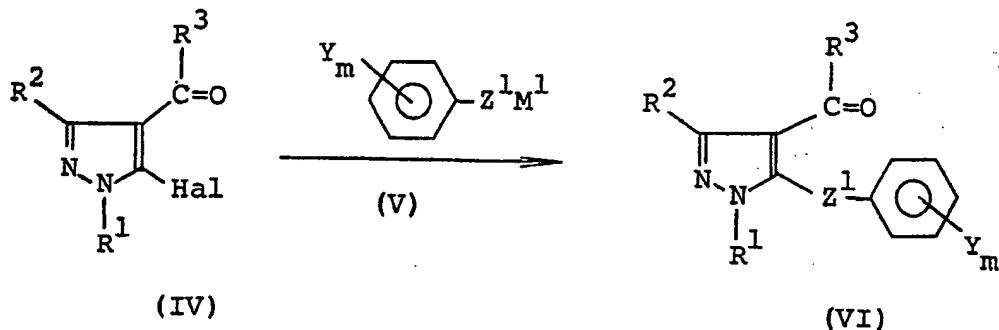
25 For the base, inorganic and organic bases can be  
used. The inorganic bases include for example alkali or  
alkaline earth metal carbonates such as sodium carbonate,  
potassium carbonate, calcium carbonate, sodium

1 hydrogencarbonate, etc., alkali or alkaline earth metal hydroxides such as sodium hydroxide, potassium hydroxide, calcium hydroxide, etc., and alkali metal hydrides such as lithium hydride, sodium hydride, etc.

5 The organic bases include for example diethylamine, triethylamine, pyridine, 4-dimethylaminopyridine, etc.

As to the amount of the base used, it suffices to use an amount equimolar to the compound represented by the  
10 general formula (II), but amounts in excess thereof will do.

The compound of the general formula (II) used in the present invention can be produced, for example, by the method described below:

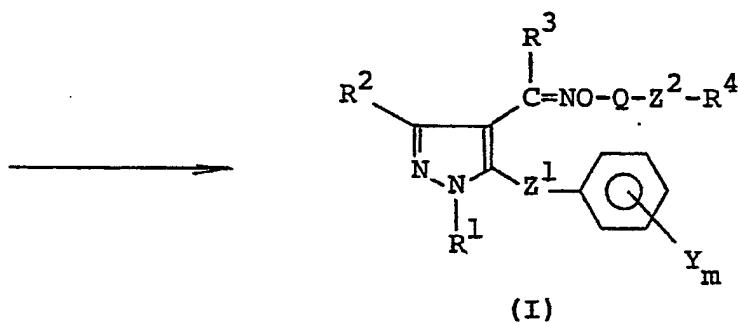
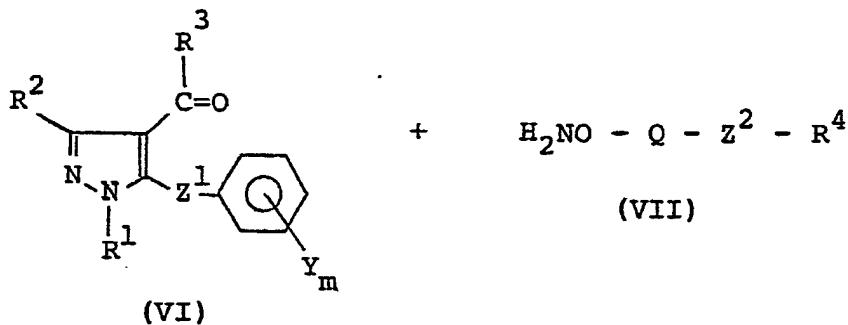


1 wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $Y$ ,  $z^1$ ,  $m$ , Hal and  $M^1$  are as defined above.

That is, the compound of the general formula (II) can be produced by reacting a compound of the general formula (IV) with a compound of the general formula (V) in a suitable solvent and subsequently reacting the resulting compound of the general formula (VI) with hydroxylamine.

Among the compounds represented by the general formula (III), especially when  $Q$  is methylene,  $z^2$  is a single bond and  $R^4$  is a substituted phenyl group, are also some novel compounds, but they can be produced in the same manner as in the case of the known compounds.

Method B:



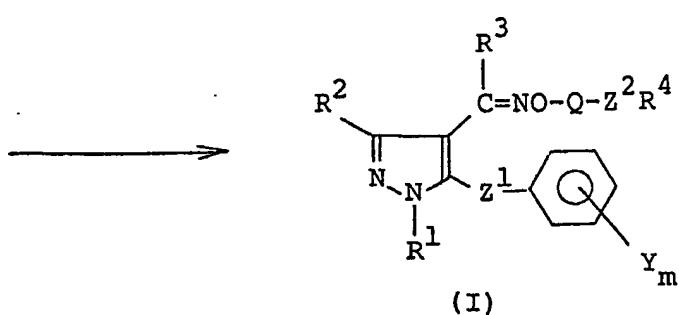
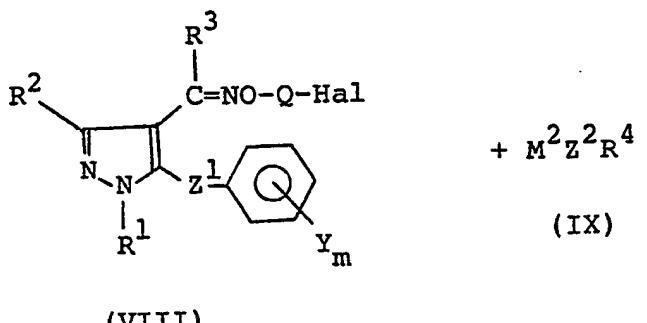
1 wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $Q$ ,  $Y$ ,  $Z^1$ ,  $Z^2$ ,  $m$  and  $n$  are as  
defined above.

The pyrazole oxime derivatives represented by the general formula (I) can be obtained by reacting a compound 5 of the general formula (VI) with a compound of the general formula (VII) in an inert solvent.

For the solvent which can be used in this reaction, there are mentioned the solvents except ketones shown in Method A.

10 The compound represented by the general formula (VII) can be produced according to the well-known method, for example, described in Methoden der Organischen Chemie (Hougen Weyl) Band X/I Stickstoffverbindungen Teil I, p 1192.

### 15 Method C:

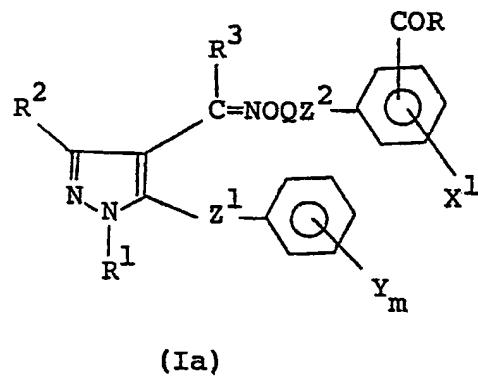
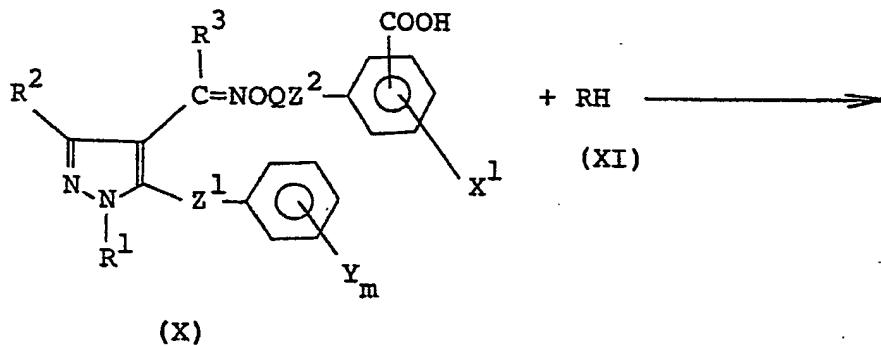


1 wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $Q$ ,  $Y$ ,  $Z^1$ ,  $Z^2$ ,  $m$  and  $n$  are as defined above, and  $M^2$  represents a hydrogen atom or an alkali metal atom.

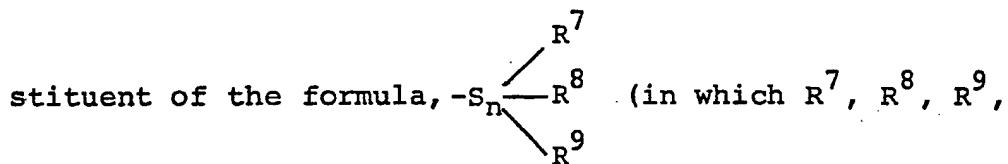
The pyrazole oxime derivatives represented by the 5 general formula (I) can be obtained by reacting a compound of the general formula (VIII) with a compound of the general formula (IX) in an inert solvent in the presence or absence of a base.

For the solvent and base which can be used in 10 this reaction, there are mentioned the solvents and bases shown in Method A.

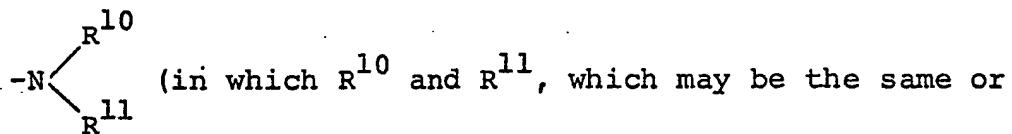
**Method D:**



1 wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $Q$ ,  $Y$ ,  $Z^1$ ,  $Z^2$  and  $m$  are as defined  
 above;  $X^1$  represents hydrogen or  $C_1-C_4$  alkyl; and  $R$   
 represents a substituent of the formula,  $-OW$  {in which  $W$   
 represents alkali metal;  $C_1-C_{10}$  alkyl; alkyl substituted  
 5 with halogen,  $C_1-C_4$  alkoxy, phenoxy,  $C_2-C_4$  alkoxy carbonyl  
 or phenyl;  $C_2-C_7$  alkenyl;  $C_3-C_8$  cycloalkyl;  $C_3-C_8$  cyclo-  
 alkyl substituted with  $C_1-C_3$  alkyl; phenyl; or a sub-



which may be the same or different, represent  $C_1-C_4$   
 10 alkyl or  $C_3-C_8$  cycloalkyl}), a substituent of the formula,



different, represent hydrogen,  $C_1-C_6$  alkyl or phenyl);  
 piperidino; morpholino which may or may not be sub-  
 stituted with one or two  $C_1-C_4$  alkyls; or  $C_2-C_6$  alkyl-

15 thio.

That is, the pyrazole oxime derivatives  
 represented by the general formula (Ia) can be obtained  
 by reacting a compound of the general formula (X) with  
 a compound of the general formula (XI) in an inert  
 20 solvent in the presence of a dehydrating agent. The  
 compound (X) may be reacted with the compound (XI) after  
 converting it to acid chloride.

Solvents which can be used in this reaction  
 may be any of those not disturbing the reaction, and

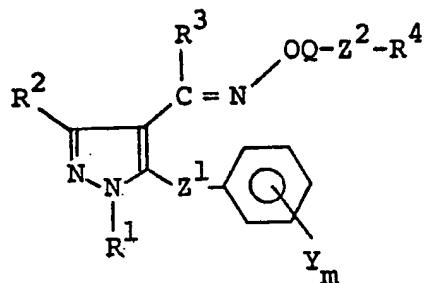
1 include for example ethers (e.g. diethyl ether, tetra-  
hydrofuran, dioxane, diethylene glycol), halogenated  
hydrocarbons (e.g. dichloromethane, chloroform, carbon  
tetrachloride), dimethyl sulfoxide, dimethylformamide,  
5 etc. These solvents may be used alone or in combination.

In the methods A to D, the reaction temperature  
may properly be selected from a range of from room  
temperature to the boiling point of the solvent. The  
reaction time depends upon the reaction temperature and  
10 reaction scale, but it may properly be selected from a  
range of from 1 minute to 48 hours.

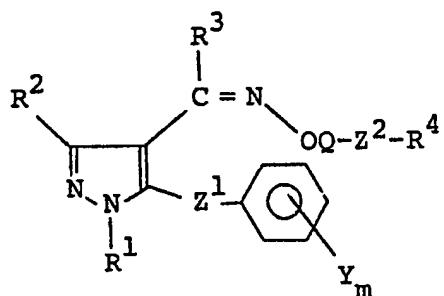
As to the molar ratio of the reagents in  
practicing the reaction of the present invention, they  
are used in equimolar amounts because this reaction is an  
15 equimolar reaction, but either one of them may be used  
in excess of the other.

After completion of the reaction, the desired  
compound can be separated by the usual methods, and if  
necessary, can be purified by recrystallization, column  
20 chromatography, etc.

The pyrazole oxime derivatives represented by  
the general formula (I) have two isomers, E-isomer and  
Z-isomer. In the scope of the present invention are  
also included the both isomers and their mixtures.



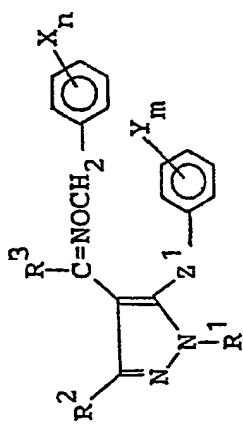
E-isomer



Z-isomer

1 Representative examples of the pyrazole oxime derivatives represented by the general formula (I) will be shown in Table 1, but the derivatives are not limited to these examples.

Table 1 (a)



(Ia)

This formula corresponds to the general formula  
 (I) wherein Q is a methylene group,  $Z^2$  is a  
 single bond and  $R^4$  is .

| Compound No. | $R^1$           | $R^2$           | $R^3$ | $X_n$                | $X_m$ | $Y_m$              | $Z^1$ | Physical property<br>m.p. ( $^{\circ}$ C) or<br>refractive index |
|--------------|-----------------|-----------------|-------|----------------------|-------|--------------------|-------|--|
| 1            | CH <sub>3</sub> | CH <sub>3</sub> | H     | 2-COOCH <sub>3</sub> |       | H                  | 0     | $n_D^{20}$ 1.5772  |
| 2            | CH <sub>3</sub> | CH <sub>3</sub> | H     | 2-COOCH <sub>3</sub> |       | 4-F                | 0     | $n_D^{20}$ 1.5656  |
| 3            | CH <sub>3</sub> | CH <sub>3</sub> | H     | 2-COOCH <sub>3</sub> |       | 4-Cl               | 0     | $n_D^{20}$ 1.5788  |
| 4            | CH <sub>3</sub> | CH <sub>3</sub> | H     | 2-COOCH <sub>3</sub> |       | 4-OCH <sub>3</sub> | 0     | $n_D^{20}$ 1.5654  |
| 5            | CH <sub>3</sub> | CH <sub>3</sub> | H     | 2-COOCH <sub>3</sub> |       | H                  | 0     | $n_D^{20}$ 1.5462  |
| 6            | CH <sub>3</sub> | CH <sub>3</sub> | H     | 2-COOCH <sub>3</sub> |       | 4-F                | 0     | $n_D^{20}$ 1.5446  |
| 7            | CH <sub>3</sub> | CH <sub>3</sub> | H     | 2-COOCH <sub>3</sub> |       | 4-OCH <sub>3</sub> | 0     | $n_D^{20}$ 1.5579  |

- Cont'd -

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Table 1 (a) (Cont'd)

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Table 1 (a) (Cont'd)

|     |                 |                 |   |  |                                    |  |  |  |
|-----|-----------------|-----------------|---|--|------------------------------------|--|--|--|
|     |                 |                 |   |  |                                    |  |  |  |
| 2.5 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -n | 4-F                                |  |  |  |
| 26  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -n | 4-OCH <sub>3</sub>                 |  |  |  |
| 27  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | H                                  |  |  |  |
| 28  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 4-CH <sub>3</sub>                  |  |  |  |
| 29  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 3-C <sub>2</sub> H <sub>5</sub>    |  |  |  |
| 30  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 4-C <sub>2</sub> H <sub>5</sub>    |  |  |  |
| 31  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 4-C <sub>4</sub> H <sub>9</sub> -t |  |  |  |
| 32  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 2-F                                |  |  |  |
| 33  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 3-F                                |  |  |  |
| 34  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 4-F                                |  |  |  |
| 35  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 3-Cl                               |  |  |  |
| 36  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 4-Cl                               |  |  |  |
| 37  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 2,4-Cl <sub>2</sub>                |  |  |  |
| 38  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 3,4-Cl <sub>2</sub>                |  |  |  |
| 39  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 4-Br                               |  |  |  |
| 40  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 2-OCH <sub>3</sub>                 |  |  |  |
| 41  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>3</sub> H <sub>7</sub> -1 | 3-OCH <sub>3</sub>                 |  |  |  |

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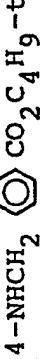
Table 1 (a) (Cont'd)

Cont'd -

Table 1 (a) (Cont'd)

|    |                 |                 | 4-OCH <sub>3</sub> |  |  |  |                                     |          |
|----|-----------------|-----------------|--------------------|--|--|--|-------------------------------------|----------|
| 59 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | n <sub>D</sub> <sup>20</sup> 1.5608 |          |
| 60 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | m.p. 101.7                          |          |
| 61 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | m.p. 73.0                           |          |
| 62 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | n <sub>D</sub> <sup>20</sup> 1.5542 |          |
| 63 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | n <sub>D</sub> <sup>20</sup> 1.5440 |          |
| 64 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | n <sub>D</sub> <sup>20</sup> 1.5423 |          |
| 65 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | m.p. 92.1                           |          |
| 66 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | m.p. 73.9                           |          |
| 67 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | m.p. 86.8                           |          |
| 68 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | n <sub>D</sub> <sup>20</sup> 1.5632 |          |
| 69 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | Paste                               |          |
| 70 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | n <sub>D</sub> <sup>20</sup> 1.5660 |          |
| 71 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | n <sub>D</sub> <sup>20</sup> 1.5150 |          |
| 72 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | m.p. 72.3                           |          |
| 73 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | n <sub>D</sub> <sup>20</sup> 1.5663 |          |
| 74 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | n <sub>D</sub> <sup>20</sup> 1.5566 |          |
| 75 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | 4-COOCH <sub>2</sub> H <sub>9</sub> -t |  |  | m.p. 145.0                          |          |
|    |                 |                 |                    | 4-OH                                   |  |  | o                                   | Cont'd - |

Table 1 (a)

|    |                 |                 |   |  |   |   |                              |        |
|----|-----------------|-----------------|---|--|---|---|------------------------------|--------|
| 76 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C <sub>4</sub> H <sub>9</sub> -t                               | 4-OC <sub>2</sub> H <sub>5</sub>  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5487 |
| 77 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C <sub>4</sub> H <sub>9</sub> -t                               | 4-SCH <sub>3</sub>  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5653 |
| 78 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C <sub>4</sub> H <sub>9</sub> -t                               | 4-S(O)CH <sub>3</sub>   | 0 | n <sub>D</sub> <sup>20</sup> | 1.5620 |
| 79 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C <sub>4</sub> H <sub>9</sub> -t                               | 4-S(O) <sub>2</sub> CH <sub>3</sub>   | 0 | n <sub>D</sub> <sup>20</sup> | 1.5521 |
| 80 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C <sub>4</sub> H <sub>9</sub> -t                               | 4-CO <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -n  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5641 |
| 81 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C <sub>4</sub> H <sub>9</sub> -t                               | 3,4(-OCH <sub>2</sub> O-)   | 0 | n <sub>D</sub> <sup>20</sup> | 1.5515 |
| 82 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C <sub>4</sub> H <sub>9</sub> -t                               | 3-N(CH <sub>3</sub> ) <sub>2</sub>  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5538 |
| 83 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C <sub>4</sub> H <sub>9</sub> -t                               | 4-NHCH <sub>2</sub>  CO <sub>2</sub> C <sub>4</sub> H <sub>9</sub> -t   | 0 | n <sub>D</sub> <sup>20</sup> | 1.5605 |
| 84 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C <sub>4</sub> H <sub>9</sub> -t                               | 4-N(CH <sub>2</sub> )  CO <sub>2</sub> C <sub>4</sub> H <sub>9</sub> -t | 0 | n <sub>D</sub> <sup>20</sup> | 1.5689 |
| 85 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | H   | 0 | n <sub>D</sub> <sup>20</sup> | 1.5564 |
| 86 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | 3-F   | 0 | n <sub>D</sub> <sup>20</sup> | 1.5413 |
| 87 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | 4-F   | 0 | n <sub>D</sub> <sup>20</sup> | 1.5529 |
| 88 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C(CH <sub>2</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | 3-OCH <sub>3</sub>  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5530 |
| 89 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO C(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | 4-OCH <sub>3</sub>  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5592 |
| 90 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>                 | H   | 0 | n <sub>D</sub> <sup>20</sup> | 1.5590 |
| 91 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>                 | 4-F   | 0 | n <sub>D</sub> <sup>20</sup> | 1.5502 |

- Cont'd -

Table 1 (a) (Cont'd)

|     |                 |                 |   |  |                    |                                     |
|-----|-----------------|-----------------|---|--|--------------------|-------------------------------------|
| 92  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub>     | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> 1.5591 |
| 93  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> C <sub>4</sub> H <sub>9</sub> -t    | H                  | n <sub>D</sub> <sup>20</sup> 1.5538 |
| 94  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> C <sub>4</sub> H <sub>9</sub> -t    | 4-F                | n <sub>D</sub> <sup>20</sup> 1.5470 |
| 95  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> C <sub>4</sub> H <sub>9</sub> -t    | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> 1.5509 |
| 96  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-   | H                  | n <sub>D</sub> <sup>20</sup> 1.5653 |
| 97  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-   | 4-F                | n <sub>D</sub> <sup>20</sup> 1.5537 |
| 98  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-   | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> 1.5695 |
| 99  | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-   | H                  | n <sub>D</sub> <sup>20</sup> 1.5604 |
| 100 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-   | 4-F                | n <sub>D</sub> <sup>20</sup> 1.5525 |
| 101 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-   | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> 1.5599 |
| 102 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> CH=CH <sub>2</sub> | H                  | n <sub>D</sub> <sup>20</sup> 1.5611 |
| 103 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> CH=CH <sub>2</sub> | 4-F                | n <sub>D</sub> <sup>20</sup> 1.5558 |
| 104 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> CH=CH <sub>2</sub> | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> 1.5620 |

- Cont'd -

Table 1 (a) (Cont'd)

|     |                 |                 |   |  |                    |   |                              |        |
|-----|-----------------|-----------------|---|--|--------------------|---|------------------------------|--------|
| 105 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(C <sub>2</sub> H <sub>5</sub> )C≡CH  | H                  | H | <sup>20</sup> n <sub>D</sub> | 1.5633 |
| 106 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>6</sub> H <sub>13</sub> -n  | H                  | H | <sup>20</sup> n <sub>D</sub> | 1.5543 |
| 107 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>6</sub> H <sub>13</sub> -n  | 4-F                | H | <sup>20</sup> n <sub>D</sub> | 1.5468 |
| 108 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>6</sub> H <sub>13</sub> -n  | 4-OCH <sub>3</sub> | H | <sup>20</sup> n <sub>D</sub> | 1.5549 |
| 109 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO(CH <sub>3</sub> ) <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -t                        | H                  | H | <sup>20</sup> n <sub>D</sub> | 1.5525 |
| 110 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO(CH <sub>3</sub> ) <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -t                        | 3-F                | H | <sup>20</sup> n <sub>D</sub> | 1.5465 |
| 111 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO(CH <sub>3</sub> ) <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -t                        | 4-F                | H | <sup>20</sup> n <sub>D</sub> | 1.5425 |
| 112 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> CH <sub>3</sub>                           | H                  | H | <sup>20</sup> n <sub>D</sub> | 1.5480 |
| 113 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> CH <sub>3</sub>                           | 4-F                | H | <sup>20</sup> n <sub>D</sub> | 1.5431 |
| 114 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> CH <sub>3</sub>                           | 4-OCH <sub>3</sub> | H | <sup>20</sup> n <sub>D</sub> | 1.5540 |
| 115 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(CH <sub>3</sub> )C <sub>4</sub> H <sub>9</sub> -t                                    | H                  | H | <sup>20</sup> n <sub>D</sub> | 1.5529 |
| 116 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(CH <sub>3</sub> )C <sub>4</sub> H <sub>9</sub> -t                                    | 4-F                | H | <sup>20</sup> n <sub>D</sub> | 1.5478 |
| 117 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(CH <sub>3</sub> )C <sub>4</sub> H <sub>9</sub> -t                                    | 4-OCH <sub>3</sub> | H | <sup>20</sup> n <sub>D</sub> | 1.5509 |
| 118 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-  | H                  | H | 0                            | Paste  |
| 119 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-  | 4-F                | H | <sup>20</sup> n <sub>D</sub> | 1.5863 |

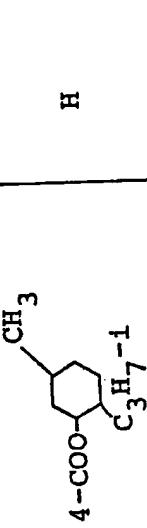
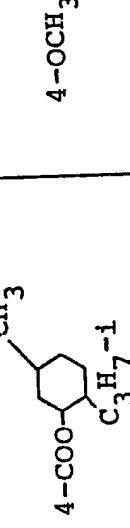
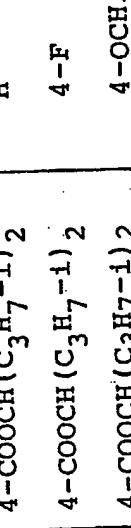
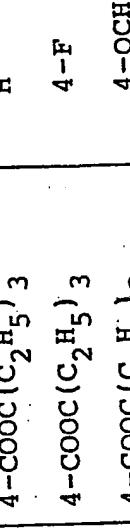
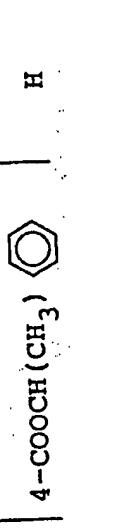
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Table I(a) (Cont'd)

|     |                 |                 |   |                 |                    |        |                              |        |
|-----|-----------------|-----------------|---|-----------------|--------------------|--------|------------------------------|--------|
| 120 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-          | 4-C <sub>2</sub>   | 0      | n <sub>D</sub> <sup>20</sup> | 1.5960 |
| 121 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-          | 4-OCH <sub>3</sub> | 0      | n <sub>D</sub> <sup>20</sup> | 1.5976 |
| 122 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-          | CH <sub>3</sub>    | 0      | n <sub>D</sub> <sup>20</sup> | 1.5621 |
| 123 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-          | CH <sub>3</sub>    | 0      | n <sub>D</sub> <sup>20</sup> | 1.5511 |
| 124 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-          | CH <sub>3</sub>    | 0      | n <sub>D</sub> <sup>20</sup> | 1.5541 |
| 125 | CH <sub>3</sub> | CH <sub>3</sub> | H | CH <sub>3</sub> | 4-COO-             | H      | n <sub>D</sub> <sup>20</sup> | 1.5584 |
| 126 | CH <sub>3</sub> | CH <sub>3</sub> | H | CH <sub>3</sub> | 4-COO-             | 4-F    | n <sub>D</sub> <sup>20</sup> | 1.5370 |
|     |                 |                 |   |                 |                    | - 24 - |                              |        |

Table 1(a) (Cont'd)

|     |                 |                 |   |  |                    |   |   |                                     |
|-----|-----------------|-----------------|---|--|--------------------|---|---|-------------------------------------|
| 127 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | 4-OCH <sub>3</sub> | H | 0 | <sup>20</sup> n <sub>D</sub> 1.5492 |
| 128 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | 4-OCH <sub>3</sub> | H | 0 | <sup>20</sup> n <sub>D</sub> 1.5552 |
| 129 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | 4-OCH <sub>3</sub> | H | 0 | <sup>20</sup> n <sub>D</sub> 1.5541 |
| 130 | CH <sub>3</sub> | CH <sub>3</sub> | H |   | 4-OCH <sub>3</sub> | H | 0 | <sup>20</sup> n <sub>D</sub> 1.5471 |
| 131 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 4-OCH <sub>3</sub> | H | 0 | <sup>20</sup> n <sub>D</sub> 1.5400 |
| 132 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 4-OCH <sub>3</sub> | H | 0 | <sup>20</sup> n <sub>D</sub> 1.5490 |
| 133 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 4-OCH <sub>3</sub> | H | 0 | <sup>20</sup> n <sub>D</sub> 1.5465 |
| 134 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 4-OCH <sub>3</sub> | H | 0 | <sup>20</sup> n <sub>D</sub> 1.5462 |
| 135 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 4-OCH <sub>3</sub> | H | 0 | <sup>20</sup> n <sub>D</sub> 1.5518 |
| 136 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 4-OCH <sub>3</sub> | H | 0 | <sup>20</sup> n <sub>D</sub> 1.5730 |

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Table 1(a) (Cont'd)

|     |                 |                 |   |  |                    |                    |   |                              |        |
|-----|-----------------|-----------------|---|--|--------------------|--------------------|---|------------------------------|--------|
| 137 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub><br>C <sub>6</sub> H <sub>4</sub> O<br>C <sub>6</sub> H <sub>5</sub> | H                  | H                  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5901 |
| 138 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> H <sub>4</sub> O<br>C <sub>6</sub> H <sub>5</sub>                   | H                  | H                  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5675 |
| 139 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> H <sub>4</sub> OCH <sub>3</sub>                                     | H                  | H                  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5672 |
| 140 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(CH <sub>3</sub> )CH <sub>2</sub> OCH <sub>3</sub>                                | H                  | H                  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5563 |
| 141 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> CH <sub>3</sub>                     | H                  | H                  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5583 |
| 142 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> H <sub>4</sub> O<br>C <sub>6</sub> H <sub>5</sub>                   | 4-F                | 4-F                | 0 | n <sub>D</sub> <sup>20</sup> | 1.5655 |
| 143 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> H <sub>4</sub> O<br>C <sub>6</sub> H <sub>5</sub>                   | 4-Cl               | 4-Cl               | 0 | n <sub>D</sub> <sup>20</sup> | 1.5685 |
| 144 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> H <sub>4</sub> O<br>C <sub>6</sub> H <sub>5</sub>                   | 4-OCH <sub>3</sub> | 4-OCH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> | 1.5764 |
| 145 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> H <sub>4</sub> OCH <sub>3</sub>                                     | 4-OCH <sub>3</sub> | 4-OCH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> | 1.5695 |
| 146 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> CF <sub>3</sub>   | H                  | H                  | 0 | n <sub>D</sub> <sup>20</sup> | 1.5491 |
| 147 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> CF <sub>3</sub>   | 4-F                | 4-F                | 0 | n <sub>D</sub> <sup>20</sup> | 1.5409 |
| 148 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> CF <sub>3</sub>   | 4-Cl               | 4-Cl               | 0 | n <sub>D</sub> <sup>20</sup> | 1.5450 |
| 149 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH <sub>2</sub> CF <sub>3</sub>   | 4-OCH <sub>3</sub> | 4-OCH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> | 1.5459 |

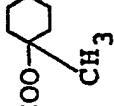
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Table 1(a) (Cont'd)

|     |                 |                 |   |   |                    |                              |        |
|-----|-----------------|-----------------|---|---|--------------------|------------------------------|--------|
| 150 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(CF <sub>3</sub> ) <sub>2</sub>                              | H                  | n <sub>D</sub> <sup>20</sup> | 1.5563 |
| 151 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(CF <sub>3</sub> ) <sub>2</sub>                              | 4-F                | n <sub>D</sub> <sup>20</sup> | 1.5632 |
| 152 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(CF <sub>3</sub> ) <sub>2</sub>                              | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> | 1.5664 |
| 153 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOCH(CH <sub>2</sub> Cl) <sub>2</sub>                            | H                  | n <sub>D</sub> <sup>20</sup> | 1.5451 |
| 154 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> Cl            | H                  | n <sub>D</sub> <sup>20</sup> | 1.5662 |
| 155 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> Cl            | 3-F                | n <sub>D</sub> <sup>20</sup> | 1.5520 |
| 156 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> Cl            | 4-F                | n <sub>D</sub> <sup>20</sup> | 1.5598 |
| 157 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> Cl            | 3-Cl               | n <sub>D</sub> <sup>20</sup> | 1.5651 |
| 158 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> Cl            | 4-Cl               | n <sub>D</sub> <sup>20</sup> | 1.5639 |
| 159 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> Cl            | 3-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> | 1.5602 |
| 160 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> Cl            | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> | 1.5665 |
| 161 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-  | Ph                 | n <sub>D</sub> <sup>20</sup> | 1.5656 |
| 162 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-Sn(C <sub>4</sub> H <sub>9</sub> -n) <sub>3</sub>             | H                  | n <sub>D</sub> <sup>20</sup> | 1.5600 |
| 163 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COO-Sn(—C <sub>4</sub> H <sub>9</sub> —) <sub>3</sub>             | H                  | n <sub>D</sub> <sup>20</sup> | 1.5603 |
| 164 | CH <sub>3</sub> | CF <sub>3</sub> | H | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | H                  | n <sub>D</sub> <sup>20</sup> | 1.5260 |

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Table 1(a) (Cont'd)

|     |                 |                                  |                               |  |   |                              |                                     |
|-----|-----------------|----------------------------------|-------------------------------|--|---|------------------------------|-------------------------------------|
| 165 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>               | 4-COOH   | H | O                            | Paste                               |
| 166 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>               | 4-COOOC <sub>4</sub> H <sub>9</sub> -t   | H | O                            | m.p. 94.4                           |
| 167 | CH <sub>3</sub> | CH <sub>3</sub>                  | C <sub>2</sub> H <sub>5</sub> | 4-COOOC <sub>4</sub> H <sub>9</sub> -t   | H | O                            | <sup>20</sup> n <sub>D</sub> 1.5536 |
| 168 | CH <sub>3</sub> | CH <sub>3</sub>                  | ○                             | 4-COOOC <sub>4</sub> H <sub>9</sub> -t   | H | O                            | <sup>20</sup> n <sub>D</sub> 1.5644 |
| 169 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>               | 4-COOC(CH <sub>3</sub> ) <sub>2</sub> C <sub>2</sub> H <sub>5</sub>                        | H | O                            | m.p. 60.9                           |
| 170 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>               | 4-COO—  | H | O                            | <sup>20</sup> n <sub>D</sub> 1.5570 |
| 171 | CH <sub>3</sub> | CH <sub>3</sub>                  | CH <sub>3</sub>               | 4-COOOC(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> Cl                                  | H | O                            | <sup>20</sup> n <sub>D</sub> 1.5578 |
| 172 | CH <sub>3</sub> | C <sub>3</sub> H <sub>7</sub> -i | H                             | 4-COOOC <sub>3</sub> H <sub>7</sub> -i   | H | O                            | <sup>20</sup> n <sub>D</sub> 1.5491 |
| 173 | CH <sub>3</sub> | H                                | H                             | 4-COOOC <sub>4</sub> H <sub>9</sub> -t   | H | O                            | Paste                               |
| 174 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                             | 4-COOOC <sub>3</sub> H <sub>7</sub> -i   | H | S                            | <sup>20</sup> n <sub>D</sub> 1.5821 |
| 175 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                             | 4-COOOC <sub>4</sub> H <sub>9</sub> -t   | H | S                            | m.p. 112.3                          |
| 176 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                             | 4-COOOC <sub>4</sub> H <sub>9</sub> -t   | H | S(O) <sub>2</sub>            | <sup>20</sup> n <sub>D</sub> 1.5649 |
| 177 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                             | 4-COOOC <sub>4</sub> H <sub>9</sub> -t   | H | <sup>20</sup> n <sub>D</sub> | 1.5689                              |
| 178 | CH <sub>3</sub> | CH <sub>3</sub>                  | H                             | 4-COOOC <sub>4</sub> H <sub>9</sub> -t, 5-CH <sub>3</sub>                                  | H | O                            | Paste                               |

- 28 -

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Table 1(a) (cont'd)

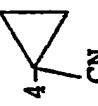
|     |                 |                 |                 |   |   |   |   |   |   |   |   |   |                                      |
|-----|-----------------|-----------------|-----------------|---|---|---|---|---|---|---|---|---|--------------------------------------|
|     |                 |                 |                 |   |   |   |   |   |   |   |   |   |                                      |
| 179 | CH <sub>3</sub> | CH <sub>3</sub> | H               | H | H | H | H | H | H | H | H | H | Paste                                |
| 180 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | Paste                                |
| 181 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5517  |
| 182 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5800  |
| 183 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5778  |
| 184 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5834  |
| 185 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5895  |
| 186 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5766  |
| 187 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5498. |
| 188 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5765  |
| 189 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5823  |
| 190 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5773  |
| 191 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5749  |
| 192 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5783  |
| 193 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | H | H | H | H | H | H | H | H | H | n <sub>D</sub> <sup>20</sup> 1.5468  |

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0234045

- 30 -

Table 1(a) (Cont'd)

|     |                 |                 |   |   |                   |  |      |                       |
|-----|-----------------|-----------------|---|---|-------------------|--|------|-----------------------|
| 194 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CF <sub>3</sub>   | 4-F               |  | 20   | n <sub>D</sub> 1.5355 |
| 195 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CF <sub>3</sub>   | 4-Cl              |  | 20   | n <sub>D</sub> 1.5539 |
| 196 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>2</sub> H <sub>5</sub>   | H                 |  | 20   | n <sub>D</sub> 1.5739 |
| 197 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>3</sub> H <sub>7</sub> -t  | H                 |  | 20   | n <sub>D</sub> 1.5594 |
| 198 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C(CH <sub>3</sub> ) <sub>2</sub> CN   | H                 |  | m.p. | 77.4                  |
| 199 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | H                 |  | m.p. | 109.1                 |
| 200 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C(CH <sub>3</sub> ) <sub>2</sub> CN   | 4-F               |  | m.p. | 94.7                  |
| 201 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -n  | H                 |  | 20   | n <sub>D</sub> 1.5567 |
| 202 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -n  | 4-Cl              |  | 20   | n <sub>D</sub> 1.5665 |
| 203 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -s  | H                 |  | 20   | n <sub>D</sub> 1.5631 |
| 204 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -i  | H                 |  | 20   | n <sub>D</sub> 1.5628 |
| 205 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t  | H                 |  | 20   | n <sub>D</sub> 1.5402 |
| 206 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3-CH <sub>3</sub>   | 3-CH <sub>3</sub> |  | 20   | n <sub>D</sub> 1.5605 |
| 207 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t  | 4-CH <sub>3</sub> |  | m.p. | 112.4                 |

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Table 1(a) (Cont'd)

|     |                 |                 |   |                                    |                                      |                                     |
|-----|-----------------|-----------------|---|------------------------------------|--------------------------------------|-------------------------------------|
| 208 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 3-C <sub>2</sub> H <sub>5</sub>      | n <sub>D</sub> <sup>20</sup> 1.5539 |
| 209 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 4-C <sub>2</sub> H <sub>5</sub>      | m.p. 79.0                           |
| 210 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 4-C <sub>4</sub> H <sub>9</sub> -t   | n <sub>D</sub> <sup>20</sup> 1.5475 |
| 211 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 2-F                                  | m.p. 67.7                           |
| 212 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 3-F                                  | 0                                   |
| 213 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 4-F                                  | m.p. 66.9                           |
| 214 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 2-Cl                                 | n <sub>D</sub> <sup>20</sup> 1.5507 |
| 215 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 3-Cl                                 | n <sub>D</sub> <sup>20</sup> 1.5633 |
| 216 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 4-Cl                                 | n <sub>D</sub> <sup>20</sup> 1.5573 |
| 217 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 4-Br                                 | n <sub>D</sub> <sup>20</sup> 1.5636 |
| 218 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 3-CF <sub>3</sub>                    | n <sub>D</sub> <sup>20</sup> 1.5352 |
| 219 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 2-OCH <sub>3</sub>                   | m.p. 76.3                           |
| 220 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 3-OCH <sub>3</sub>                   | n <sub>D</sub> <sup>20</sup> 1.6590 |
| 221 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 4-OCH <sub>3</sub>                   | n <sub>D</sub> <sup>20</sup> 1.5584 |
| 222 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 3,5-(OCH <sub>3</sub> ) <sub>2</sub> | n <sub>D</sub> <sup>20</sup> 1.5535 |
| 223 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>4</sub> H <sub>9</sub> -t | 4-OC <sub>2</sub> H <sub>5</sub>     | n <sub>D</sub> <sup>20</sup> 1.5555 |

- Cont'd -

Table 1 (a) (Cont'd)

Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |  |                                      |                                     |                                     |  |
|-----|-----------------|-----------------|---|--|--------------------------------------|-------------------------------------|-------------------------------------|--|
|     |                 |                 |   |  |                                      |                                     |                                     |  |
| 238 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 3-OCH <sub>3</sub>                   |                                     |                                     |  |
| 239 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CH(OH)C <sub>4</sub> H <sub>9</sub> -t | H                                    |                                     |                                     |  |
| 240 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CH(OH)C <sub>4</sub> H <sub>9</sub> -t | 4-F                                  |                                     |                                     |  |
| 241 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CH(OH)C <sub>4</sub> H <sub>9</sub> -t | 4-Cl                                 |                                     |                                     |  |
| 242 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C <sub>6</sub> H <sub>13</sub> -n      | H                                    |                                     |                                     |  |
| 243 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | H                                    |                                     |                                     |  |
| 244 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 2-F                                  |                                     |                                     |  |
| 245 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 4-F                                  |                                     |                                     |  |
| 246 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 4-Cl                                 |                                     |                                     |  |
| 247 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 3,5-(OCH <sub>3</sub> ) <sub>2</sub> |                                     |                                     |  |
| 248 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | H                                    |                                     |                                     |  |
|     |                 |                 |   |  |                                      | n <sub>D</sub> <sup>20</sup> 1.5632 |                                     |  |
|     |                 |                 |   |  |                                      | 0                                   | n <sub>D</sub> <sup>20</sup> 1.5500 |  |
|     |                 |                 |   |  |                                      | 0                                   | n <sub>D</sub> <sup>20</sup> 1.5445 |  |
|     |                 |                 |   |  |                                      | 0                                   | n <sub>D</sub> <sup>20</sup> 1.5500 |  |
|     |                 |                 |   |  |                                      | 0                                   | n <sub>D</sub> <sup>20</sup> 1.5545 |  |
|     |                 |                 |   |  |                                      | 0                                   | n <sub>D</sub> <sup>20</sup> 1.5635 |  |
|     |                 |                 |   |  |                                      | 0                                   | n <sub>D</sub> <sup>20</sup> 1.5591 |  |
|     |                 |                 |   |  |                                      | 0                                   | n <sub>D</sub> <sup>20</sup> 1.5577 |  |
|     |                 |                 |   |  |                                      | 0                                   | n <sub>D</sub> <sup>20</sup> 1.5728 |  |
|     |                 |                 |   |  |                                      | 0                                   | n <sub>D</sub> <sup>20</sup> 1.5590 |  |
|     |                 |                 |   |  |                                      | 0                                   | n <sub>D</sub> <sup>20</sup> 1.5656 |  |

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Table 1(a) (Cont'd)

|     |                 |                 |                 |  |                              |        |  |  |
|-----|-----------------|-----------------|-----------------|--|------------------------------|--------|--|--|
| 249 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCH <sub>3</sub>                                   |                              |        |  |  |
|     |                 |                 | 4-              | Cyclohexyl   |                              |        |  |  |
|     |                 |                 | CH <sub>3</sub> |  |                              |        |  |  |
| 250 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-C <sub>7</sub> H <sub>15</sub> -n                  |                              |        |  |  |
| 251 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-C <sub>8</sub> H <sub>17</sub> -n                  |                              |        |  |  |
| 252 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-   | Cyclohexyl                   |        |  |  |
|     |                 |                 | CH <sub>3</sub> |  |                              |        |  |  |
| 253 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-C(CH <sub>3</sub> ) <sub>2</sub> OCH <sub>3</sub>  |                              |        |  |  |
| 254 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-C(CH <sub>3</sub> ) <sub>2</sub> OCH <sub>3</sub>  | 4-F                          |        |  |  |
| 255 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-CH=CHCOC <sub>4</sub> H <sub>9</sub> -t            |                              |        |  |  |
| 256 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-CH=CHCH(OH)C <sub>4</sub> H <sub>9</sub> -t        |                              |        |  |  |
| 257 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-CH=CHCOC <sub>4</sub> H <sub>9</sub> -t            | 4-F                          |        |  |  |
| 258 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-CH=CHCOC <sub>4</sub> H <sub>9</sub> -t            | 4-OCH <sub>3</sub>           |        |  |  |
| 259 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-CH=CHCO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> |                              |        |  |  |
| 260 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-CH=CHCO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | 4-F                          |        |  |  |
| 261 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-CH=CHCO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> | 4-OCH <sub>3</sub>           |        |  |  |
| 262 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-CH=CBr <sub>2</sub>                                |                              |        |  |  |
|     |                 |                 |                 |  | m.p.                         | 109.3  |  |  |
|     |                 |                 |                 |  | - Cont'd                     | -      |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5596 |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5480 |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5532 |  |  |
|     |                 |                 |                 |  | m.p.                         | 121.7  |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5645 |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5513 |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5701 |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5580 |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5526 |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5576 |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5919 |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5821 |  |  |
|     |                 |                 |                 |  | n <sub>D</sub> <sup>20</sup> | 1.5887 |  |  |

Table 1(a) (Cont'd)

|     |                 |                 |   |   |                    |  |   |                              |        |
|-----|-----------------|-----------------|---|---|--------------------|--|---|------------------------------|--------|
| 263 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>    | H                  |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5320 |
| 264 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>    | 4-F                |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5502 |
| 265 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -t | H                  |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5492 |
| 266 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -t | H                  |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5680 |
| 267 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -t | 4-F                |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5654 |
| 268 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -t | 4-Cl               |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5660 |
| 269 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C(CH <sub>3</sub> ) <sub>2</sub> CO <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -t | 4-OCH <sub>3</sub> |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5653 |
| 270 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2,4-(CH <sub>3</sub> ) <sub>2</sub>   |                    |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5654 |
| 271 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2,4-(CH <sub>3</sub> ) <sub>2</sub>   | 4-F                |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5672 |
| 272 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3-OCH <sub>3</sub> , 4-C <sub>4</sub> H <sub>9</sub> -t                             | H                  |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5567 |
| 273 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3-OCH <sub>3</sub> , 4-C <sub>4</sub> H <sub>9</sub> -t                             | 4-Cl               |  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5572 |
| 274 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2,4,6-(CH <sub>3</sub> ) <sub>3</sub>   | H                  |  | 0 | m.p.                         | 94.5   |

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0234045

- 36 -

Table 1(a) (Cont'd)

|     |                 |                               |                               |   |                    |  |  |                                     |
|-----|-----------------|-------------------------------|-------------------------------|---|--------------------|--|--|-------------------------------------|
| 275 | CH <sub>3</sub> | CH <sub>3</sub>               | H                             | 2,6-(CH <sub>3</sub> ) <sub>2</sub> '<br>4-C <sub>4</sub> H <sub>9</sub> -t | H                  |  |  | m.p. 111.0                          |
| 276 | CH <sub>3</sub> | CH <sub>3</sub>               | H                             | 2,6-(CH <sub>3</sub> ) <sub>2</sub> '<br>4-C <sub>4</sub> H <sub>9</sub> -t | 4-F                |  |  | m.p. 97.9                           |
| 277 | CH <sub>3</sub> | CH <sub>3</sub>               | H                             | 2,6-(CH <sub>3</sub> ) <sub>2</sub> '<br>4-C <sub>4</sub> H <sub>9</sub> -t | 4-C1               |  |  | Paste                               |
| 278 | CH <sub>3</sub> | CH <sub>3</sub>               | H                             | 2,6-(CH <sub>3</sub> ) <sub>2</sub> '<br>4-C <sub>4</sub> H <sub>9</sub> -t | 4-OCH <sub>3</sub> |  |  | n <sub>D</sub> <sup>20</sup> 1.5528 |
| 279 | CH <sub>3</sub> | H                             | H                             | H   | 4-C1               |  |  | n <sub>D</sub> <sup>20</sup> 1.5933 |
| 280 | CH <sub>3</sub> | H                             | H                             | 4-C <sub>4</sub> H <sub>9</sub> -t  | H                  |  |  | n <sub>D</sub> <sup>20</sup> 1.5689 |
| 281 | CH <sub>3</sub> | CH <sub>3</sub>               | CH <sub>3</sub>               | 4-C <sub>4</sub> H <sub>9</sub> -t  | H                  |  |  | n <sub>D</sub> <sup>20</sup> 1.5850 |
| 282 | CH <sub>3</sub> | CH <sub>3</sub>               | C <sub>2</sub> H <sub>5</sub> | 4-C <sub>4</sub> H <sub>9</sub> -t  | H                  |  |  | n <sub>D</sub> <sup>20</sup> 1.5536 |
| 283 | CH <sub>3</sub> | CH <sub>3</sub>               | CH <sub>3</sub>               | 4-Cyclohexyl  | H                  |  |  | n <sub>D</sub> <sup>20</sup> 1.5775 |
| 284 | CH <sub>3</sub> | C <sub>2</sub> H <sub>5</sub> | H                             | 4-C <sub>4</sub> H <sub>9</sub> -t  | H                  |  |  | m.p. 99.2                           |

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Table 1(a) (Cont'd)

|     |                               |                                  |   |  |                                     |  |                              |           |
|-----|-------------------------------|----------------------------------|---|--|-------------------------------------|--|------------------------------|-----------|
| 285 | CH <sub>3</sub>               | C <sub>3</sub> H <sub>7</sub> -i | H | 4-C <sub>4</sub> H <sub>9</sub> -t   | H                                   |  | O                            | m.p. 71.5 |
| 286 | CH <sub>3</sub>               | ○                                | H |  | 4-Cl                                |  | n <sub>D</sub> <sup>20</sup> | 1.5966    |
| 287 | CH <sub>3</sub>               | ○                                | H | 4-   | 4-Cl                                |  | n <sub>D</sub> <sup>20</sup> | 1.6000    |
| 288 | C <sub>2</sub> H <sub>5</sub> | CH <sub>3</sub>                  | H | 4-C <sub>4</sub> H <sub>9</sub> -t   | H                                   |  | n <sub>D</sub> <sup>20</sup> | 1.5521    |
| 289 | ○                             | CH <sub>3</sub>                  | H | 4-C <sub>4</sub> H <sub>9</sub> -t   | H                                   |  | n <sub>D</sub> <sup>20</sup> | 1.5905    |
| 290 | CH <sub>3</sub>               | CH <sub>3</sub>                  | H |  | 4-Cl                                |  | n <sub>D</sub> <sup>20</sup> | 1.5562    |
| 291 | CH <sub>3</sub>               | CH <sub>3</sub>                  | H | 4-C <sub>4</sub> H <sub>9</sub> -t   | H                                   |  | n <sub>D</sub> <sup>20</sup> | 1.5760    |
| 292 | CH <sub>3</sub>               | CH <sub>3</sub>                  | H | 4-C(CH <sub>3</sub> )(CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> | H                                   |  | n <sub>D</sub> <sup>20</sup> | 1.5515    |
| 293 | CH <sub>3</sub>               | CH <sub>3</sub>                  | H | 4-C(CH <sub>3</sub> )(CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> | 4-F                                 |  | n <sub>D</sub> <sup>20</sup> | 1.5462    |
| 294 | CH <sub>3</sub>               | CH <sub>3</sub>                  | H | 4-C(CH <sub>3</sub> )(CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> | 4-Cl                                |  | n <sub>D</sub> <sup>20</sup> | 1.5567    |
| 295 | CH <sub>3</sub>               | CH <sub>3</sub>                  | H | 4-C(CH <sub>3</sub> )(CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> | 4-OCH <sub>3</sub>                  |  | n <sub>D</sub> <sup>20</sup> | 1.5553    |
| 296 | CH <sub>3</sub>               | CH <sub>3</sub>                  | H | 4-C <sub>4</sub> H <sub>9</sub> -t   | 4-SCH <sub>3</sub>                  |  | n <sub>D</sub> <sup>20</sup> | 1.5853    |
| 297 | CH <sub>3</sub>               | CH <sub>3</sub>                  | H | 4-C <sub>4</sub> H <sub>9</sub> -t   | 4-S(O)CH <sub>3</sub>               |  | n <sub>D</sub> <sup>20</sup> | 1.5698    |
| 298 | CH <sub>3</sub>               | CH <sub>3</sub>                  | H | 4-C <sub>4</sub> H <sub>9</sub> -t   | 4-S(O) <sub>2</sub> CH <sub>3</sub> |  | m.p.                         | 133.6     |
|     |                               |                                  |   |  |                                     |  | - Cont'd -                   |           |

Table 1(a) (Cont'd)

|     |                 |                 |   |  |   |      |                              |        |
|-----|-----------------|-----------------|---|--|---|------|------------------------------|--------|
| 299 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-C(CH <sub>3</sub> ) <sub>2</sub> CH <sub>2</sub> F | H | H    | 0                            | Paste  |
| 300 | CH <sub>3</sub> | H               | H | 4-Cl   | H | H    | n <sub>D</sub> <sup>20</sup> | 1.5586 |
| 301 | CH <sub>3</sub> | H               | H | 4-Cl   | H | 4-Cl | n <sub>D</sub> <sup>20</sup> | 1.5859 |
| 302 | CH <sub>3</sub> | H               | H | 4-SCHF <sub>2</sub>                                  | H | H    | n <sub>D</sub> <sup>20</sup> | 1.5558 |
| 303 | CH <sub>3</sub> | H               | H | 4-SCHF <sub>2</sub>                                  | H | 4-Cl | n <sub>D</sub> <sup>20</sup> | 1.5896 |
| 304 | CH <sub>3</sub> | H               | H | 4-S(O)CHF <sub>2</sub>                               | H | H    | n <sub>D</sub> <sup>20</sup> | 1.5526 |
| 305 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-F  | H | H    | n <sub>D</sub> <sup>20</sup> | 1.5681 |
| 306 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-F  | H | 4-Cl | n <sub>D</sub> <sup>20</sup> | 1.5724 |
| 307 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2,3,4,5,6-F <sub>5</sub>                             | H | H    | n <sub>D</sub> <sup>20</sup> | 1.5886 |
| 308 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2-Cl   | H | 2-Cl | n <sub>D</sub> <sup>20</sup> | 1.5868 |
| 309 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2-Cl   | H | 4-Cl | n <sub>D</sub> <sup>20</sup> | 1.5760 |
| 310 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3-Cl   | H | H    | n <sub>D</sub> <sup>20</sup> | 1.5490 |
| 311 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3-Cl   | H | 4-Cl | n <sub>D</sub> <sup>20</sup> | 1.5820 |
| 312 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl   | H | H    | n <sub>D</sub> <sup>20</sup> | 1.5750 |
| 313 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl   | H | 4-Cl | n <sub>D</sub> <sup>20</sup> | 1.5563 |
| 314 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl   | H | 2-Cl | n <sub>D</sub> <sup>20</sup> | 1.5892 |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |                     |                             |                              |                                     |
|-----|-----------------|-----------------|---|---------------------|-----------------------------|------------------------------|-------------------------------------|
| 315 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl                | 3-Cl                        | n <sub>D</sub> <sup>20</sup> | 1.5905                              |
| 316 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl                | 4-Cl                        | n <sub>D</sub> <sup>20</sup> | 1.5785                              |
| 317 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl                | 4-Cl                        | s                            | m.p. 96.7                           |
| 318 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl                | 4-Cl                        | n <sub>D</sub> <sup>20</sup> | 1.5569                              |
| 319 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl                | 4-Cl                        | n <sub>D</sub> <sup>20</sup> | 1.5642                              |
| 320 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl                | 2,4-Cl <sub>2</sub>         | 0                            | m.p. 117.9                          |
| 321 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl                | 4-OCH <sub>3</sub>          | 0                            | n <sub>D</sub> <sup>20</sup> 1.5809 |
| 322 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl                | 4-O-C(=O)-C(F) <sub>3</sub> | 0                            | m.p. 97.8                           |
| 323 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2,4-Cl <sub>2</sub> | 4-Cl                        | n <sub>D</sub> <sup>20</sup> | 1.5811                              |
| 324 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3,4-Cl <sub>2</sub> | 4-Cl                        | 0                            | n <sub>D</sub> <sup>20</sup> 1.5958 |
| 325 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2,5-Cl <sub>2</sub> | 4-Cl                        | 0                            | n <sub>D</sub> <sup>20</sup> 1.5826 |
| 326 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3,5-Cl <sub>2</sub> | 4-Cl                        | 0                            | n <sub>D</sub> <sup>20</sup> 1.5778 |
| 327 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2,6-Cl <sub>2</sub> | 4-Cl                        | 0                            | n <sub>D</sub> <sup>20</sup> 1.5825 |
| 328 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Br                | H                           | 0                            | n <sub>D</sub> <sup>20</sup> 1.5878 |
| 329 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Br                | 4-Cl                        | 0                            | n <sub>D</sub> <sup>20</sup> 1.5972 |
| 330 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-I                 | 4-Cl                        | 0                            | n <sub>D</sub> <sup>20</sup> 1.6131 |

- Cont'd -

Table 1 (a) (Cont'd)

|     |                 |                 |                 |                                     |                     |  |
|-----|-----------------|-----------------|-----------------|-------------------------------------|---------------------|--|
|     |                 |                 | H               | H                                   |                     |  |
| 331 | CH <sub>3</sub> | CH <sub>3</sub> | 4-CN            |                                     |                     |  |
| 332 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-NO <sub>2</sub>                   |                     |  |
| 333 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-Si(CH <sub>3</sub> ) <sub>3</sub> |                     |  |
| 334 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | 4-Si(CH <sub>3</sub> ) <sub>3</sub> |                     |  |
| 335 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OH                                |                     |  |
| 336 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCH <sub>3</sub>                  |                     |  |
| 337 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCHF <sub>2</sub>                 |                     |  |
| 338 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCHF <sub>2</sub>                 |                     |  |
| 339 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCHF <sub>2</sub>                 |                     |  |
| 340 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCHF <sub>2</sub>                 |                     |  |
| 341 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCHF <sub>2</sub>                 | 4-CH <sub>3</sub>   |  |
| 342 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCHF <sub>2</sub>                 | 4-F                 |  |
| 343 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCHF <sub>2</sub>                 | 3-Cl                |  |
| 344 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCHF <sub>2</sub>                 | 4-Cl                |  |
| 345 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCHF <sub>2</sub>                 | 3,4-Cl <sub>2</sub> |  |
| 346 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-OCHF <sub>2</sub>                 | 4-OCH <sub>3</sub>  |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5882              |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5942              |  |
|     |                 |                 |                 | m.p.                                | 50.8                |  |
|     |                 |                 |                 | m.p.                                | 61.2                |  |
|     |                 |                 |                 | m.p.                                | >300                |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5739              |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5422              |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5772              |  |
|     |                 |                 |                 | SO                                  | 1.5583              |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5745              |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5396              |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5630              |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5584              |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5460              |  |
|     |                 |                 |                 | n <sub>D</sub> <sup>20</sup>        | 1.5462              |  |

- Cont'd -

Table 1 (a) (Cont'd)

|     |                 |                 |                               |                                     |                     |   |                              |        |
|-----|-----------------|-----------------|-------------------------------|-------------------------------------|---------------------|---|------------------------------|--------|
| 347 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OCF <sub>3</sub>                  | H                   | H | n <sub>D</sub> <sup>20</sup> | 1.5386 |
| 348 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OCF <sub>3</sub>                  | H                   | S | n <sub>D</sub> <sup>20</sup> | 1.5510 |
| 349 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OCF <sub>3</sub>                  | 3-Cl                | O | n <sub>D</sub> <sup>20</sup> | 1.5399 |
| 350 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OCF <sub>3</sub>                  | 4-Cl                | O | n <sub>D</sub> <sup>20</sup> | 1.5244 |
| 351 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OC <sub>2</sub> H <sub>5</sub>    | H                   | O | n <sub>D</sub> <sup>20</sup> | 1.5736 |
| 352 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OC <sub>2</sub> H <sub>5</sub>    | 4-Cl                | O | n <sub>D</sub> <sup>20</sup> | 1.5744 |
| 353 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OCF <sub>2</sub> CHF <sub>2</sub> | H                   | O | n <sub>D</sub> <sup>20</sup> | 1.5287 |
| 354 | CH <sub>3</sub> | CH <sub>3</sub> | C <sub>2</sub> H <sub>5</sub> | 4-OCF <sub>2</sub> CHF <sub>2</sub> | H                   | O | n <sub>D</sub> <sup>20</sup> | 1.5252 |
| 355 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OCF <sub>2</sub> CHF <sub>2</sub> | 2-F                 | O | n <sub>D</sub> <sup>20</sup> | 1.5130 |
| 356 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OCF <sub>2</sub> CHF <sub>2</sub> | 4-F                 | O | n <sub>D</sub> <sup>20</sup> | 1.5242 |
| 357 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OCF <sub>2</sub> CHF <sub>2</sub> | 4-Cl                | O | m.p.                         | 83.8   |
| 358 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OCF <sub>2</sub> CHF <sub>2</sub> | 4-OCCH <sub>3</sub> | O | n <sub>D</sub> <sup>20</sup> | 1.5300 |
| 359 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OC <sub>3</sub> H <sub>7</sub> -i | H                   | O | n <sub>D</sub> <sup>20</sup> | 1.5686 |
| 360 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OC <sub>3</sub> H <sub>7</sub> -i | 4-F                 | O | n <sub>D</sub> <sup>20</sup> | 1.5665 |
| 361 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OC <sub>3</sub> H <sub>7</sub> -i | 4-Cl                | O | n <sub>D</sub> <sup>20</sup> | 1.5689 |
| 362 | CH <sub>3</sub> | CH <sub>3</sub> | H                             | 4-OC <sub>3</sub> H <sub>9</sub> -i | 4-OCCH <sub>3</sub> | O | n <sub>D</sub> <sup>20</sup> | 1.5642 |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |                                       |                     |  |                              |        |
|-----|-----------------|-----------------|---|---------------------------------------|---------------------|--|------------------------------|--------|
| 363 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OC <sub>4</sub> H <sub>9</sub> -t   | H                   |  | <sup>20</sup> n <sub>D</sub> | 1.5562 |
| 364 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OC <sub>4</sub> H <sub>9</sub> -t   | 4-F                 |  | <sup>20</sup> n <sub>D</sub> | 1.5682 |
| 365 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OC <sub>4</sub> H <sub>9</sub> -t   | 4-Cl                |  | <sup>m.p.</sup>              | 89.4   |
| 366 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OC <sub>4</sub> H <sub>9</sub> -t   | 4-OCH <sub>3</sub>  |  | <sup>20</sup> n <sub>D</sub> | 1.5663 |
| 367 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3-O-C <sub>6</sub> H <sub>4</sub> -O- | H                   |  | <sup>20</sup> n <sub>D</sub> | 1.5896 |
| 368 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3-O-C <sub>6</sub> H <sub>4</sub> -O- | 2,4-Cl <sub>2</sub> |  | <sup>20</sup> n <sub>D</sub> | 1.5586 |
| 369 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-O-C <sub>6</sub> H <sub>4</sub> -O- | H                   |  | <sup>20</sup> n <sub>D</sub> | 1.5945 |
| 370 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-O-C <sub>6</sub> H <sub>4</sub> -O- | 4-F                 |  | <sup>20</sup> n <sub>D</sub> | 1.5852 |
| 371 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-O-C <sub>6</sub> H <sub>4</sub> -O- | 4-Cl                |  | <sup>20</sup> n <sub>D</sub> | 1.5921 |
| 372 | CH <sub>3</sub> | CH <sub>3</sub> | H | Cl-C <sub>6</sub> H <sub>4</sub> -O-  | H                   |  | <sup>20</sup> n <sub>D</sub> | 1.5640 |
| 373 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3,4(-OCH <sub>2</sub> O-)             | H                   |  | <sup>20</sup> n <sub>D</sub> | 1.5850 |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |  |                 |   |                                     |
|-----|-----------------|-----------------|---|--|-----------------|---|-------------------------------------|
| 374 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3,4(-OCH <sub>2</sub> O-)                | 4-F             | 0 | n <sub>D</sub> <sup>20</sup> 1.5750 |
| 375 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3,4(-OCH <sub>2</sub> O-)                | 4-Cl            | 0 | n <sub>D</sub> <sup>20</sup> 1.5867 |
| 376 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OCHCOOC <sub>2</sub> H <sub>5</sub>    | CH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> 1.5505 |
| 377 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OCHCOOC <sub>3</sub> H <sub>7</sub> -i | CH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> 1.5447 |
| 378 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OCHCOOC <sub>2</sub> H <sub>5</sub>    | CH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> 1.5560 |
| 379 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OCHCOOC <sub>2</sub> H <sub>5</sub>    | CH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> 1.5600 |
| 380 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OCHCOOC <sub>2</sub> H <sub>5</sub>    | CH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> 1.5431 |
| 381 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OCHCOOC <sub>3</sub> H <sub>7</sub> -i | CH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> 1.5480 |
| 382 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OCHCOOC <sub>4</sub> H <sub>9</sub> -t | CH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> 1.5408 |

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- 44 -

Table 1(a) (Cont'd)

|     |                 |                 |   |  |                    |   |                                     |
|-----|-----------------|-----------------|---|--|--------------------|---|-------------------------------------|
| 383 | CH <sub>3</sub> | CH <sub>3</sub> | H | CH <sub>3</sub><br> <br>4-OCHCOOC <sub>4</sub> H <sub>9</sub> -t                                     | 4-F                | 0 | n <sub>D</sub> <sup>20</sup> 1.5300 |
| 384 | CH <sub>3</sub> | CH <sub>3</sub> | H | CH <sub>3</sub><br> <br>4-OCHCOOC <sub>4</sub> H <sub>9</sub> -t<br>C <sub>3</sub> H <sub>7</sub> -i | 4-OCH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> 1.5380 |
| 385 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OCHCOOC <sub>2</sub> H <sub>5</sub>  | H                  | 0 | n <sub>D</sub> <sup>20</sup> 1.5448 |
| 386 | CH <sub>3</sub> | CH <sub>3</sub> | H | CH <sub>3</sub><br> <br>4-OCCOOC <sub>2</sub> H <sub>5</sub>   | H                  | 0 | n <sub>D</sub> <sup>20</sup> 1.5553 |
| 387 | CH <sub>3</sub> | CH <sub>3</sub> | H | CH <sub>3</sub><br> <br>4-OCCOOC <sub>3</sub> H <sub>7</sub> -i                                      | H                  | 0 | n <sub>D</sub> <sup>20</sup> 1.5522 |
| 388 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OCH <sub>2</sub><br>C <sub>6</sub> H <sub>5</sub>  | H                  | 0 | n <sub>D</sub> <sup>20</sup> 1.5565 |
| 389 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-OSiC <sub>4</sub> H <sub>9</sub> -t<br>CH <sub>3</sub>   | H                  | 0 | n <sub>D</sub> <sup>20</sup> 1.5423 |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |                                   |                    |  |  |  |  |           |
|-----|-----------------|-----------------|---|-----------------------------------|--------------------|--|--|--|--|-----------|
| 390 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCH <sub>3</sub>                | H                  |  |  |  |  | m.p. 81.8 |
| 391 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCH <sub>3</sub>                | 4-F                |  |  |  |  |           |
| 392 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCH <sub>3</sub>                | 4-Cl               |  |  |  |  |           |
| 393 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCH <sub>3</sub>                | 4-OCH <sub>3</sub> |  |  |  |  |           |
| 394 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCH <sub>3</sub>               | H                  |  |  |  |  |           |
| 395 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCH <sub>3</sub>               | 4-F                |  |  |  |  |           |
| 396 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCH <sub>3</sub>               | 4-Cl               |  |  |  |  |           |
| 397 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCH <sub>3</sub>               | 4-OCH <sub>3</sub> |  |  |  |  |           |
| 398 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CH <sub>3</sub> | H                  |  |  |  |  |           |
| 399 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CH <sub>3</sub> | 4-F                |  |  |  |  |           |
| 400 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CH <sub>3</sub> | 4-Cl               |  |  |  |  |           |
| 401 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CH <sub>3</sub> | 4-OCH <sub>3</sub> |  |  |  |  |           |
| 402 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>2</sub> H <sub>5</sub>  | H                  |  |  |  |  |           |
| 403 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>2</sub> H <sub>5</sub>  | 4-F                |  |  |  |  |           |
| 404 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOC <sub>2</sub> H <sub>5</sub> | H                  |  |  |  |  |           |
| 405 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOC <sub>2</sub> H <sub>5</sub> | 4-F                |  |  |  |  |           |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |  |                    |  |   |                                     |
|-----|-----------------|-----------------|---|--|--------------------|--|---|-------------------------------------|
| 406 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>        | H                  |  | 0 | m.p. 118.9                          |
| 407 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>        | 4-F                |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5891 |
| 408 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2-SC <sub>3</sub> H <sub>7</sub> -i, 5-CH <sub>3</sub> | H                  |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5830 |
| 409 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> H <sub>7</sub> -i                    | H                  |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5902 |
| 410 | CH <sub>3</sub> | CH <sub>3</sub> | H | 2-SC <sub>3</sub> H <sub>7</sub> -i                    | H                  |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5872 |
| 411 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> H <sub>7</sub> -i                    | 4-F                |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5752 |
| 412 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> H <sub>7</sub> -i                    | 4-Cl               |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5928 |
| 413 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> H <sub>7</sub> -i                    | 4-OCH <sub>3</sub> |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5862 |
| 414 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOC <sub>3</sub> H <sub>7</sub> -i                   | H                  |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5802 |
| 415 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOC <sub>3</sub> H <sub>7</sub> -i                   | 4-F                |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5669 |
| 416 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOC <sub>3</sub> H <sub>7</sub> -i                   | 4-Cl               |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5810 |
| 417 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOC <sub>3</sub> H <sub>7</sub> -i                   | 4-OCH <sub>3</sub> |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5748 |
| 418 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -i     | H                  |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5626 |
| 419 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -i     | 4-F                |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5594 |
| 420 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> C <sub>3</sub> H <sub>7</sub> -i     | 4-OCH <sub>3</sub> |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5652 |

- Cont'd -

Table 1(a) (Cont'd)

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |                                      |                    |                              |        |
|-----|-----------------|-----------------|---|--------------------------------------|--------------------|------------------------------|--------|
| 436 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCHF <sub>2</sub>                  | 4-Br               | <sup>20</sup> n <sub>D</sub> | 1.5855 |
| 437 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCHF <sub>2</sub>                  | 4-OCH <sub>3</sub> | <sup>20</sup> n <sub>D</sub> | 1.5694 |
| 438 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCHF <sub>2</sub>                 | H                  | <sup>20</sup> n <sub>D</sub> | 1.5575 |
| 439 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCHF <sub>2</sub>                 | 4-F                | 0                            |        |
| 440 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCHF <sub>2</sub>                 | 4-Cl               | <sup>20</sup> n <sub>D</sub> | 1.5748 |
| 441 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCHF <sub>2</sub>                 | 4-Br               | <sup>20</sup> n <sub>D</sub> | 1.5768 |
| 442 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCHF <sub>2</sub>                 | 4-OCH <sub>3</sub> | <sup>20</sup> n <sub>D</sub> | 1.5704 |
| 443 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CHF <sub>2</sub>   | H                  | <sup>20</sup> n <sub>D</sub> | 1.5765 |
| 444 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CHF <sub>2</sub>   | 4-F                | 0                            |        |
| 445 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CHF <sub>2</sub>   | 4-Cl               | <sup>20</sup> n <sub>D</sub> | 1.5612 |
| 446 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CHF <sub>2</sub>   | 4-Br               | <sup>20</sup> n <sub>D</sub> | 1.5643 |
| 447 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CHF <sub>2</sub>   | 4-OCH <sub>3</sub> | <sup>20</sup> n <sub>D</sub> | 1.5597 |
| 448 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCF <sub>2</sub> Br                | H                  | <sup>20</sup> n <sub>D</sub> | 1.5801 |
| 449 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCF <sub>2</sub> Br                | 4-F                | m.p.                         | 82.3   |
| 450 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCF <sub>2</sub> CFCl <sub>2</sub> | H                  | <sup>20</sup> n <sub>D</sub> | 1.5557 |

- Cont'd -

Table 1 (a) (Cont'd)

|     |                 |                 |   |   |                    |   |    |        |
|-----|-----------------|-----------------|---|---|--------------------|---|----|--------|
| 451 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCl <sub>2</sub> CFCl <sub>2</sub>               | 4-F                | 0 | 20 | 1.5557 |
| 452 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCl <sub>2</sub> CFCl <sub>2</sub>               | 4-Cl               | 0 | 20 | 1.5676 |
| 453 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCl <sub>2</sub> CFCl <sub>2</sub>               | 4-OCH <sub>3</sub> | 0 | 20 | 1.5640 |
| 454 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCl <sub>2</sub> CFCl <sub>2</sub>               | H                  | 0 | 20 | 1.5889 |
| 455 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CF <sub>2</sub> CFCl <sub>2</sub> | H                  | 0 | 20 | 1.5958 |
| 456 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCH <sub>2</sub> CF <sub>3</sub>                  | H                  | 0 | 20 | 1.5722 |
| 457 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCH <sub>2</sub> CF <sub>3</sub>                  | 4-F                | 0 | 20 | 1.5569 |
| 458 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCH <sub>2</sub> CF <sub>3</sub>                  | 4-Cl               | 0 | 20 | 1.5732 |
| 459 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCH <sub>2</sub> CF <sub>3</sub>                  | 4-OCH <sub>3</sub> | 0 | 20 | 1.5568 |
| 460 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCH <sub>2</sub> CF <sub>3</sub>                 | 4-F                | 0 | 20 | 1.5501 |
| 461 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCH <sub>2</sub> CF <sub>3</sub>                 | 4-Cl               | 0 | 20 | 1.5620 |
| 462 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCH <sub>2</sub> CF <sub>3</sub>                 | 4-OCH <sub>3</sub> | 0 | 20 | 1.5518 |
| 463 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CH <sub>2</sub> CF <sub>3</sub>   | 4-F                | 0 | 20 | 1.5449 |
| 464 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CH <sub>2</sub> CF <sub>3</sub>   | 4-Cl               | 0 | 20 | 1.5497 |
| 465 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCR <sub>2</sub> CHF <sub>2</sub>                 | H                  | 0 | 20 | 1.5527 |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |  |                                       |                                     |
|-----|-----------------|-----------------|--|---------------------------------------|-------------------------------------|
| 466 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SCF <sub>2</sub> CHF <sub>2</sub>                | H                                     | n <sub>D</sub> <sup>20</sup> 1.5514 |
| 467 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SCF <sub>2</sub> CHF <sub>2</sub>                | 2-F                                   | n <sub>D</sub> <sup>20</sup> 1.5462 |
| 468 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SCF <sub>2</sub> CHF <sub>2</sub>                | 3-F                                   | n <sub>D</sub> <sup>20</sup> 1.5450 |
| 469 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SCF <sub>2</sub> CHF <sub>2</sub>                | 4-F                                   | n <sub>D</sub> <sup>20</sup> 1.5536 |
| 470 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SCF <sub>2</sub> CHF <sub>2</sub>                | 2-Cl                                  | n <sub>D</sub> <sup>20</sup> 1.5540 |
| 471 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SCF <sub>2</sub> CHF <sub>2</sub>                | 4-Cl                                  | n <sub>D</sub> <sup>20</sup> 1.5636 |
| 472 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SCF <sub>2</sub> CHF <sub>2</sub>                | 2-OCH <sub>3</sub>                    | n <sub>D</sub> <sup>20</sup> 1.5547 |
| 473 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SCF <sub>2</sub> CHF <sub>2</sub>                | 3-OCH <sub>3</sub>                    | n <sub>D</sub> <sup>20</sup> 1.5541 |
| 474 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SCF <sub>2</sub> CHF <sub>2</sub>                | 4-OCH <sub>3</sub>                    | n <sub>D</sub> <sup>20</sup> 1.5645 |
| 475 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SCF <sub>2</sub> CHF <sub>2</sub>                | '3,5-(OCH <sub>3</sub> ) <sub>2</sub> | n <sub>D</sub> <sup>20</sup> 1.5477 |
| 476 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SOCF <sub>2</sub> CHF <sub>2</sub>               | H                                     | n <sub>D</sub> <sup>20</sup> 1.5865 |
| 477 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SOCF <sub>2</sub> CHF <sub>2</sub>               | 4-F                                   | n <sub>D</sub> <sup>20</sup> 1.5684 |
| 478 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SOCF <sub>2</sub> CHF <sub>2</sub>               | 4-Cl                                  | n <sub>D</sub> <sup>20</sup> 1.5498 |
| 479 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SOCF <sub>2</sub> CHF <sub>2</sub>               | 4-OCH <sub>3</sub>                    | n <sub>D</sub> <sup>20</sup> 1.5786 |
| 480 | CH <sub>3</sub> | CH <sub>3</sub> | 4-SO <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub> | 4-F                                   | Paste                               |

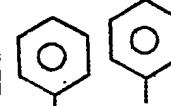
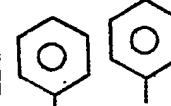
- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |                 |  |                    |       |
|-----|-----------------|-----------------|-----------------|--|--------------------|-------|
| 481 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SO <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub>   | H                  | Paste |
| 482 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SO <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub>   | 4-Cl               | 0     |
| 483 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SO <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub>   | 4-OCH <sub>3</sub> | 0     |
| 484 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SCF <sub>2</sub> CF <sub>2</sub> Br                | H                  | 0     |
| 485 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SCF <sub>2</sub> CF <sub>2</sub> Br                | 4-F                | 0     |
| 486 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SCF <sub>2</sub> CF <sub>2</sub> Br                | 4-Cl               | 0     |
| 487 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SCF <sub>2</sub> CF <sub>2</sub> Br                | 4-OCH <sub>3</sub> | 0     |
| 488 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SOCF <sub>2</sub> CF <sub>2</sub> Br               | H                  | 0     |
| 489 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SOCF <sub>2</sub> CF <sub>2</sub> Br               | 4-F                | 0     |
| 490 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SO <sub>2</sub> CF <sub>2</sub> CF <sub>2</sub> Br | 4-F                | 0     |
| 491 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SO <sub>2</sub> CF <sub>2</sub> CF <sub>2</sub> Br | 4-Cl               | 0     |
| 492 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SO <sub>2</sub> CF <sub>2</sub> CF <sub>2</sub> Br | 4-OCH <sub>3</sub> | 0     |
| 493 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SC <sub>3</sub> F <sub>7</sub>                     | H                  | 0     |
| 494 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | 4-SC <sub>3</sub> F <sub>7</sub>                     | H                  | 0     |
| 495 | CH <sub>3</sub> | CH <sub>3</sub> | H               | 4-SC <sub>3</sub> F <sub>7</sub>                     | 4-CH <sub>3</sub>  | 0     |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |   |                              |                              |                                     |
|-----|-----------------|-----------------|---|---|------------------------------|------------------------------|-------------------------------------|
| 496 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> F <sub>7</sub>  | 3-F                          | <sup>20</sup> n <sub>D</sub> | 1.5172                              |
| 497 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> F <sub>7</sub>  | 4-F                          | <sup>20</sup> n <sub>D</sub> | 1.5175                              |
| 498 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> F <sub>7</sub>  | 3-Cl                         | <sup>20</sup> n <sub>D</sub> | 1.5298                              |
| 499 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> F <sub>7</sub>  | 4-Cl                         | 0                            | Paste                               |
| 500 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> F <sub>7</sub>  | <sup>20</sup> n <sub>D</sub> | 1.5020                       |                                     |
| 501 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> F <sub>7</sub>  | 3-CF <sub>3</sub>            | 0                            |                                     |
| 502 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> F <sub>7</sub>  | 3-OCH <sub>3</sub>           | 0                            |                                     |
| 503 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> F <sub>7</sub>  | 4-OCH <sub>3</sub>           | 0                            |                                     |
| 504 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOC <sub>3</sub> F <sub>7</sub>   | H                            | 0                            |                                     |
| 505 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOC <sub>3</sub> F <sub>7</sub>   | 4-F                          | 0                            | Paste                               |
| 506 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOC <sub>3</sub> F <sub>7</sub>   | 4-F                          | 0                            | Paste                               |
| 507 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> -  | H                            | 0                            | <sup>20</sup> n <sub>D</sub> 1.5980 |
| 508 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> -  | H                            | 0                            | <sup>20</sup> n <sub>D</sub> 1.5940 |
| 509 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-Cl  | <sup>20</sup> n <sub>D</sub> | 1.6052                       |                                     |

- Cont'd -

Table 1 (a) (Cont'd)

|     |                 |                 |   |  |                     |                              |        |
|-----|-----------------|-----------------|---|--|---------------------|------------------------------|--------|
| 510 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCHF <sub>2</sub>                   | 4-Cl                |                              |        |
| 511 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SCF <sub>3</sub>                     | H                   | n <sub>D</sub> <sup>20</sup> | 1.5643 |
| 512 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SOCF <sub>3</sub>                    | H                   | n <sub>D</sub> <sup>20</sup> | 1.5320 |
| 513 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SO <sub>2</sub> CF <sub>3</sub>      | H                   | n <sub>D</sub> <sup>20</sup> | 1.5324 |
| 514 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> F <sub>7</sub>       | 4-OCHF <sub>2</sub> | n <sub>D</sub> <sup>20</sup> | 1.5876 |
| 515 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-SC <sub>3</sub> F <sub>7</sub>       | 4-OCF <sub>3</sub>  | n <sub>D</sub> <sup>20</sup> | 1.5235 |
| 516 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COSC <sub>2</sub> H <sub>5</sub>     |                     | n <sub>D</sub> <sup>20</sup> | 1.5201 |
| 517 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COSC <sub>3</sub> H <sub>7</sub> -i  | H                   | n <sub>D</sub> <sup>20</sup> | 1.5889 |
| 518 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COSC <sub>4</sub> H <sub>9</sub> -t  | H                   | n <sub>D</sub> <sup>20</sup> | 1.5812 |
| 519 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONHCH <sub>3</sub>                  |                     | n <sub>D</sub> <sup>20</sup> | 1.5896 |
| 520 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONHCH <sub>3</sub>                  | 4-F                 | Crystal                      |        |
| 521 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONHC <sub>3</sub> H <sub>7</sub> -i | H                   | n <sub>D</sub> <sup>20</sup> | 1.5576 |
| 522 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONHC <sub>3</sub> H <sub>7</sub> -i | 4-F                 | m.p.                         | 94.4   |
| 523 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONHC <sub>4</sub> H <sub>9</sub> -t | H                   | m.p.                         | 136.4  |
| 524 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONHC <sub>4</sub> H <sub>9</sub> -t | 4-F                 | n <sub>D</sub> <sup>20</sup> | 1.5582 |

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Table 1(a) (Cont'd)

|     |                 |                 |   |  |                    |                                     |
|-----|-----------------|-----------------|---|--|--------------------|-------------------------------------|
| 525 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONHCO <sub>2</sub> H <sub>9</sub> -t              | 4-OCH <sub>3</sub> | <sup>20</sup> n <sub>D</sub> 1.5662 |
| 526 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON(CH <sub>3</sub> ) <sub>2</sub>                 | H                  | <sup>20</sup> n <sub>D</sub> 1.5808 |
| 527 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON(C <sub>3</sub> H <sub>7</sub> -i) <sub>2</sub> | H                  | <sup>20</sup> n <sub>D</sub> 1.5263 |
| 528 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON(C <sub>3</sub> H <sub>7</sub> -i) <sub>2</sub> | 4-F                | <sup>20</sup> n <sub>D</sub> 1.5245 |
| 529 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON(C <sub>3</sub> H <sub>7</sub> -i) <sub>2</sub> | 4-Cl               | <sup>20</sup> n <sub>D</sub> 1.5326 |
| 530 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON(C <sub>3</sub> H <sub>7</sub> -i) <sub>2</sub> | 4-OCH <sub>3</sub> | <sup>20</sup> n <sub>D</sub> 1.5328 |
| 531 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON <chem>CC1=CC=C1</chem>                         | H                  | <sup>20</sup> n <sub>D</sub> 1.5803 |
| 532 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONCyclohexyl                                      | H                  | <sup>20</sup> n <sub>D</sub> 1.5689 |
| 533 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONCyclohexyl                                      | 4-F                | <sup>20</sup> n <sub>D</sub> 1.5755 |
| 534 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONCyclohexyl                                      | 4-Cl               | <sup>20</sup> n <sub>D</sub> 1.5657 |
| 535 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CONCyclohexyl                                      | 4-OCH <sub>3</sub> | Paste                               |

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0234045

Table 1(a) (Cont'd)

|     |                 |                 |   |   |                    |            |           |
|-----|-----------------|-----------------|---|---|--------------------|------------|-----------|
| 536 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON<br>O                              | H                  | $n_D^{20}$ | 1.5632    |
| 537 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON<br>O                              | 4-F                | $n_D^{20}$ | 1.5600    |
| 538 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON<br>O                              | 4-OCH <sub>3</sub> | $n_D^{20}$ | 1.5498    |
| 539 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON<br>O                              | H                  | $n_D^{20}$ | 1.5617    |
| 540 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CON<br>O                              | 4-F                | $n_D^{20}$ | 1.5643    |
| 541 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COCH <sub>3</sub>                     | H                  | 0          | m.p. 88.0 |
| 542 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COCOOC <sub>2</sub> H <sub>5</sub>    | H                  | $n_D^{20}$ | 1.5709    |
| 543 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COCOOC <sub>3</sub> H <sub>7</sub> -i | H                  | $n_D^{20}$ | 1.5756    |
| 544 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COC <sub>2</sub> H <sub>5</sub>       | H                  | 0          | m.p. 59.0 |
| 545 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COC <sub>2</sub> H <sub>5</sub>       | 4-F                | $n_D^{20}$ | 1.5664    |

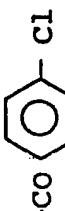
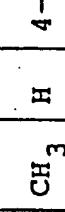
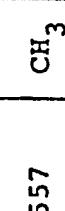
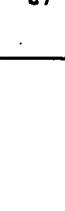
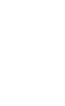
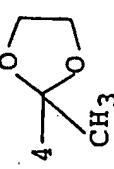
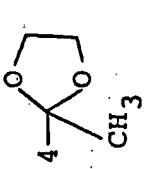
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Table 1(a) (Cont'd)

|     |                 |                 |   |                                      |      |  |                                     |
|-----|-----------------|-----------------|---|--------------------------------------|------|--|-------------------------------------|
| 546 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COC <sub>3</sub> H <sub>7</sub> -i | H    |  | <sup>20</sup> n <sub>D</sub> 1.5705 |
| 547 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COC <sub>4</sub> H <sub>9</sub> -t | H    |  | <sup>20</sup> n <sub>D</sub> 1.5853 |
| 548 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COC <sub>4</sub> H <sub>9</sub> -t | 4-F  |  | <sup>20</sup> n <sub>D</sub> 1.5567 |
| 549 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COC <sub>4</sub> H <sub>9</sub> -t | 4-Cl |  | <sup>20</sup> n <sub>D</sub> 1.5896 |
| 550 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CO-C-CN                            | H    |  | <sup>20</sup> n <sub>D</sub> 1.5865 |
| 551 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COC-COOCH <sub>3</sub>             | H    |  | <sup>20</sup> n <sub>D</sub> 1.5630 |
| 552 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-COC-COOCH <sub>3</sub>             | H    |  | <sup>20</sup> n <sub>D</sub> 1.5941 |
| 553 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CO-C(=O)c6ccccc6                   | 4-Cl |  | <sup>20</sup> n <sub>D</sub> 1.5850 |
| 554 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CO-C(=O)c6ccccc6                   | H    |  | <sup>20</sup> n <sub>D</sub> 1.5952 |

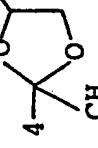
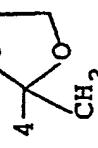
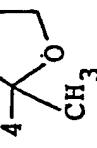
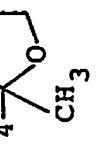
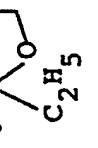
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Table 1(a) (Cont'd)

|     |                 |                 |   |   |                    |   |                              |        |
|-----|-----------------|-----------------|---|---|--------------------|---|------------------------------|--------|
| 555 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CO-  -Cl                                | 4-F                | 0 | <sup>20</sup> n <sub>D</sub> | 1.5935 |
| 556 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CO-  -Cl                               | 4-Cl               | 0 | <sup>20</sup> n <sub>D</sub> | 1.5967 |
| 557 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CO-  -Cl                               | 4-OCH <sub>3</sub> | 0 | <sup>20</sup> n <sub>D</sub> | 1.5937 |
| 558 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CO-  -C <sub>4</sub> H <sub>9</sub> -t | H                  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5764 |
| 559 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CO-  -C <sub>4</sub> H <sub>9</sub> -t | 4-F                | 0 | <sup>20</sup> n <sub>D</sub> | 1.5643 |
| 560 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CO-  -C <sub>4</sub> H <sub>9</sub> -t | 4-Cl               | 0 | <sup>20</sup> n <sub>D</sub> | 1.5830 |
| 561 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-CO-  -C <sub>4</sub> H <sub>9</sub> -t | 4-OCH <sub>3</sub> | 0 | <sup>20</sup> n <sub>D</sub> | 1.5782 |
| 562 | CH <sub>3</sub> | CH <sub>3</sub> | H |   | H                  | 0 | <sup>20</sup> n <sub>D</sub> | 1.5698 |
| 563 | CH <sub>3</sub> | CH <sub>3</sub> | H |                                        | 4-F                | 0 | <sup>20</sup> n <sub>D</sub> | 1.5555 |

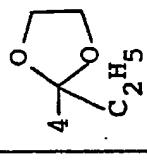
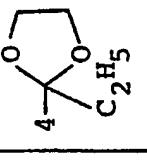
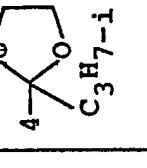
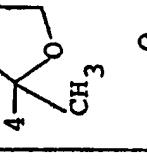
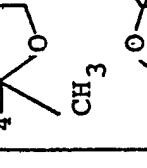
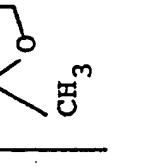
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Table 1 (a) (Cont'd)

|     |                 |                 |   |   |                    |   |                                     |
|-----|-----------------|-----------------|---|---|--------------------|---|-------------------------------------|
| 564 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | 4-Cl               | 0 | n <sub>D</sub> <sup>20</sup> 1.5569 |
| 565 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | H                  | 0 | n <sub>D</sub> <sup>20</sup> 1.5619 |
| 566 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | 4-F                | 0 | Paste                               |
| 567 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | 4-Cl               | 0 | n <sub>D</sub> <sup>20</sup> 1.5689 |
| 568 | CH <sub>3</sub> | CH <sub>3</sub> | H |   | 4-OCH <sub>3</sub> | 0 | n <sub>D</sub> <sup>20</sup> 1.5593 |
| 569 | CH <sub>3</sub> | CH <sub>3</sub> | H |  |                    | 0 | n <sub>D</sub> <sup>20</sup> 1.5630 |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |   |                    |                              |        |
|-----|-----------------|-----------------|---|---|--------------------|------------------------------|--------|
| 570 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | 4-F                | n <sub>D</sub> <sup>20</sup> | 1.5472 |
| 571 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> | 1.5623 |
| 572 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | H                  | n <sub>D</sub> <sup>20</sup> | 1.5560 |
| 573 | CH <sub>3</sub> | CH <sub>3</sub> | H |    | H                  | n <sub>D</sub> <sup>20</sup> | 1.5526 |
| 574 | CH <sub>3</sub> | CH <sub>3</sub> | H |   | 4-F                | n <sub>D</sub> <sup>20</sup> | 1.5656 |
| 575 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> | 1.5123 |

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Table 1 (a) (Cont'd)

|     |                 |                 |   |  |     |   |            |        |
|-----|-----------------|-----------------|---|--|-----|---|------------|--------|
| 576 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | H   | 0 | $n_D^{20}$ | 1.6188 |
| 577 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | H   | 0 | $n_D^{20}$ | 1.6089 |
| 578 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | 4-F | 0 | $n_D^{20}$ | 1.5978 |
| 579 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | H   | 0 | $n_D^{20}$ | 1.5831 |
| 580 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | H   | 0 | $n_D^{20}$ | 1.5952 |
| 581 | CH <sub>3</sub> | CH <sub>3</sub> | H |  | H   | 0 | Paste      |        |

- Cont'd -



Table 1(a) (Cont'd)

|     |                 |                 |   |  |                    |  |   |                   |
|-----|-----------------|-----------------|---|--|--------------------|--|---|-------------------|
| 589 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} H \\   \\ 4-N \\ \backslash \\ COCHO \end{array}$            | H                  |  | 0 | m.p. 105.3        |
| 590 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} H \\   \\ 4-N \\ \backslash \\ COOCH_3 \end{array}$          | H                  |  | 0 | $n_D^{20}$ 1.5808 |
| 591 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} H \\   \\ 4-N \\ \backslash \\ COOCH_2CH_2OCH_3 \end{array}$ | H                  |  | 0 | $n_D^{20}$ 1.5705 |
| 592 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} H \\   \\ 4-N \\ \backslash \\ COOCH_2CH_2OCH_3 \end{array}$ | 4-F                |  | 0 | $n_D^{20}$ 1.5621 |
| 593 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} H \\   \\ 4-N \\ \backslash \\ COOCH_2CH_2OCH_3 \end{array}$ | 4-OCH <sub>3</sub> |  | 0 | $n_D^{20}$ 1.5659 |
| 594 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} H \\   \\ 4-N \\ \backslash \\ COOC_3H_7-i \end{array}$      | H                  |  | 0 | m.p. 115.2        |
| 595 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} H \\   \\ 4-N \\ \backslash \\ COOC_3H_7-i \end{array}$      | 4-F                |  | 0 | $n_D^{20}$ 1.5645 |
| 596 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} CH_3 \\   \\ 2-N \\ \backslash \\ COOCH_3 \end{array}$       | H                  |  | 0 | Paste             |

Table 1(a) (Cont'd)

|     |                 |                 |   |   |                    |   |            |        |
|-----|-----------------|-----------------|---|---|--------------------|---|------------|--------|
| 597 | CH <sub>3</sub> | CH <sub>3</sub> | H | $2-\text{N} \begin{cases} \text{CH}_3 \\ \text{COOCH}_3 \end{cases}$                        | 4-F                | 0 | $n_D^{20}$ | 1.5561 |
| 598 | CH <sub>3</sub> | CH <sub>3</sub> | H | $2-\text{N} \begin{cases} \text{CH}_3 \\ \text{COOCH}_3 \end{cases}$                        | 4-OCH <sub>3</sub> | 0 | $n_D^{20}$ | 1.5599 |
| 599 | CH <sub>3</sub> | CH <sub>3</sub> | H | $3-\text{N} \begin{cases} \text{CH}_3 \\ \text{COOCH}_3 \end{cases}$                        | H                  | 0 | $n_D^{20}$ | 1.5764 |
| 600 | CH <sub>3</sub> | CH <sub>3</sub> | H | $3-\text{N} \begin{cases} \text{CH}_3 \\ \text{COOCH}_3 \end{cases}$                        | 4-F                | 0 | $n_D^{20}$ | 1.5685 |
| 601 | CH <sub>3</sub> | CH <sub>3</sub> | H | $3-\text{N} \begin{cases} \text{CH}_3 \\ \text{COOCH}_3 \end{cases}$                        | 4-OCH <sub>3</sub> | 0 | $n_D^{20}$ | 1.5723 |
| 602 | CH <sub>3</sub> | CH <sub>3</sub> | H | $4-\text{N} \begin{cases} \text{CH}_3 \\ \text{COOCH}_3 \end{cases}$                        | H                  | 0 | Paste      |        |
| 603 | CH <sub>3</sub> | CH <sub>3</sub> | H | $4-\text{N} \begin{cases} \text{CH}_3 \\ \text{COOCH}_3 \end{cases}$                        | 4-F                | 0 | Paste      |        |
| 604 | CH <sub>3</sub> | CH <sub>3</sub> | H | $4-\text{N} \begin{cases} \text{CH}_3 \\ \text{COOCH}_2\text{CH}_2\text{OCH}_3 \end{cases}$ | H                  | 0 | $n_D^{20}$ | 1.5683 |

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Table 1 (a) (Cont'd)

|     |                 |                 |   |                     |  |                    |  |   |                                     |
|-----|-----------------|-----------------|---|---------------------|--|--------------------|--|---|-------------------------------------|
| 605 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3-N<CH <sub>3</sub> |  | H                  |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5662 |
| 606 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3-N<CH <sub>3</sub> |  | 4-F                |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5582 |
| 607 | CH <sub>3</sub> | CH <sub>3</sub> | H | 3-N<CH <sub>3</sub> |  | 4-OCH <sub>3</sub> |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5625 |
| 608 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-N<CH <sub>3</sub> |  | H                  |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5564 |
| 609 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-N<CH <sub>3</sub> |  | 4-F                |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5559 |
| 610 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-N<CH <sub>3</sub> |  | 4-Cl               |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5595 |
| 611 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-N<CH <sub>3</sub> |  | 4-OCH <sub>3</sub> |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5557 |
| 612 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-N<CH <sub>3</sub> |  | H                  |  | 0 | n <sub>D</sub> <sup>20</sup> 1.5648 |

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0234045

- 65 -

Table 1(a) (Cont'd)

|     |                 |                 |   |  |                           |   |            |        |
|-----|-----------------|-----------------|---|--|---------------------------|---|------------|--------|
| 613 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{CH}_3 \\   \\ 4-\text{N} < \\   \\ \text{COOC}_3\text{H}_7-\text{i} \end{array}$ | 4-F                       | 0 | $n_D^{20}$ | 1.5529 |
| 614 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{CH}_3 \\   \\ 4-\text{N} < \\   \\ \text{COOC}_4\text{H}_9-\text{i} \end{array}$ | H                         | 0 | $n_D^{20}$ | 1.5582 |
| 615 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{CH}_3 \\   \\ 4-\text{N} < \\   \\ \text{COOC}_4\text{H}_9-\text{i} \end{array}$ | 4-F                       | 0 | $n_D^{20}$ | 1.5421 |
| 616 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{CH}_3 \\   \\ 4-\text{N} < \\   \\ \text{COOC}_4\text{H}_9-\text{i} \end{array}$ | 4-Cl                      | 0 | $n_D^{20}$ | 1.5573 |
| 617 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{CH}_3 \\   \\ 4-\text{N} < \\   \\ \text{COOC}_4\text{H}_9-\text{i} \end{array}$ | 4-OCH <sub>3</sub>        | 0 | $n_D^{20}$ | 1.5538 |
| 618 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{CH}_3 \\   \\ 4-\text{N} < \\   \\ \text{COOC}_4\text{H}_9-\text{i} \end{array}$ | 3,4(-OCH <sub>2</sub> O-) | 0 | $n_D^{20}$ | 1.5621 |
| 619 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{C}_2\text{H}_5 \\   \\ 4-\text{N} < \\   \\ \text{COOCH}_3 \end{array}$          | H                         | 0 | $n_D^{20}$ | 1.5638 |
| 620 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{C}_2\text{H}_5 \\   \\ 4-\text{N} < \\   \\ \text{COOCH}_3 \end{array}$          | 4-F                       | 0 | Paste      |        |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |                 |   |                    |   |                   |
|-----|-----------------|-----------------|-----------------|---|--------------------|---|-------------------|
| 621 | CH <sub>3</sub> | CH <sub>3</sub> | H               | $4-\text{N} \begin{cases} \text{C}_2\text{H}_5 \\ \text{COOCH}_3 \end{cases}$                     | 4-OCH <sub>3</sub> | 0 | $n_D^{20}$ 1.5656 |
| 622 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | $4-\text{N} \begin{cases} \text{C}_2\text{H}_5 \\ \text{COOCH}_3 \end{cases}$                     | H                  | 0 | m.p. 83.4         |
| 623 | CH <sub>3</sub> | CH <sub>3</sub> | H               | $4-\text{N} \begin{cases} \text{C}_2\text{H}_5 \\ \text{COOCH}_2\text{CH}_2\text{Cl} \end{cases}$ | H                  | 0 | $n_D^{20}$ 1.5706 |
| 624 | CH <sub>3</sub> | CH <sub>3</sub> | H               | $4-\text{N} \begin{cases} \text{C}_2\text{H}_5 \\ \text{COOCH}_2\text{CH}_2\text{Cl} \end{cases}$ | 4-F                | 0 | Paste             |
| 625 | CH <sub>3</sub> | CH <sub>3</sub> | H               | $4-\text{N} \begin{cases} \text{C}_2\text{H}_5 \\ \text{COOCH}_2\text{CH}_2\text{Cl} \end{cases}$ | 4-OCH <sub>3</sub> | 0 | $n_D^{20}$ 1.5695 |
| 626 | CH <sub>3</sub> | CH <sub>3</sub> | H               | $4-\text{N} \begin{cases} \text{C}_2\text{H}_5 \\ \text{COOC}_3\text{H}_7-\text{n} \end{cases}$   | H                  | 0 | $n_D^{20}$ 1.5605 |
| 627 | CH <sub>3</sub> | CH <sub>3</sub> | H               | $4-\text{N} \begin{cases} \text{C}_2\text{H}_5 \\ \text{COOC}_3\text{H}_7-\text{n} \end{cases}$   | 4-F                | 0 | $n_D^{20}$ 1.5532 |
| 628 | CH <sub>3</sub> | CH <sub>3</sub> | H               | $4-\text{N} \begin{cases} \text{C}_2\text{H}_5 \\ \text{COOC}_3\text{H}_7-\text{n} \end{cases}$   | 4-OCH <sub>3</sub> | 0 | $n_D^{20}$ 1.5602 |

- Cont'd -

0234045

- 67 -

Table 1 (a) (Cont'd)

|     |                 |                 |   |              |                    |   |            |        |
|-----|-----------------|-----------------|---|--------------|--------------------|---|------------|--------|
| 629 | CH <sub>3</sub> | CH <sub>3</sub> | H | $4-N<C_2H_5$ | H                  | 0 | $n_D^{20}$ | 1.5549 |
| 630 | CH <sub>3</sub> | CH <sub>3</sub> | H | $4-N<C_2H_5$ | 4-F                | 0 | $n_D^{20}$ | 1.5448 |
| 631 | CH <sub>3</sub> | CH <sub>3</sub> | H | $4-N<C_2H_5$ | 4-OCH <sub>3</sub> | 0 | $n_D^{20}$ | 1.5513 |
| 632 | CH <sub>3</sub> | CH <sub>3</sub> | H | $4-N<C_2H_5$ | H                  | 0 | $n_D^{20}$ | 1.5689 |
| 633 | CH <sub>3</sub> | CH <sub>3</sub> | H | $4-N<C_2H_5$ | 4-F                | 0 | $n_D^{20}$ | 1.5701 |
| 634 | CH <sub>3</sub> | CH <sub>3</sub> | H | $4-N<C_2H_5$ | H                  | 0 | $n_D^{20}$ | 1.5481 |
| 635 | CH <sub>3</sub> | CH <sub>3</sub> | H | $4-N<C_2H_5$ | 4-F                | 0 | $n_D^{20}$ | 1.5415 |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |   |                    |   |                   |
|-----|-----------------|-----------------|---|---|--------------------|---|-------------------|
| 636 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{C}_3\text{H}_7-\text{i} \\   \\ 4-\text{N} \end{array}$ | H                  | O | m.p. 73.3         |
| 637 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{C}_3\text{H}_7-\text{i} \\   \\ 4-\text{N} \end{array}$ | 4-F                | O | $n_D^{20}$ 1.5685 |
| 638 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{C}_3\text{H}_7-\text{i} \\   \\ 4-\text{N} \end{array}$ | 4-OCH <sub>3</sub> | O | $n_D^{20}$ 1.5710 |
| 639 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{C}_3\text{H}_7-\text{i} \\   \\ 4-\text{N} \end{array}$ | H                  | O | $n_D^{20}$ 1.5520 |
| 640 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{C}_3\text{H}_7-\text{i} \\   \\ 4-\text{N} \end{array}$ | 4-F                | O | Paste             |
| 641 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{C}_3\text{H}_7-\text{i} \\   \\ 4-\text{N} \end{array}$ | 4-OCH <sub>3</sub> | O | $n_D^{20}$ 1.5610 |
| 642 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{C}_3\text{H}_7-\text{i} \\   \\ 4-\text{N} \end{array}$ | H                  | O | $n_D^{20}$ 1.5516 |
| 643 | CH <sub>3</sub> | CH <sub>3</sub> | H | $\begin{array}{c} \text{C}_3\text{H}_7-\text{i} \\   \\ 4-\text{N} \end{array}$ | 4-F                | O | $n_D^{20}$ 1.5489 |

- Cont'd -

Table 1(a) (Cont'd)

|     |                 |                 |   |  |                    |   |                                     |
|-----|-----------------|-----------------|---|--|--------------------|---|-------------------------------------|
| 644 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-N<sub>i</sub>C <sub>3</sub> H <sub>7</sub> -l<br>COOC <sub>3</sub> H <sub>7</sub> -n | 4-OCH <sub>3</sub> | O | n <sub>D</sub> <sup>20</sup> 1.5542 |
| 645 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-N<sub>i</sub>C <sub>3</sub> H <sub>7</sub> -l<br>COOC <sub>3</sub> H <sub>7</sub> -i | H                  | O | n <sub>D</sub> <sup>20</sup> 1.5545 |
| 646 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-N<sub>i</sub>C <sub>3</sub> H <sub>7</sub> -i<br>COOC <sub>3</sub> H <sub>7</sub> -i | 4-F                | O | n <sub>D</sub> <sup>20</sup> 1.5448 |
| 647 | CH <sub>3</sub> | CH <sub>3</sub> | H | 4-N<sub>i</sub>C <sub>2</sub> H <sub>5</sub><br>COC <sub>4</sub> H <sub>9</sub> -t     | H                  | O | Paste                               |
| 648 | CH <sub>3</sub> | CH <sub>3</sub> | H | O<br>4-N<br> <br>O   | H                  | O | m.p. 85.0                           |
| 649 | CH <sub>3</sub> | CH <sub>3</sub> | H | O<br>4-N<br> <br>O   | 4-F                | O | Paste                               |
| 650 | CH <sub>3</sub> | CH <sub>3</sub> | H | O<br>4-N<br> <br>O   | 4-OCH <sub>3</sub> | O | n <sub>D</sub> <sup>20</sup> 1.5861 |

- Cont'd -

Table 1(a) (Cont'd)

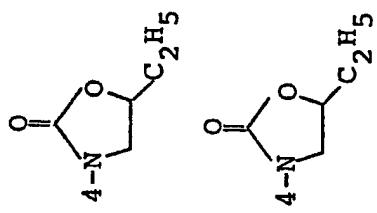
|     |                 |   |                    |   |                   |
|-----|-----------------|---|--------------------|---|-------------------|
|     |                 |   |                    |   |                   |
| 651 | CH <sub>3</sub> | H | H                  | O | m.p. 115.1        |
|     |                 |   |                    | O |                   |
| 652 | CH <sub>3</sub> | H | 4-F                | O | $n_D^{20}$ 1.5718 |
|     |                 |   |                    | O |                   |
| 653 | CH <sub>3</sub> | H | H                  | O | $n_D^{20}$ 1.5730 |
|     |                 |   |                    | O |                   |
| 654 | CH <sub>3</sub> | H | 4-F                | O | $n_D^{20}$ 1.5551 |
|     |                 |   |                    | O |                   |
| 655 | CH <sub>3</sub> | H | 4-OCH <sub>3</sub> | O | $n_D^{20}$ 1.5660 |
|     |                 |   |                    | O |                   |

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Table 1 (a). (Cont'd)

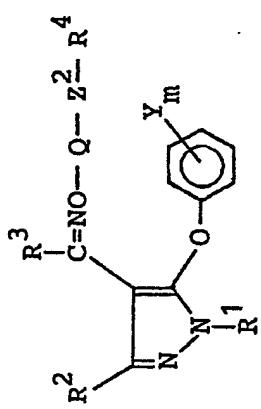
|     |                 |                 | H   |  | $n_D^{20}$ 1.5718 |
|-----|-----------------|-----------------|-----|--|-------------------|
|     |                 |                 | O   |  |                   |
| 656 | CH <sub>3</sub> | CH <sub>3</sub> | H   |  |                   |
|     |                 |                 |     |  |                   |
|     |                 |                 |     |  |                   |
|     |                 |                 |     |  |                   |
|     |                 |                 | 4-F |  | $n_D^{20}$ 1.5601 |
|     |                 |                 | O   |  |                   |
| 657 | CH <sub>3</sub> | CH <sub>3</sub> | H   |  |                   |
|     |                 |                 |     |  |                   |
|     |                 |                 |     |  |                   |
|     |                 |                 |     |  |                   |



656

657

Table I(b)

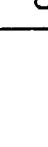
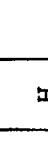


This formula corresponds to the general formula  
(I) wherein z<sup>1</sup> is an oxygen atom.

| Compound No. | R <sup>1</sup>  | R <sup>2</sup>  | R <sup>3</sup> | Q                                  | Z <sup>2</sup> | R <sup>4</sup>            | Y <sub>m</sub> | Physical property m.p. (°C) or refractive index |
|--------------|-----------------|-----------------|----------------|------------------------------------|----------------|---------------------------|----------------|---|
| 658          | CH <sub>3</sub> | CH <sub>3</sub> | H              | -CH <sub>2</sub> CH <sub>2</sub> - | O              | —<br>—                    | H              | n <sub>D</sub> <sup>20</sup> 1.5657             |
| 659          | CH <sub>3</sub> | CH <sub>3</sub> | H              | -CH <sub>2</sub> CH <sub>2</sub> - | O              | —<br>CH <sub>3</sub>      | H              | n <sub>D</sub> <sup>20</sup> 1.5760             |
| 660          | CH <sub>3</sub> | CH <sub>3</sub> | H              | -CH <sub>2</sub> CH <sub>2</sub> - | O              | —<br>—<br>CH <sub>3</sub> | H              | n <sub>D</sub> <sup>20</sup> 1.5683             |
| 661          | CH <sub>3</sub> | CH <sub>3</sub> | H              | -CH <sub>2</sub> CH <sub>2</sub> - | O              | —<br>—<br>CH <sub>3</sub> | H              | n <sub>D</sub> <sup>20</sup> 1.5704             |

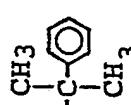
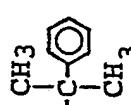
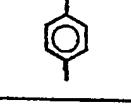
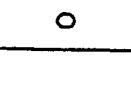
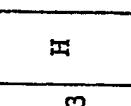
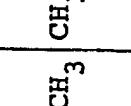
- Cont'd -

Table 1 (b) (Cont'd)

|     |                 |                 |   |                                    |   |                                     |
|-----|-----------------|-----------------|---|------------------------------------|---|-------------------------------------|
| 662 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |   | n <sub>D</sub> <sup>20</sup> 1.5524 |
| 663 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | m.p. 63.4                           |
| 664 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | n <sub>D</sub> <sup>20</sup> 1.5592 |
| 665 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | n <sub>D</sub> <sup>20</sup> 1.5641 |
| 666 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | n <sub>D</sub> <sup>20</sup> 1.5669 |
| 667 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | n <sub>D</sub> <sup>20</sup> 1.5606 |
| 668 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | n <sub>D</sub> <sup>20</sup> 1.5509 |
| 669 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | n <sub>D</sub> <sup>20</sup> 1.5459 |

- Cont'd -

Table 1(b) (Cont'd)

|     |                 |                 |   |                                     |                 |   |                    |                              |        |
|-----|-----------------|-----------------|---|-------------------------------------|-----------------|---|--------------------|------------------------------|--------|
| 670 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -  | O               |    | 4-OCH <sub>3</sub> | m.p.                         | 59.6   |
| 671 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -  | O               |    | 3-CF <sub>3</sub>  | n <sub>D</sub> <sup>20</sup> | 1.5287 |
| 672 | CH <sub>3</sub> | CH <sub>3</sub> | H | --CH <sub>2</sub> CH <sub>2</sub> - | O               |   | H                  | n <sub>D</sub> <sup>20</sup> | 1.5612 |
|     |                 |                 |   |                                     | CH <sub>3</sub> |  | 4-Cl               | n <sub>D</sub> <sup>20</sup> | 1.5741 |
| 673 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -  | O               |  | H                  | n <sub>D</sub> <sup>20</sup> | 1.5618 |
| 674 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -  | O               |  | H                  | n <sub>D</sub> <sup>20</sup> | 1.5657 |
| 675 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -  | O               |  | 4-Cl               | m.p.                         | 100.2  |
| 676 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -  | O               |  | H                  | n <sub>D</sub> <sup>20</sup> | 1.5552 |
| 677 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -  | O               |  |                    |                              |        |

- Cont'd -

Table 1(b) (Cont'd)

|     |                 |                 |   |                                    |   |          |                                     |
|-----|-----------------|-----------------|---|------------------------------------|---|----------|-------------------------------------|
| 678 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C(F)-   | n <sub>D</sub> <sup>20</sup> 1.5738 |
| 679 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C(F)-   | n <sub>D</sub> <sup>20</sup> 1.5730 |
| 680 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C(F)-   | n <sub>D</sub> <sup>20</sup> 1.5681 |
| 681 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C(F)-   | m.p. 51.2                           |
| 682 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C(Cl)-  | n <sub>D</sub> <sup>20</sup> 1.5722 |
| 683 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C(Cl)-  | n <sub>D</sub> <sup>20</sup> 1.5795 |
| 684 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C(Br)-  | n <sub>D</sub> <sup>20</sup> 1.5936 |
| 685 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C(Br)-  | 4-Cl m.p. 101.5                     |
| 686 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C(CN)-  | m.p. 86.1                           |
| 687 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C(CHO)- | n <sub>D</sub> <sup>20</sup> 1.5833 |

- cont'd -

Table 1(b) (Cont'd)

|     |                 |                 |   |                                    |                                   |                              |                              |        |
|-----|-----------------|-----------------|---|------------------------------------|-----------------------------------|------------------------------|------------------------------|--------|
| 688 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(C=O)cc1</chem>       | 4-F                          | m.p.                         | 87.7   |
| 689 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(C=O)cc1</chem>       | <sup>20</sup> n <sub>D</sub> | 1.5777                       |        |
| 690 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Oc2ccccc2)cc1</chem> | H                            | m.p.                         | 58.6   |
| 691 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Oc2ccccc2)cc1</chem> | <sup>20</sup> n <sub>D</sub> | 1.5769                       |        |
| 692 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Oc2ccccc2)cc1</chem> | H                            | <sup>20</sup> n <sub>D</sub> | 1.5583 |
| 693 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Oc2ccccc2)cc1</chem> | 4-Cl                         | m.p.                         | 90.3   |
| 694 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Oc2ccccc2)cc1</chem> | 4-F                          | <sup>20</sup> n <sub>D</sub> | 1.5565 |
| 695 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Oc2ccccc2)cc1</chem> | 4-OCH <sub>3</sub>           | m.p.                         | 81.5   |
| 696 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Oc2ccccc2)cc1</chem> | H                            | <sup>20</sup> n <sub>D</sub> | 1.5682 |
| 697 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Oc2ccccc2)cc1</chem> | 4-F                          | m.p.                         | 53.0   |

- Cont'd -

Table 1 (b) (Cont'd)

|     |               |               |   |                             |            |   |                    |            |
|-----|---------------|---------------|---|-----------------------------|------------|---|--------------------|------------|
| 698 | $\text{CH}_3$ | $\text{CH}_3$ | H | - $\text{CH}_2\text{CH}_2-$ | $\text{O}$ | $\text{OC}_2\text{H}_5$                   | 4-OCH <sub>3</sub> | m.p. 103.6 |
| 699 | $\text{CH}_3$ | $\text{CH}_3$ | H | - $\text{CH}_2\text{CH}_2-$ | $\text{O}$ | $\text{O}-\text{C}_6\text{H}_4-\text{O}-$ | $n_D^{20}$         | 1.5800     |
| 700 | $\text{CH}_3$ | $\text{CH}_3$ | H | - $\text{CH}_2\text{CH}_2-$ | $\text{O}$ | $\text{SCH}_3$                            | $n_D^{20}$         | 1.5901     |
| 701 | $\text{CH}_3$ | $\text{CH}_3$ | H | - $\text{CH}_2\text{CH}_2-$ | $\text{O}$ | $\text{SCH}_3$                            | $n_D^{20}$         | 1.5835     |
| 702 | $\text{CH}_3$ | $\text{CH}_3$ | H | - $\text{CH}_2\text{CH}_2-$ | $\text{O}$ | $\text{COCH}_3$                           | $n_D^{20}$         | 1.5742     |
| 703 | $\text{CH}_3$ | $\text{CH}_3$ | H | - $\text{CH}_2\text{CH}_2-$ | $\text{O}$ | $\text{CH}(\text{OCH}_3)_2$               | $n_D^{20}$         | 1.5851     |
| 704 | $\text{CH}_3$ | $\text{CH}_3$ | H | - $\text{CH}_2\text{CH}_2-$ | $\text{O}$ | $\text{COOCH}_3$                          | m.p.               | 60.6       |
| 705 | $\text{CH}_3$ | $\text{CH}_3$ | H | - $\text{CH}_2\text{CH}_2-$ | $\text{O}$ | $\text{COOCH}_3$                          | m.p.               | 60.5       |
| 706 | $\text{CH}_3$ | $\text{CH}_3$ | H | - $\text{CH}_2\text{CH}_2-$ | $\text{O}$ | $\text{COOC}_2\text{H}_5$                 | $n_D^{20}$         | 1.5577     |
| 707 | $\text{CH}_3$ | $\text{CH}_3$ | H | - $\text{CH}_2\text{CH}_2-$ | $\text{O}$ | $\text{COOC}_3\text{H}_7-\text{i}$        | $n_D^{20}$         | 1.5579     |

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Table 1 (B) (Cont'd)

|     |                 |                 |   |                                    |  |                    |                              |        |
|-----|-----------------|-----------------|---|------------------------------------|--|--------------------|------------------------------|--------|
| 708 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | 4-Cl               | n <sub>D</sub> <sup>20</sup> | 1.5581 |
| 709 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | 4-Cl               | n <sub>D</sub> <sup>20</sup> | 1.5632 |
| 710 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | 4-Cl               | n <sub>D</sub> <sup>20</sup> | 1.5577 |
| 711 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | 4-Cl               | n <sub>D</sub> <sup>20</sup> | 1.5555 |
| 712 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | 4-Cl               | n <sub>D</sub> <sup>20</sup> | 1.5490 |
| 713 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | 4-Cl               | n <sub>D</sub> <sup>20</sup> | 1.5616 |
| 714 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | 4-Cl               | m.p.                         | 92.5   |
| 715 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | 3-Cl               | n <sub>D</sub> <sup>20</sup> | 1.5701 |
| 716 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - |  | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> | 1.5598 |

- Cont'd -

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table 1 (b) (cont'd)

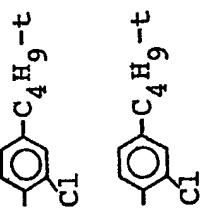
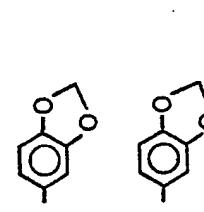
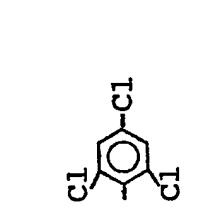
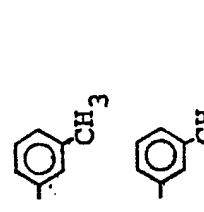
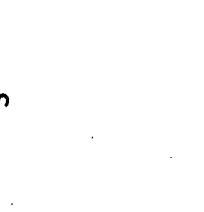
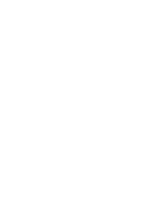
|     |                 |                 |   |                                    |                                    |      |                              |        |
|-----|-----------------|-----------------|---|------------------------------------|------------------------------------|------|------------------------------|--------|
| 717 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Cl)c(Cl)c1</chem>     | H    | n <sub>D</sub> <sup>20</sup> | 1.5813 |
| 718 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1cc(Cl)c(Cl)c(Cl)c1</chem> | H    | n <sub>D</sub> <sup>20</sup> | 1.5838 |
| 719 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Cl)c(Cl)c1</chem>     | H    | n <sub>D</sub> <sup>20</sup> | 1.5846 |
| 720 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Cl)c(Cl)c1</chem>     | H    | m.p.                         | 80.3   |
| 721 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Cl)c(Cl)c1</chem>     | H    | n <sub>D</sub> <sup>20</sup> | 1.5862 |
| 722 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Cl)c(Cl)c1</chem>     | H    | n <sub>D</sub> <sup>20</sup> | 1.5816 |
| 723 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> - | <chem>Oc1ccc(Cl)c(Cl)c1</chem>     | 4-Cl | n <sub>D</sub> <sup>20</sup> | 1.5756 |

- Cont'd -

Table 1 (b) (Cont'd)

Cont'd -

Table 1(b) (Cont'd)

|     |               |                        |   |                             |  |                  |            |        |
|-----|---------------|------------------------|---|-----------------------------|--|------------------|------------|--------|
| 732 | $\text{CH}_3$ | $\text{CH}_3$          | H | $-\text{CH}_2\text{CH}_2^-$ |    | $4-\text{F}$     | $n_D^{20}$ | 1.5552 |
| 733 | $\text{CH}_3$ | $\text{CH}_3$          | H | $-\text{CH}_2\text{CH}_2^-$ |    | $4-\text{OCH}_3$ | $n_D^{20}$ | 1.5657 |
| 734 | $\text{CH}_3$ | $\text{CH}_3$          | H | $-\text{CH}_2\text{CH}_2^-$ |    | $4-\text{OCH}_3$ | $n_D^{20}$ | 1.5682 |
| 735 | $\text{CH}_3$ | $\text{CH}_3$          | H | $-\text{CH}_2\text{CH}_2^-$ |  | $4-\text{F}$     | $n_D^{20}$ | 1.5612 |
| 736 | $\text{CH}_3$ | $\text{CH}_3$          | H | $-\text{CH}_2\text{CH}_2^-$ |  | H                | $n_D^{20}$ | 1.5737 |
| 737 | $\text{CH}_3$ | $\text{C}_2\text{H}_5$ | H | $-\text{CH}_2\text{CH}_2^-$ |  | H                | $n_D^{20}$ | 1.5626 |
| 738 | $\text{CH}_3$ | $\text{C}_3\text{H}_7$ | H | $-\text{CH}_2\text{CH}_2^-$ |  | H                | $n_D^{20}$ | 1.5571 |

- Cont'd -

Table 1 (b) (Cont'd)

|     |                 |                 |                 |                                    |   |  |     |                                     |
|-----|-----------------|-----------------|-----------------|------------------------------------|---|--|-----|-------------------------------------|
| 739 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | -CH <sub>2</sub> CH <sub>2</sub> - | O | -C <sub>6</sub> H <sub>4</sub> -C <sub>4</sub> H <sub>9</sub> -t | H   | n <sub>D</sub> <sup>20</sup> 1.5530 |
| 740 | CH <sub>3</sub> | CH <sub>3</sub> | H               | -CH <sub>2</sub> CH-               | O | -C <sub>6</sub> H <sub>4</sub> -                                 | H   | n <sub>D</sub> <sup>20</sup> 1.5530 |
| 741 | CH <sub>3</sub> | CH <sub>3</sub> | H               | CH <sub>3</sub>                    | O | -C <sub>6</sub> H <sub>4</sub> -                                 | 4-F | n <sub>D</sub> <sup>20</sup> 1.5484 |
| 742 | CH <sub>3</sub> | CH <sub>3</sub> | H               | -CH <sub>2</sub> CH-               | O | -C <sub>6</sub> H <sub>4</sub> -CH <sub>3</sub>                  | H   | n <sub>D</sub> <sup>20</sup> 1.5520 |
| 743 | CH <sub>3</sub> | CH <sub>3</sub> | H               | CH <sub>3</sub>                    | O | -C <sub>6</sub> H <sub>4</sub> -C <sub>4</sub> H <sub>9</sub> -t | H   | n <sub>D</sub> <sup>20</sup> 1.5405 |
| 744 | CH <sub>3</sub> | CH <sub>3</sub> | H               | -CH <sub>2</sub> CH-               | O | -C <sub>6</sub> H <sub>4</sub> -C <sub>4</sub> H <sub>9</sub> -t | 4-F | n <sub>D</sub> <sup>20</sup> 1.5368 |
| 745 | CH <sub>3</sub> | CH <sub>3</sub> | H               | CH <sub>3</sub>                    | O | -C <sub>6</sub> H <sub>4</sub> -OCH <sub>3</sub>                 | H   | n <sub>D</sub> <sup>20</sup> 1.5482 |
| 746 | CH <sub>3</sub> | CH <sub>3</sub> | H               | -CH <sub>2</sub> CH-               | O | -C <sub>6</sub> H <sub>4</sub> -O-                               | H   | n <sub>D</sub> <sup>20</sup> 1.5693 |

- Cont'd -

Table 1 (b) (Cont'd)

|     |                 |                 |   |                      |                                  |   |  |                    |                                     |
|-----|-----------------|-----------------|---|----------------------|----------------------------------|---|--|--------------------|-------------------------------------|
| 747 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH- | C <sub>3</sub> H <sub>7</sub> -t | O | -C <sub>6</sub> H <sub>4</sub> -CH <sub>3</sub>                  | H                  | n <sub>D</sub> <sup>20</sup> 1.5453 |
| 748 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH- | C <sub>3</sub> H <sub>7</sub> -t | O | -C <sub>6</sub> H <sub>4</sub> -CH <sub>3</sub>                  | 4-F                | n <sub>D</sub> <sup>20</sup> 1.5418 |
| 749 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH- | C <sub>3</sub> H <sub>7</sub> -t | O | -C <sub>6</sub> H <sub>4</sub> -CH <sub>3</sub>                  | 4-Cl               | n <sub>D</sub> <sup>20</sup> 1.5613 |
| 750 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH- | C <sub>3</sub> H <sub>7</sub> -t | O | -C <sub>6</sub> H <sub>4</sub> -CH <sub>3</sub>                  | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> 1.5440 |
| 751 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH- | C <sub>3</sub> H <sub>7</sub> -t | S | -C <sub>6</sub> H <sub>4</sub> -CH <sub>3</sub>                  | H                  | n <sub>D</sub> <sup>20</sup> 1.5594 |
| 752 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH- | C <sub>3</sub> H <sub>7</sub> -t | S | -C <sub>6</sub> H <sub>4</sub> -CH <sub>3</sub>                  | H                  | n <sub>D</sub> <sup>20</sup> 1.5902 |
| 753 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH- | C <sub>3</sub> H <sub>7</sub> -t | S | -C <sub>6</sub> H <sub>4</sub> -C <sub>4</sub> H <sub>9</sub> -t | H                  | n <sub>D</sub> <sup>10</sup> 1.5775 |
| 754 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH- | C <sub>3</sub> H <sub>7</sub> -t | S | -C <sub>6</sub> H <sub>4</sub> -Cl                               | m.p.               | 87.4                                |
| 755 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH- | C <sub>3</sub> H <sub>7</sub> -t | S | -C <sub>6</sub> H <sub>4</sub> -Cl                               | m.p.               | 96.4                                |

- Cont'd -

Table 1 (b) (cont'd)

|     |                 |                 |   |   |   |  |                                     |
|-----|-----------------|-----------------|---|---|---|--|-------------------------------------|
| 756 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup>                 | 0 | -C <sub>6</sub> H <sub>5</sub>                                   | n <sub>D</sub> <sup>20</sup> 1.5647 |
| 757 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup>                 | 0 | -C <sub>6</sub> H <sub>5</sub>                                   | n <sub>D</sub> <sup>20</sup> 1.5593 |
| 758 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup>                 | 0 | -C <sub>6</sub> H <sub>5</sub>                                   | n <sub>D</sub> <sup>20</sup> 1.5766 |
| 759 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup>                 | 0 | -C <sub>6</sub> H <sub>5</sub>                                   | n <sub>D</sub> <sup>20</sup> 1.5700 |
| 760 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup>                 | 0 | -C <sub>6</sub> H <sub>5</sub> -C <sub>4</sub> H <sub>9</sub> -t | n <sub>D</sub> <sup>20</sup> 1.5520 |
| 761 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup>                 | 0 | -C <sub>6</sub> H <sub>5</sub> -Cl                               | n <sub>D</sub> <sup>20</sup> 1.5746 |
| 762 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup>                 | 0 | -C <sub>6</sub> H <sub>5</sub> -Cl                               | n <sub>D</sub> <sup>20</sup> 1.5764 |
| 763 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup>                 | 0 | -C <sub>6</sub> H <sub>5</sub> -Cl                               | n <sub>D</sub> <sup>20</sup> 1.5648 |
| 764 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup>                 | 0 | -C <sub>6</sub> H <sub>5</sub> -Cl                               | n <sub>D</sub> <sup>20</sup> 1.5748 |
| 765 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup>                 | 0 | -C <sub>6</sub> H <sub>5</sub> -COOCH <sub>3</sub>               | n <sub>D</sub> <sup>20</sup> 1.5689 |
| 766 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> <sup>-</sup> | 0 | -C <sub>6</sub> H <sub>5</sub>                                   | n <sub>D</sub> <sup>20</sup> 1.5670 |

- Cont'd -

Table 1 (b) (Cont'd)

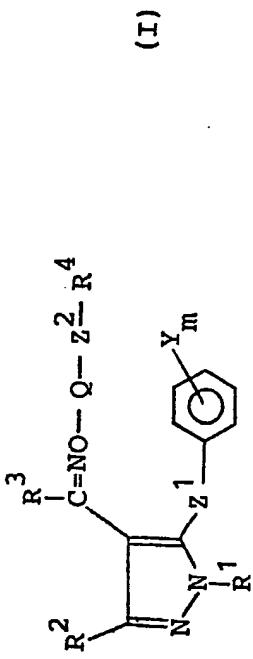
|     |                 |                 |   |  |   |  |                              |        |
|-----|-----------------|-----------------|---|--|---|--|------------------------------|--------|
| 767 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -                 | O | -C <sub>6</sub> H <sub>5</sub>                                   | <sup>20</sup> n <sub>D</sub> | 1.5553 |
| 768 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -                 | O | -C <sub>6</sub> H <sub>5</sub>                                   | <sup>20</sup> n <sub>D</sub> | 1.5678 |
| 769 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -                 | O | -C <sub>6</sub> H <sub>5</sub>                                   | <sup>20</sup> n <sub>D</sub> | 1.5605 |
| 770 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -                 | O | -C <sub>6</sub> H <sub>5</sub> -CH <sub>3</sub>                  | <sup>20</sup> n <sub>D</sub> | 1.5620 |
| 771 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -                 | O | -C <sub>6</sub> H <sub>5</sub> -C <sub>4</sub> H <sub>9</sub> -t | <sup>20</sup> n <sub>D</sub> | 1.5511 |
| 772 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -                 | O | -C <sub>6</sub> H <sub>5</sub> -Cl                               | <sup>20</sup> n <sub>D</sub> | 1.5672 |
| 773 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -                 | O | -C <sub>6</sub> H <sub>5</sub> -OCH <sub>3</sub>                 | <sup>20</sup> n <sub>D</sub> | 1.5653 |
| 774 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -                 | O | -C <sub>6</sub> H <sub>5</sub> -O-C <sub>3</sub> H <sub>5</sub>  | <sup>20</sup> n <sub>D</sub> | 1.5638 |
| 775 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -                 | O | -C <sub>6</sub> H <sub>5</sub>                                   | <sup>20</sup> n <sub>D</sub> | 1.5763 |
| 776 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH=CHCH <sub>2</sub> -  | O | -C <sub>6</sub> H <sub>5</sub> -Cl                               | <sup>20</sup> n <sub>D</sub> | 1.5712 |
| 777 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> - | O | -C <sub>6</sub> H <sub>5</sub>                                   | <sup>20</sup> n <sub>D</sub> | 1.5635 |

- Cont'd -

Table 1 (b) (Cont'd)

|     |                 |                 |   |  |   |  |      |                                     |
|-----|-----------------|-----------------|---|--|---|--|------|-------------------------------------|
| 778 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C <sub>6</sub> H <sub>4</sub> -C <sub>4</sub> H <sub>9</sub> -t | H    | n <sub>D</sub> <sup>10</sup> 1.5511 |
| 779 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C <sub>6</sub> H <sub>4</sub> -Cl                               | H    | n <sub>D</sub> <sup>20</sup> 1.5671 |
| 780 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C <sub>6</sub> H <sub>4</sub> -                                 | H    | n <sub>D</sub> <sup>20</sup> 1.5583 |
| 781 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C <sub>6</sub> H <sub>4</sub> -H <sub>9</sub> -t                | H    | n <sub>D</sub> <sup>20</sup> 1.5478 |
| 782 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> - | 0 | -C <sub>6</sub> H <sub>4</sub> -Cl                               | H    | n <sub>D</sub> <sup>20</sup> 1.5631 |
| 783 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -   | 0 | -C <sub>6</sub> H <sub>4</sub> -                                 | 4-Cl | m.p. 110.1                          |
| 784 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -   | 0 | -C <sub>6</sub> H <sub>4</sub> -                                 | H    | m.p. 107.4                          |
| 785 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -   | 0 | -C <sub>6</sub> H <sub>4</sub> -                                 | H    | n <sub>D</sub> <sup>20</sup> 1.6107 |
| 786 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -   | 0 | -COCH <sub>3</sub>   | H    | n <sub>D</sub> <sup>20</sup> 1.5411 |
| 787 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -   | 0 | -CO-C <sub>6</sub> H <sub>4</sub> -                              | H    | n <sub>D</sub> <sup>20</sup> 1.5632 |
| 788 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -   | 0 | -C <sub>4</sub> H <sub>9</sub> -t                                | H    | n <sub>D</sub> <sup>20</sup> 1.5273 |
| 789 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -   | 0 | -C <sub>2</sub> H <sub>5</sub>                                   | H    | n <sub>D</sub> <sup>20</sup> 1.5407 |

Table I(c)



| Compound No. | $\text{R}^1$  | $\text{R}^2$  | $\text{R}^3$  | $-\text{Q}-\text{Z}^2-\text{R}^4$             | $\text{Y}^m$ | Physical property<br>m.p. ( $^{\circ}\text{C}$ ) or<br>refractive index |
|--------------|---------------|---------------|---------------|---|--------------|---|
| 790          | $\text{CH}_3$ | $\text{CH}_3$ | H             | $-\text{CH}_3$                                | H            | m.p. 70.2   |
| 791          | $\text{CH}_3$ | $\text{CH}_3$ | H             | $-\text{C}_2\text{H}_5$                       | $n_D^{20}$   | 1.5504  |
| 792          | $\text{CH}_3$ | $\text{CH}_3$ | H             | $-\text{CH}_2\text{CH}_2\text{Br}$            | $n_D^{20}$   | 1.5721  |
| 793          | $\text{CH}_3$ | $\text{CH}_3$ | H             | $-\text{C}_3\text{H}_7-\text{i}$              | $n_D^{20}$   | 1.5432  |
| 794          | $\text{CH}_3$ | $\text{CH}_3$ | H             | $-\text{CH}_2\text{CH}=\text{CH}_2$           | $n_D^{20}$   | 1.5560  |
| 795          | $\text{CH}_3$ | $\text{CH}_3$ | H             | $-\text{CH}_2\text{C}\equiv\text{CH}$         | $n_D^{20}$   | 1.5670  |
| 796          | $\text{CH}_3$ | $\text{CH}_3$ | $\text{CH}_3$ | $-\text{CH}_2\text{CH}_2\text{CH}_2\text{Br}$ | $n_D^{20}$   | 1.5618  |

- Cont'd -

Table I(c) (Cont'd)

|     |                 |                 |   |   |                                     |
|-----|-----------------|-----------------|---|---|-------------------------------------|
| 797 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub>  | n <sub>D</sub> <sup>20</sup> 1.5494 |
| 798 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> Br   | n <sub>D</sub> <sup>20</sup> 1.5571 |
| 799 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> Br                         | n <sub>D</sub> <sup>20</sup> 1.5522 |
| 800 | CH <sub>3</sub> | CH <sub>3</sub> | H | CH <sub>3</sub><br>-CH <sub>2</sub> CH=CCH <sub>2</sub> CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> | n <sub>D</sub> <sup>20</sup> 1.5267 |
| 801 | CH <sub>3</sub> | CH <sub>3</sub> | H | CH <sub>3</sub><br>-CH <sub>2</sub> CH=CCH <sub>2</sub> CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> | n <sub>D</sub> <sup>20</sup> 1.5294 |
| 802 | CH <sub>3</sub> | CH <sub>3</sub> | H | CH <sub>3</sub><br>-CH <sub>2</sub> CH=CCH <sub>2</sub> CH <sub>2</sub> CH=C(CH <sub>3</sub> ) <sub>2</sub> | 4-C1                                |
| 803 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> N<br>O   | n <sub>D</sub> <sup>20</sup> 1.5408 |
| 804 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CCl=CHCl   | n <sub>D</sub> <sup>20</sup> 1.5578 |
| 805 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub><br>-CH <sub>2</sub> Cl   | n <sub>D</sub> <sup>20</sup> 1.5653 |
| 806 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub><br>-CH <sub>2</sub> C <sub>4</sub> H <sub>9</sub> -t                                       | n <sub>D</sub> <sup>20</sup> 1.5470 |

- Cont'd -

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Table I(C) (Cont'd)

|     |                 |                 |   |   |      |                   |
|-----|-----------------|-----------------|---|---|------|-------------------|
|     |                 |                 |   | H   |      | $n_D^{20}$ 1.5662 |
| 807 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> -<br>C <sub>3</sub> H <sub>7</sub> -t              |      | $n_D^{20}$ 1.5675 |
| 808 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> -<br>C <sub>3</sub> H <sub>7</sub> -t              |      | m.p. 86.9         |
| 809 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> -<br>C <sub>3</sub> H <sub>7</sub> -t              |      | $n_D^{20}$ 1.5716 |
| 810 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> -<br>C <sub>4</sub> H <sub>9</sub> -t              |      | $n_D^{20}$ 1.5674 |
|     |                 |                 |   |   |      |                   |
| 811 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -<br>C <sub>6</sub> H <sub>5</sub> |      | $n_D^{20}$ 1.5602 |
| 812 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -<br>C <sub>6</sub> F <sub>5</sub> |      | $n_D^{20}$ 1.5524 |
| 813 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -<br>C <sub>6</sub> F <sub>5</sub> |      | $n_D^{20}$ 1.5621 |
| 814 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> -<br>C <sub>6</sub> F <sub>5</sub> | 4-Cl |                   |

- Cont'd -

Table I(c) (Cont'd)

|     |                 |                 |                    |                                     |
|-----|-----------------|-----------------|--------------------|-------------------------------------|
| 815 | CH <sub>3</sub> | H               | 4-OCH <sub>3</sub> | <sup>20</sup> n <sub>D</sub> 1.5588 |
| 816 | CH <sub>3</sub> | H               | H                  | <sup>20</sup> n <sub>D</sub> 1.5653 |
| 817 | CH <sub>3</sub> | H               | 4-F                | <sup>20</sup> n <sub>D</sub> 1.5547 |
| 818 | CH <sub>3</sub> | CH <sub>3</sub> | 4-Cl               | <sup>20</sup> n <sub>D</sub> 1.5688 |
| 819 | CH <sub>3</sub> | CH <sub>3</sub> | 4-OCH <sub>3</sub> | <sup>20</sup> n <sub>D</sub> 1.5643 |
| 820 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | <sup>20</sup> n <sub>D</sub> 1.5755 |
| 821 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | <sup>20</sup> n <sub>D</sub> 1.5747 |
| 822 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | <sup>20</sup> n <sub>D</sub> 1.5654 |
| 823 | CH <sub>3</sub> | CH <sub>3</sub> | H                  | <sup>20</sup> n <sub>D</sub> 1.5757 |
| 824 | CH <sub>3</sub> | CH <sub>3</sub> | 4-Cl               | <sup>20</sup> n <sub>D</sub> 1.5751 |
| 825 | CH <sub>3</sub> | CH <sub>3</sub> | 4-OCH <sub>3</sub> | <sup>20</sup> n <sub>D</sub> 1.5733 |
|     |                 |                 |                    | - Cont'd -                          |

0234045

- 91 -

Table I(c) (Cont'd)

|     |                 |                 |   |  |                    |                                     |
|-----|-----------------|-----------------|---|--|--------------------|-------------------------------------|
| 826 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -C <sub>6</sub> H <sub>4</sub> -C <sub>4</sub> H <sub>9</sub> -t  | H                  | n <sub>D</sub> <sup>20</sup> 1.5543 |
| 827 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -C <sub>6</sub> H <sub>4</sub> -C <sub>4</sub> H <sub>9</sub> -t  | 4-F                | n <sub>D</sub> <sup>20</sup> 1.5450 |
| 828 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -C <sub>6</sub> H <sub>4</sub> -C <sub>4</sub> H <sub>9</sub> -t  | 4-Cl               | n <sub>D</sub> <sup>20</sup> 1.5578 |
| 829 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -C <sub>6</sub> H <sub>4</sub> -C <sub>4</sub> H <sub>9</sub> -t  | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> 1.5539 |
| 830 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -C <sub>6</sub> H <sub>4</sub> -C <sub>5</sub> H <sub>11</sub> -n | H                  | n <sub>D</sub> <sup>20</sup> 1.5463 |
| 831 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -C <sub>6</sub> H <sub>4</sub> -OCH <sub>3</sub>                  | H                  | n <sub>D</sub> <sup>20</sup> 1.5695 |
| 832 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -C <sub>6</sub> H <sub>4</sub> -C <sub>5</sub> H <sub>11</sub> -n | 4-F                | n <sub>D</sub> <sup>20</sup> 1.5332 |
| 833 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -C <sub>6</sub> H <sub>4</sub> -OCH <sub>3</sub>                  | 4-F                | n <sub>D</sub> <sup>20</sup> 1.5613 |
| 834 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -C <sub>6</sub> H <sub>4</sub> -OCH <sub>3</sub>                  | 4-Cl               | n <sub>D</sub> <sup>20</sup> 1.5760 |
| 835 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -C <sub>6</sub> H <sub>4</sub> -OCH <sub>3</sub>                  | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> 1.5690 |

- Cont'd -

Table I(b) (Cont'd)

|     |                 |                 |   |   |                              |        |
|-----|-----------------|-----------------|---|---|------------------------------|--------|
| 836 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -SCF <sub>2</sub> CF <sub>2</sub> H. | <sup>20</sup> n <sub>D</sub> | 1.5545 |
| 837 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -COOCH <sub>3</sub>                  | <sup>20</sup> n <sub>D</sub> | 1.5722 |
| 838 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -COOC <sub>4</sub> H <sub>9</sub> -t | <sup>20</sup> n <sub>D</sub> | 1.5577 |
| 839 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -Cyclohexyl                          | <sup>20</sup> n <sub>D</sub> | 1.5660 |
| 840 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> -Cyclohexyl                          | <sup>20</sup> n <sub>D</sub> | 1.5576 |
| 841 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH=CH-Cyclohexyl   | 4-Cl                         |        |
| 842 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH=CH-Cyclohexyl-F   | <sup>20</sup> n <sub>D</sub> | 1.5647 |
| 843 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH=CH-Cyclohexyl-F   | 4-Cl                         |        |
| 844 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH=CH-Cyclohexyl-F   | <sup>20</sup> n <sub>D</sub> | 1.5732 |
| 845 | CH <sub>3</sub> | CH <sub>3</sub> | H | -CH <sub>2</sub> CH=CH-Cyclohexyl-F   | <sup>20</sup> n <sub>D</sub> | 1.5972 |

- cont'd -

Table I(b) (Cont'd)

|     |                 |   |   |   |                    |                                     |
|-----|-----------------|---|---|---|--------------------|-------------------------------------|
| 846 | CH <sub>3</sub> |  | H | -CH <sub>2</sub> CH=CH-  -Cl | 4-Cl               | n <sub>D</sub> <sup>20</sup> 1.5980 |
| 847 | CH <sub>3</sub> | CH <sub>3</sub>   | H | -CH <sub>2</sub> CH=CH-  -Cl | H                  | m.p. 119.9                          |
| 848 | CH <sub>3</sub> | CH <sub>3</sub>   | H | -CH <sub>2</sub> C≡C-        | H                  | n <sub>D</sub> <sup>20</sup> 1.6045 |
| 849 | CH <sub>3</sub> | CH <sub>3</sub>   | H | -CH <sub>2</sub> C≡C-        | 4-Cl               | n <sub>D</sub> <sup>20</sup> 1.5886 |
| 850 | CH <sub>3</sub> | CH <sub>3</sub>   | H | -CH <sub>2</sub> C≡C-  -F    | Paste              |                                     |
| 851 | CH <sub>3</sub> | CH <sub>3</sub>   | H | -CH <sub>2</sub> C≡C-  -F    | 4-F                | n <sub>D</sub> <sup>20</sup> 1.5828 |
| 852 | CH <sub>3</sub> | CH <sub>3</sub>   | H | -CH <sub>2</sub> C≡C-  -Cl   | H                  | Paste                               |
| 853 | CH <sub>3</sub> | CH <sub>3</sub>   | H | -CH <sub>2</sub> C≡C-  -Cl   | 4-F                | Paste                               |
| 854 | CH <sub>3</sub> | CH <sub>3</sub>   | H | -CH <sub>2</sub> C≡C-  -Cl   | 4-Cl               | Paste                               |
| 855 | CH <sub>3</sub> | CH <sub>3</sub>   | H | -CH <sub>2</sub> C≡C-  -Cl   | 4-OCH <sub>3</sub> | n <sub>D</sub> <sup>20</sup> 1.5815 |

- Cont'd -

0234045

- 94 -

Table I(b) (Cont'd)

|     |                 |                 |                 |                                     |   |            |        |
|-----|-----------------|-----------------|-----------------|-------------------------------------|---|------------|--------|
|     |                 |                 |                 | -CH <sub>3</sub>                    | H | $n_D^{20}$ | 1.5822 |
|     |                 |                 |                 |                                     |   |            |        |
|     |                 |                 |                 |                                     | H | $n_D^{20}$ | 1.5800 |
|     |                 |                 |                 |                                     |   |            |        |
| 856 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | -CH <sub>3</sub>                    |   |            |        |
|     |                 |                 |                 |                                     |   |            |        |
| 857 | CH <sub>3</sub> | CH <sub>3</sub> | CH <sub>3</sub> | -CH <sub>2</sub> CH=CH <sub>2</sub> |   |            |        |
|     |                 |                 |                 |                                     |   |            |        |

1 Note 1.  $^1\text{H}$ NMR value ( $\text{CDCl}_3$ , TMS) of Compound No. 180:

1.62 (6H, s), 2.33 (3H, s), 3.53 (3H, s),  
4.83 (2H, d,  $J=48\text{Hz}$ ), 4.95 (2H, s),  
6.7 - 7.9 (9H, m), 7.75 (1H, s)

5 Note 2.  $^1\text{H}$ NMR value ( $\text{CDCl}_3$ , TMS) of compound No. 299:

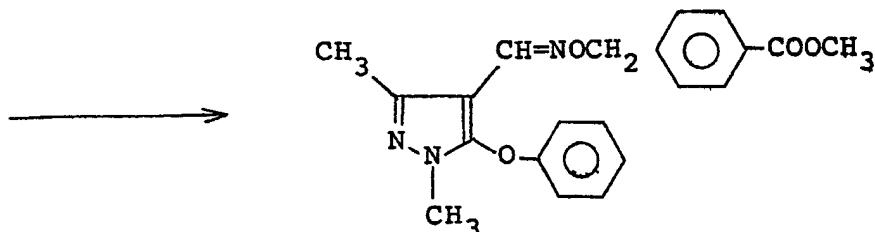
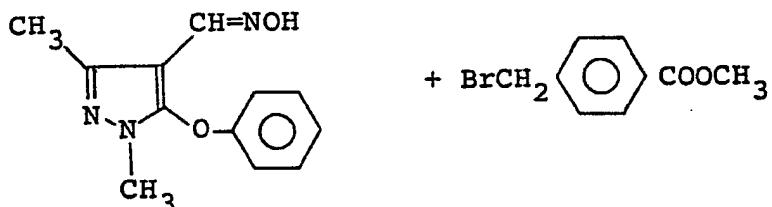
1.37 (6H, s), 2.34 (3H, s), 3.55 (3H, s),  
4.53 (2H, d,  $J=47.5\text{Hz}$ ), 4.95 (2H, s),  
6.7 - 7.4 (9H, m), 7.76 (1H, s)

Production of the compounds of the present

10 invention will be illustrated with reference to the following examples, but it is not limited to these examples.

Example 1 Methyl 4-[(1,3-dimethyl-5-phenoxyprazol-4-yl)methyleneaminooxymethyl]benzoate

15 (compound No. 16)



2.0 Grams (0.00865 mole) of 1,3-dimethyl-5-phenoxyprazole-4-carbaldehyde oxime, 1.98 g (0.00865 mole)

- 96 -

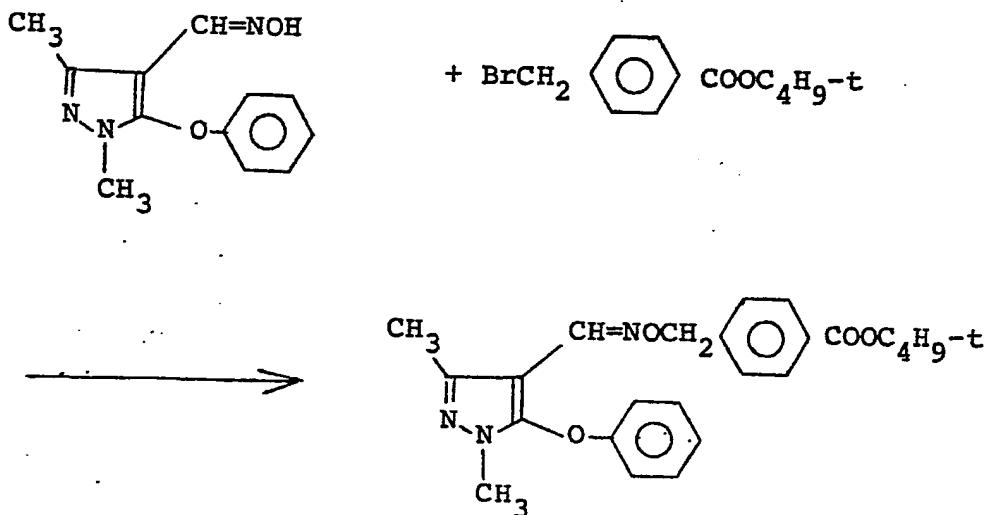
1 of methyl 4-bromomethylbenzoate and 1.19 g (0.009 mole) of potassium carbonate were added to 50 ml of acetone, and the resulting mixture was heated under reflux for 8 hours.

5 After completion of the reaction, acetone was removed by evaporation under reduced pressure, after which water was added to the residue and extraction was carried out with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product

10 was column-chromatographed on silica gel to obtain 2.0 g of the desired product.

Yield 61%.  $n_D^{20}$  1.5612

Example 2 Tert-butyl 4-[(1,3-dimethyl-5-phenoxyprazol-4-yl)methyleneaminooxymethyl]benzoate (compound  
15 No. 60)

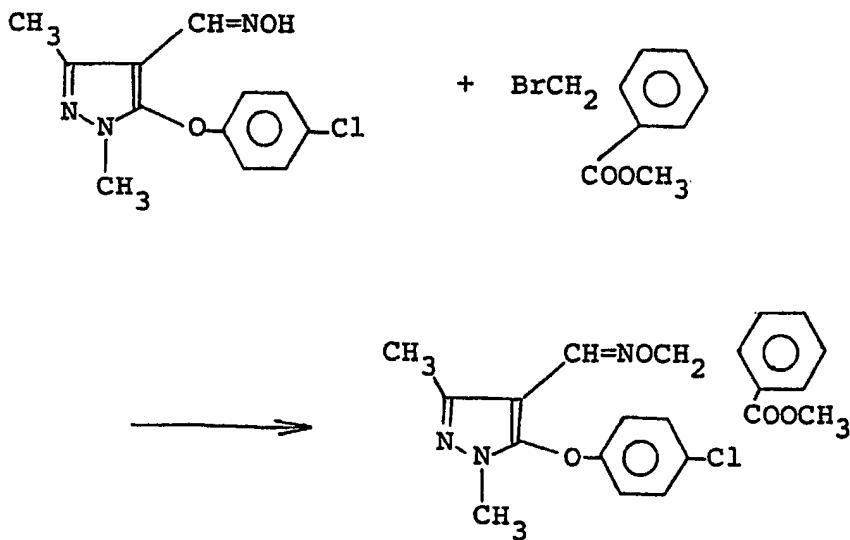


2.0 Grams (0.00855 mole) of 1,3-dimethyl-5-phenoxyprazole-4-carbaldehyde oxime was dissolved in 20 ml

1 of dimethyl sulfoxide, and after adding 0.65 g (0.0116 mole) of powdery potassium hydroxide, the resulting mixture was stirred at 30°C for 30 minutes. To this solution was added 2.32 g (0.00855 mole) of tert-butyl 4-  
 5 bromomethylbenzoate, and reaction was carried out at from 50° to 60°C for 1 hour. After completion of the reaction, water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was  
 10 removed by evaporation to obtain crude crystals. The crystals were recrystallized from methanol to obtain 2.4 g of the desired compound.

Yield 67.0%. m.p. 101.7°C.

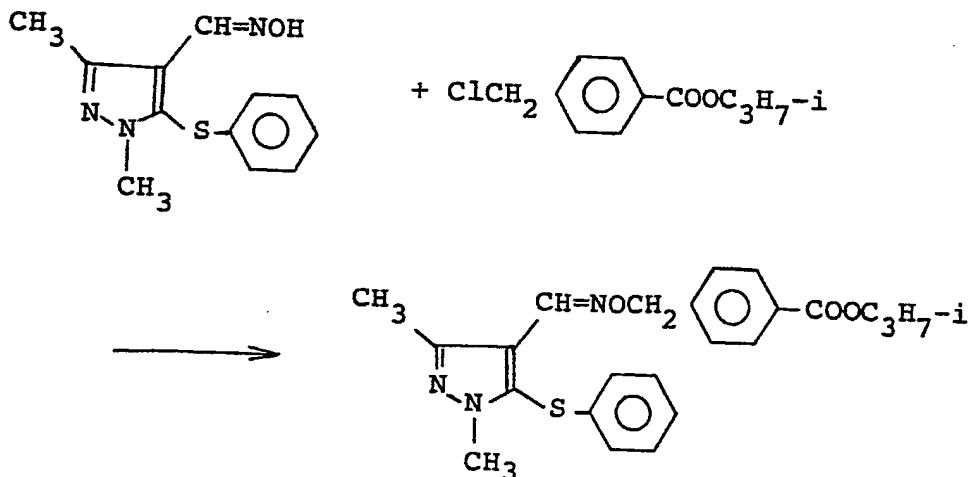
Example 3 Methyl 2-[{5-(4-chlorophenoxy)-1,3-dimethyl-  
 15 pyrazol-4-yl}methylenaminoxymethyl]benzoate  
 (compound No. 3)



1        2.0 Grams (0.00755 mole) of 5-(4-chlorophenoxy)-  
1,3-dimethyl-pyrazole-4-carbaldehyde oxime was dissolved in  
20 ml of dimethylformamide, and after adding 0.5 g (0.0125  
mole) of powdery sodium hydroxide, the resulting mixture  
5        was thoroughly stirred. To this solution was added 1.73 g  
(0.00755 mole) of methyl 2-bromomethylbenzoate, and  
reaction was carried out at from 70° to 80°C for 5 hours.  
After completion of the reaction, water was added to the  
reaction solution which was then extracted with ethyl  
10      acetate. The ethyl acetate extract was washed with water  
and dried, and ethyl acetate was removed by evaporation to  
obtain an oily product. This oily product was column-  
chromatographed on silica gel to obtain 2.0 g of the  
desired compound.

15      Yield 64.0%.       $n_D^{20}$  1.5788.

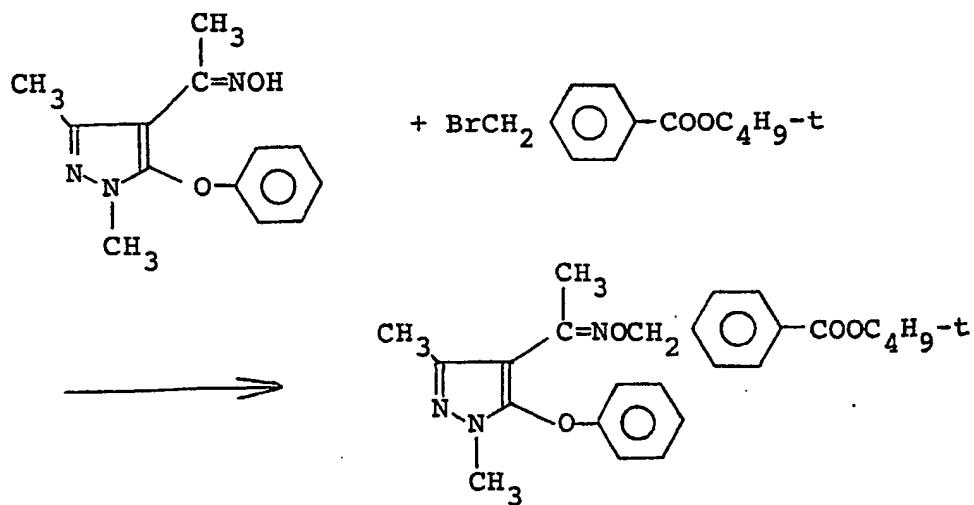
Example 4   Isopropyl 4-[(1,3-dimethyl-5-phenylthiopyrazol-  
4-yl)methyleneaminooxymethyl]benzoate  
(compound No. 174)



- 1        3.0 Grams (0.0121 mole) of 1,3-dimethyl-5-phenylthiopyrazole-4-carbaldehyde oxime, 2.57 g (0.0121 mole) of isopropyl 4-chloromethylbenzoate and 2.8 g (0.026 mole) of sodium carbonate were added to 50 ml of methyl  
5 ethyl ketone, and the resulting mixture was heated under reflux for 5 hours. After completion of the reaction, methyl ethyl ketone was removed by evaporation under reduced pressure, after which water was added to the residue and extraction was carried out with ethyl acetate.  
10 The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 3.0 g of the desired compound.

Yield 59.0%.       $n_D^{20}$  1.5821.

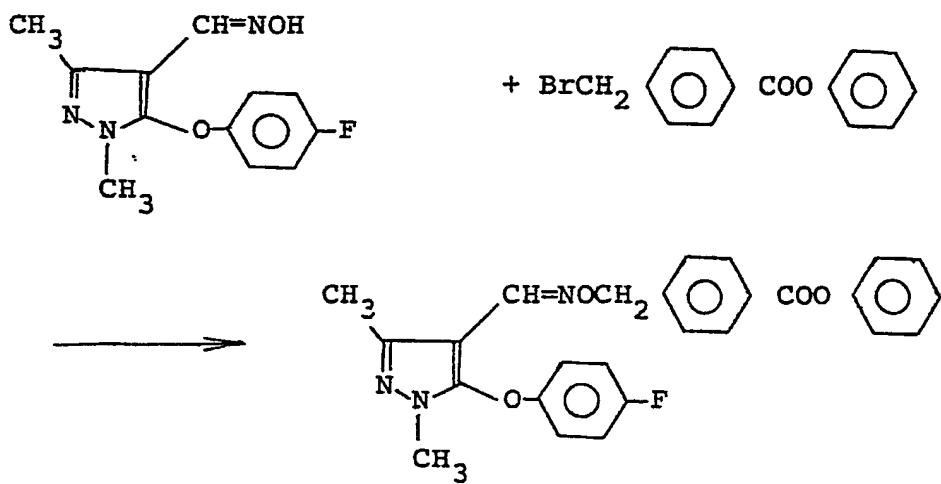
- 15 Example 5    Tert-butyl 4-[1-(1,3-dimethyl-5-phenoxy)pyrazol-4-yl)-ethylideneaminoxy]methyl] benzoate  
(compound No. 166)



1        2.0 Grams (0.00816 mole) of methyl 1,3-dimethyl-  
5-phenoxy-pyrazol-4-yl ketone oxime, 2.2 g (0.00816 mole)  
of tert-butyl 4-bromomethylbenzoate and 4.0 g (0.028 mole)  
of potassium carbonate were added to 50 ml of acetonitrile,  
5 and the resulting mixture was heated under reflux for 5  
hours. After completion of the reaction, acetonitrile was  
removed by evaporation under reduced pressure, after which  
water was added to the residue and extraction was carried  
out with ethyl acetate. The ethyl acetate extract was  
10 washed with water and dried, and ethyl acetate was removed  
by evaporation to obtain crude crystals. The crystals were  
recrystallized from methanol to obtain 2.8 g of the desired  
compound.

Yield 79.0%.      m.p. 94.4°C.

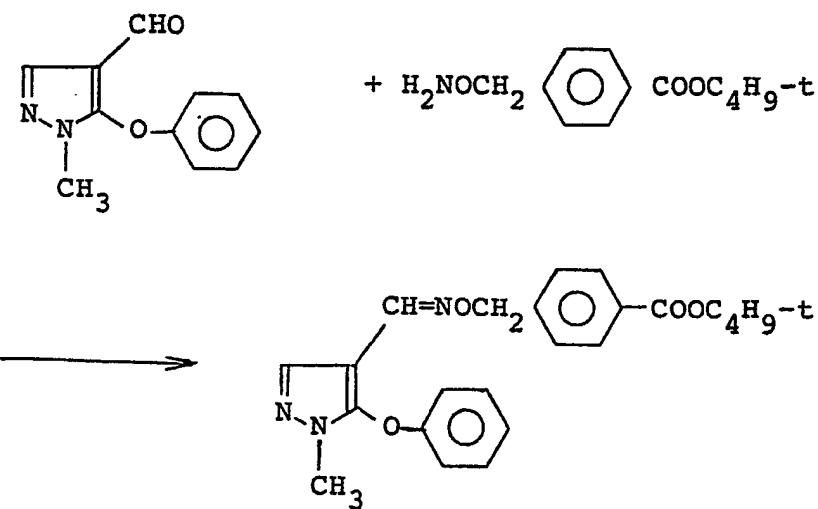
15 Example 6 Cyclohexyl 4-[{5-(4-fluorophenoxy)-1,3-  
dimethylpyrazol-4-yl}methyleneaminoxy-  
methyl]benzoate (compound No. 119)



1        2.0 Grams (0.008 mole) of 5-(4-fluorophenoxy)-  
1,3-dimethylpyrazole-4-carbaldehyde oxime and 0.5 g (0.0125  
mole) of powdery sodium hydroxide were added to 50 ml of  
dimethyl sulfoxide, and the resulting mixture was stirred  
5 for 30 minutes. To this solution was added 2.38 g (0.008  
mole) of cyclohexyl 4-bromomethylbenzoate, and reaction was  
carried out at from 70° to 80°C for 6 hours. After  
completion of the reaction, water was added to the reaction  
solution which was then extracted with ethyl acetate. The  
10 ethyl acetate extract was washed with water and dried, and  
ethyl acetate was removed by evaporation to obtain an oily  
product. This oily product was column-chromatographed on  
silica gel to obtain 3.0 g of the desired compound.

Yield 80.0%.       $n_D^{20}$  1.5863.

15 Example 7    Tert-butyl 4-[(1-methyl-5-phenoxy)pyrazol-4-  
yl)methyleneaminooxymethyl]benzoate (compound  
No. 174)



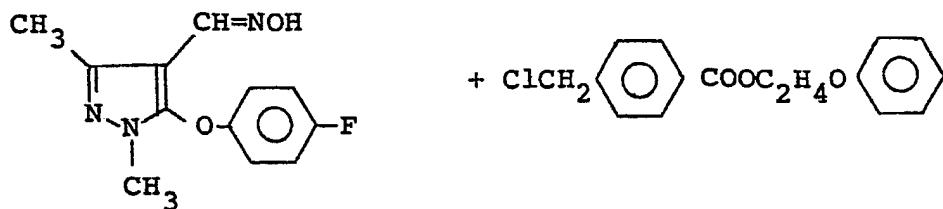
1           1.0 Gram (0.0049 mole) of 1-methyl-5-phenoxyypyrazole-4-carbaldehyde and 1.1 g (0.0049 mole) of  
 5           tert-butyl 4-aminooxymethylbenzoate were added to 20 ml of ethanol, and the resulting mixture was heated under reflux  
 10          to carry out reaction. After completion of the reaction, ethanol was removed by evaporation, after which water was added to the residue and extraction was carried out with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product  
 15          was column-chromatographed on silica gel to obtain 1.6 g of the desired compound.

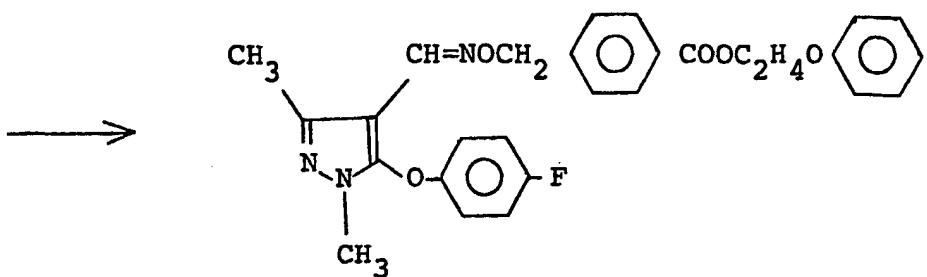
Yield 80%.     Form of product: paste.

NMR (CDCl<sub>3</sub>, TMS):

15          δ (ppm) 1.56 (s, 9H), 3.60 (s, 3H),  
 4.96 (s, 2H), 6.60 - 7.40 (m, 7H),  
 7.63 (s, 1H), 7.66 (s, 1H),  
 7.75 - 8.00 (m, 2H).

Example 8    2-phenoxyethyl 4-[{5-(4-fluorophenoxy)-  
 20        1,3-dimethylpyrazol-4-yl}methylenaminooxy-  
 methyl]benzoate (compound No. 142)

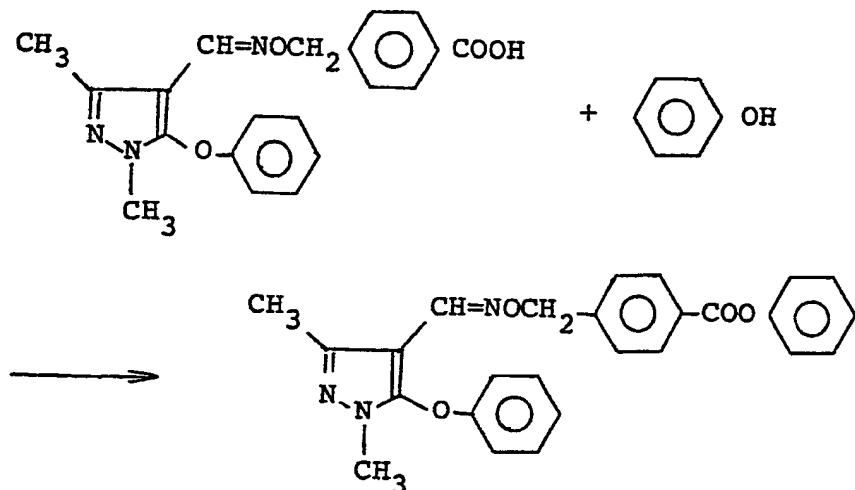




1        2.0 Grams (0.008 mole) of 5-(4-fluorophenoxy)-  
1,3-dimethylpyrazole-4-carbaldehyde oxime was dissolved in  
20 ml of dimethyl sulfoxide, and after adding 0.65 g  
(0.0116 mole) of powdery potassium hydroxide, the resulting  
5 solution was stirred at 30° C for 30 minutes. To this  
solution was added 2.5 g (0.00865 mole) of 2-phenoxyethyl  
4-chloromethylbenzoate, and reaction was carried out at  
from 50° to 60° C for 1 hour. After completion of the  
reaction, water was added to the reaction solution which  
10 was then extracted with ethyl acetate. The ethyl acetate  
extract was washed with water and dried, and ethyl acetate  
was removed by evaporation to obtain an oily product. This  
oily product was column-chromatographed on silica gel to  
obtain 3.0 g of the desired compound.

15       Yield 75.0%.       $n_D^{20}$  1.5655.

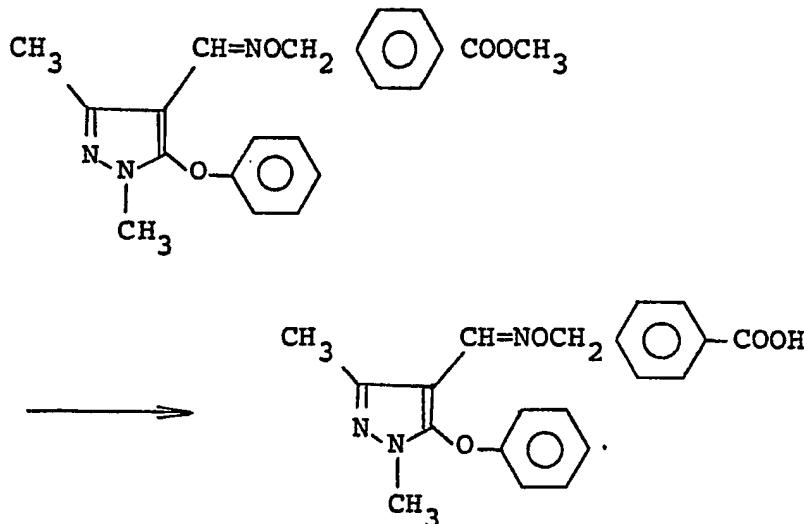
1 Example 9 Phenyl 4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-yl)methyleneaminoxy]benzoate (compound No. 161)



1.0 Gram (0.0027 mole) of 4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-yl)methyleneaminoxy]benzoic acid,  
5 0.25 g (0.0027 mole) of phenol and 0.7 g (0.0027 mole) of triphenylphosphine were added to 50 ml of ether, and the resulting mixture was stirred. To this solution was added 0.47 g (0.0027 mole) of diethyl azodicarboxylate, and the  
10 resulting solution was heated under reflux for 3 hours. After completion of the reaction, the ether layer was filtered, and ether was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 0.9 g of the  
15 desired compound.

Yield 76.0%.  $n_D^{20}$  1.5656.

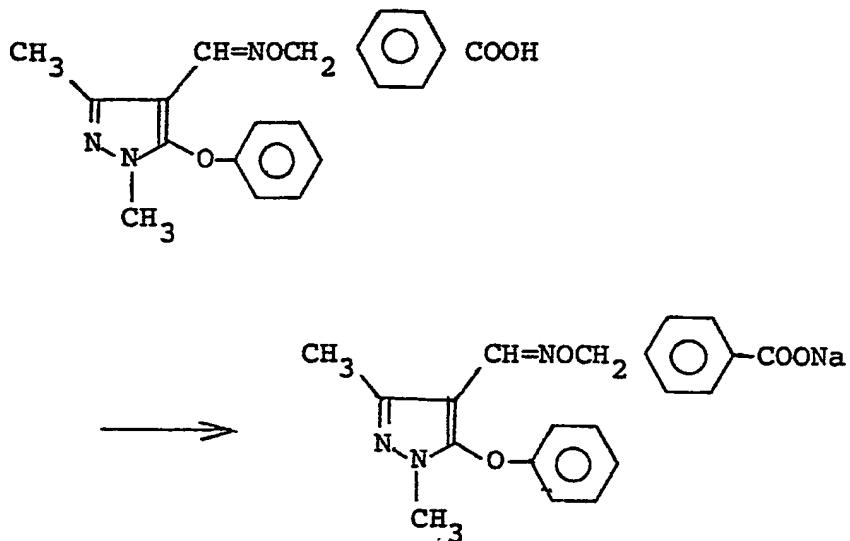
1 Example 10 4-[(1,3-Dimethyl-5-phenoxyprazol-4-yl)-methyleneaminooxymethyl]benzoic acid (compound No. 14)



Three grams (0.0079 mole) of methyl 4-[(1,3-dimethyl-5-phenoxyprazol-4-yl)methyleneamino]benzoate was dissolved in 20 ml of methanol and a solution of 0.24 g of lithium hydroxide in 5 ml of water was added. Reaction was then carried out at room temperature for 2 hours. After completion of the reaction, methanol was removed by evaporation, and after adding water, the solution was acidified with hydrochloric acid to precipitate crystals. The crystals were collected by filtration to obtain 2 g of the desired compound.

Yield 70%. m.p. 183.3°C.

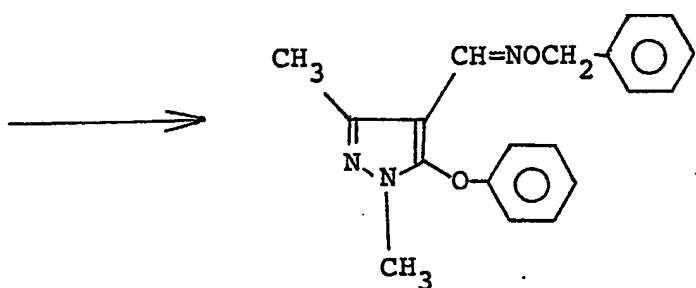
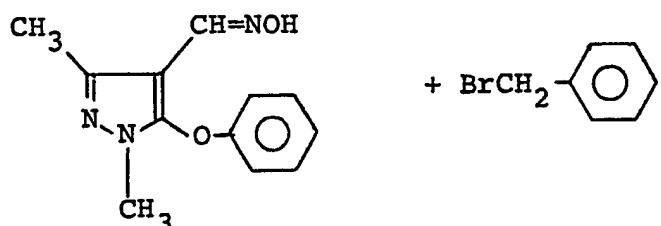
1 Example 11 Sodium 4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-yl)methyleneaminoxy]benzoate (compound No. 15)



1.0 Gram (0.0027 mole) of 4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-yl)methyleneaminoxy]benzoic acid  
5 and 0.07 g (0.0028 mole) of sodium hydroxide were added to  
10 ml of water, and the resulting mixture was stirred for 2  
hours. After completion of the reaction, water was removed  
by evaporation under reduced pressure to obtain the desired  
10 compound in a quantitative yield.

m.p. >300°C.

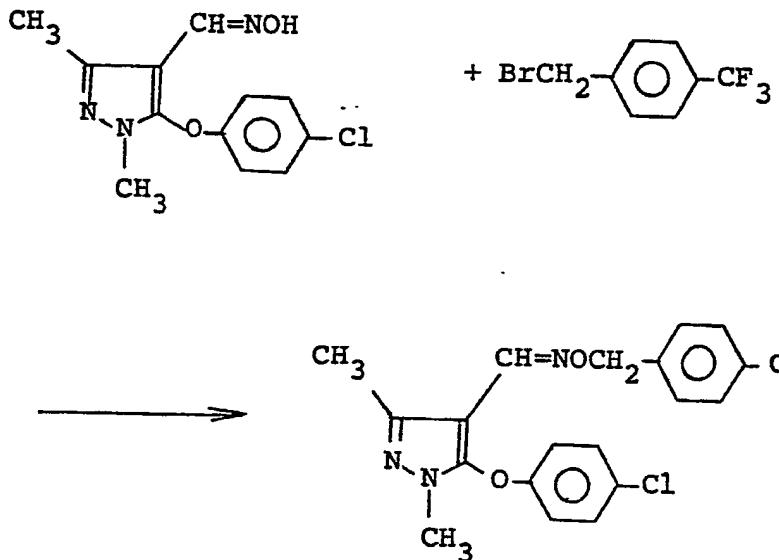
1 Example 12 1,3-Dimethyl-5-phenoxyypyrazole-4-carbaldehyde  
oxime O-benzyl ether (compound No. 181)



2.0 Grams (0.00866 mole) of 1,3-dimethyl-5-phenoxyypyrazole-4-carbaldehyde oxime, 1.5 g (0.0087 mole) of benzyl bromide and 2.0 g (0.0145 mole) of potassium carbonate were dissolved in 50 ml of acetone, and the resulting solution was heated under reflux for 7 hours. After completion of the reaction, acetone was removed by evaporation under reduced pressure, after which water was added and extraction was carried out with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 2.6 g of the desired compound.

15 Yield 93.0%.  $n_{\text{D}}^{20}$  1.5517.

1 Example 13 5-(4-Chlorophenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-4-trifluoromethylbenzyl ether (compound No. 195)

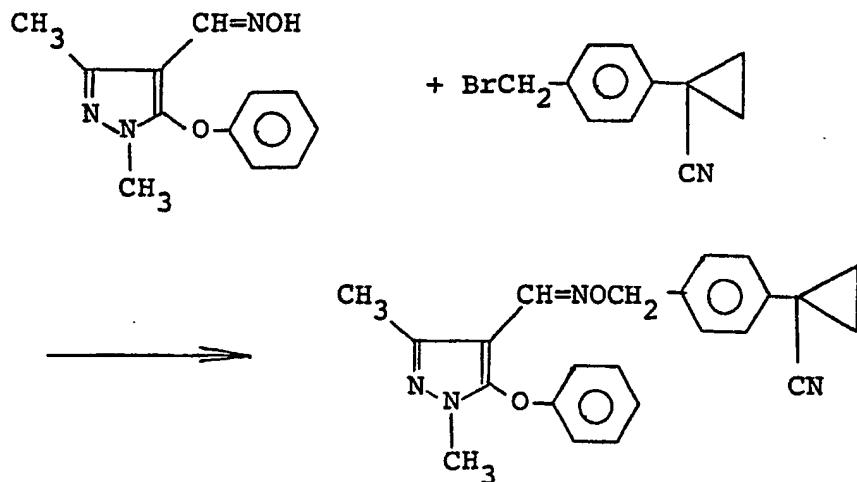


2.0 Grams (0.0075 mole) of 5-(chlorophenoxy)-1,3-

5 dimethylpyrazole-4-carbaldehyde oxime was dissolved in 40 ml of tetrahydrofuran, and after adding 0.19 g (0.0079 mole) of sodium hydride at room temperature, the resulting solution was stirred. Thereafter, 1.7 g (0.0071 mole) of 4-trifluoromethylbenzyl bromide was added, followed by  
10 heating under reflux for 3 hours. After completion of the reaction, 100 ml of water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily  
15 product. This oily product was column-chromatographed on silica gel to obtain 2.7 g of the desired compound.

Yield 85.0%.  $n_{D}^{20}$  1.5539.

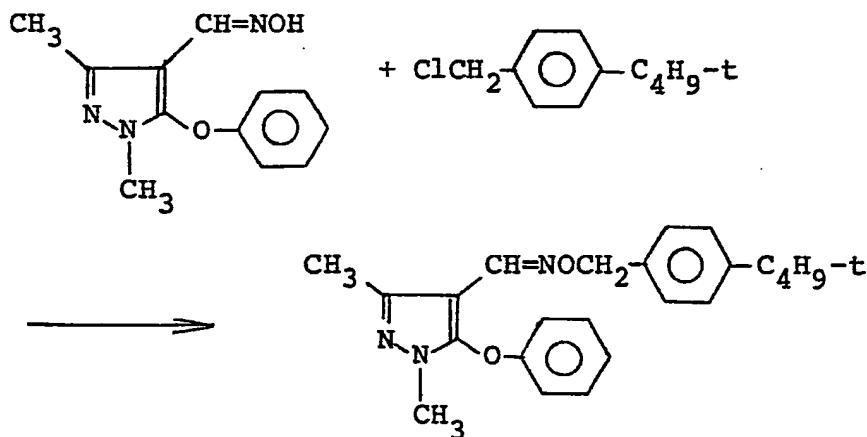
1 Example 14 1,3-Dimethyl-5-phenoxyphthalazone-4-carbaldehyde oxime 0-4-(1-cyanocyclopropyl)benzyl ether  
(compound No. 199)



- 2.0 Grams (0.0086 mole) of 1,3-dimethyl-5-
- 5 phenoxypyrazole-4-carbaldehyde oxime was dissolved in 30 ml of dimethylformamide, and a solution of 0.5 g (0.0125 mole) of sodium hydroxide in 5 ml of water was added. After stirring was continued for 30 minutes, 2.0 g (0.0086 mole) of 1-(4-bromomethylphenyl)cyclopropane-1-carbonitrile was
- 10 added to the solution, and reaction was carried out at from 60° to 70°C for 3 hours. After completion of the reaction, 100 ml of water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate
- 15 was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 2.8 g of the desired compound.

Yield 84.0%. m.p. 109.1°C.

1 Example 15 1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde oxime 4-tert-butylbenzyl ether (compound No. 205)

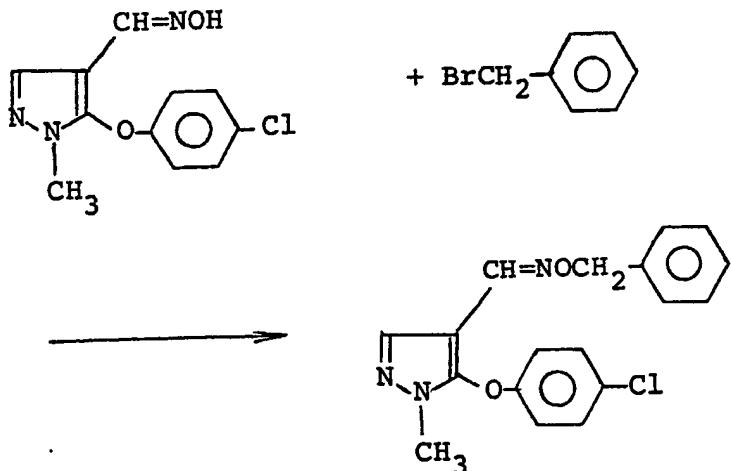


2.0 Grams (0.0086 mole) of 1,3-dimethyl-5-

5 phenoxyprazole-4-carbaldehyde oxime was dissolved in 20 ml of dimethyl sulfoxide, and after adding 1.0 g (0.0178 mole) of potassium hydroxide, the resulting solution was stirred at room temperature for 30 minutes. To this solution was added 1.5 g (0.0086 mole) of 4-tert-butylbenzyl chloride,  
10 and reaction was carried out at from 50° to 60°C for 3 hours. After completion of the reaction, 100 ml of water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by  
15 evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 2.4 g of the desired compound.

Yield 74.0%.  $n_{D}^{20}$  1.5402.

1 Example 16 5-(4-Chlorophenoxy)-1-methylpyrazole-4-carbaldehyde oxime O-benzyl ether (compound No. 279)

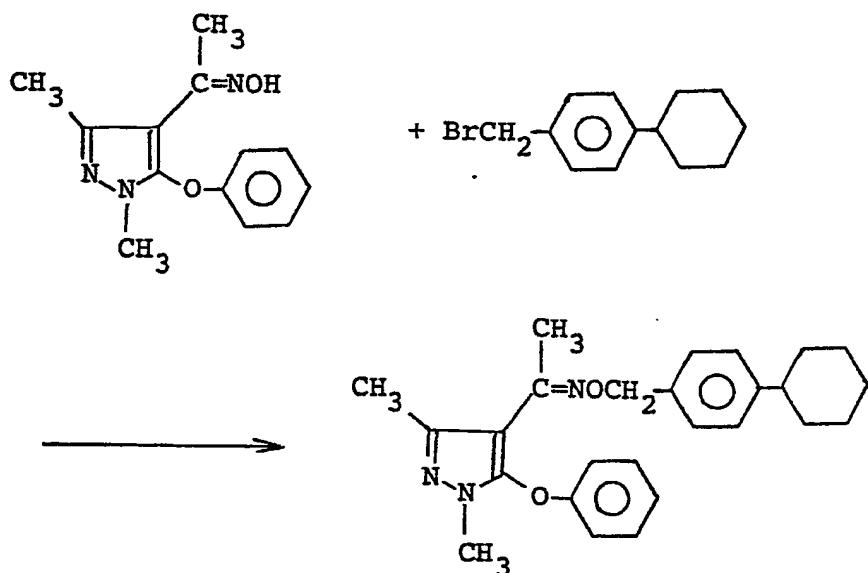


2.0 Grams (0.0092 mole) of 5-(4-chlorophenoxy)-1-methylpyrazole-4-carbaldehyde oxime, 1.5 g (0.0092 mole) of benzyl bromide and 2.0 g (0.0145 mole) of potassium carbonate were dissolved in 50 ml of acetonitrile, and the resulting solution was heated under reflux for 9 hours.

After completion of the reaction, 100 ml of water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 2.2 g of the desired compound.

Yield 78.0%.  $n_D^{20}$  1.5933.

1 Example 17 1,3-Dimethyl-5-phenoxyprazol-4-yl methyl  
ketone oxime O-4-cyclohexylbenzyl ether  
(compound No. 283)



2.0 Grams (0.0040 mole) of 1,3-dimethyl-5-

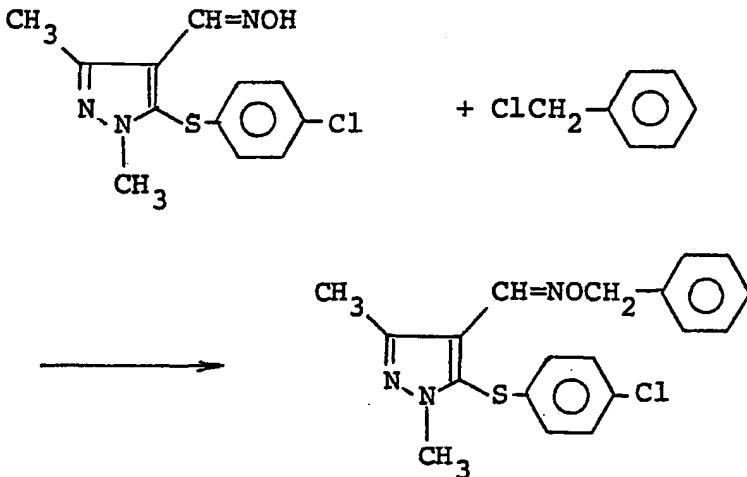
- 5 phenoxyprazol-4-yl methyl ketone oxime was dissolved in 30 ml of dioxane, and 0.1 g (0.0042 mole) of sodium borohydride was added to the solution with thorough stirring. After 30 minutes, 1.6 g (0.0038 mole) of 4-cyclohexylbenzyl bromide was added to the reaction solution 10 which was then heated under reflux for 5 hours. After completion of the reaction, 100 ml of water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to 15 obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.2 g of

1 the desired compound.

Yield 72.0%.  $n_D^{20}$  1.5775

Example 18 5-(4-Chlorophenylthio)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-benzyl ether (compound No.

5 290)

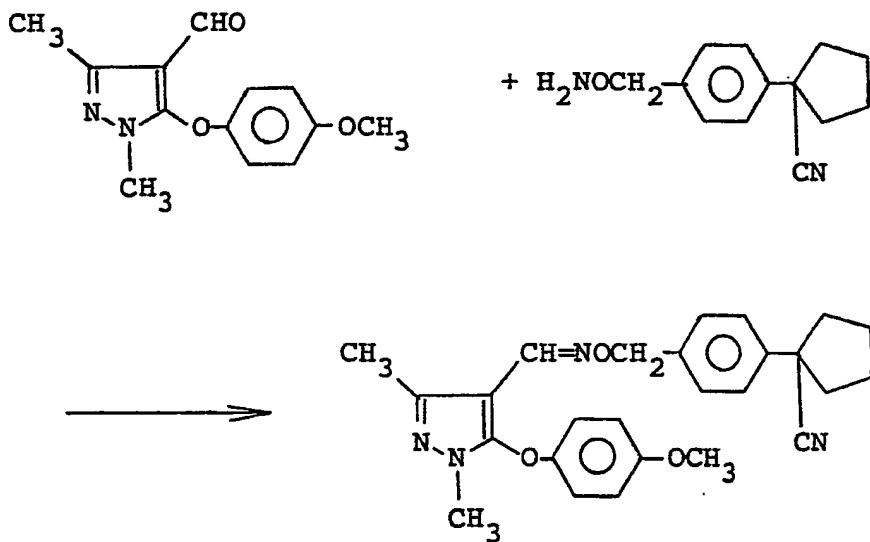


2.0 Grams (0.0071 mole) of 5-(4-chlorophenylthio)-1,3-dimethylpyrazole-4-carbaldehyde oxime was dissolved in 20 ml of dimethyl sulfoxide, and to this solution was added a solution of 0.5 g (0.009 mole) of potassium hydroxide in 5 ml of water. After thorough stirring, 0.9 g (0.0071 mole) of benzyl chloride was added, and reaction was carried out at from 60° to 70°C for 2 hours. After completion of the reaction, 100 ml of water was added to the reaction solution which was then extracted 10 with ethyl acetate. The ethyl acetate extract was washed 15 with water and dried, and ethyl acetate was removed by

1 evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 2.3 g of the desired compound.

Yield 87.0%.  $n_D^{20}$  1.5562.

5 Example 19 5-(4-Methoxyphenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-4-(1-cyanocyclopentyl)-benzyl ether (compound No. 238)

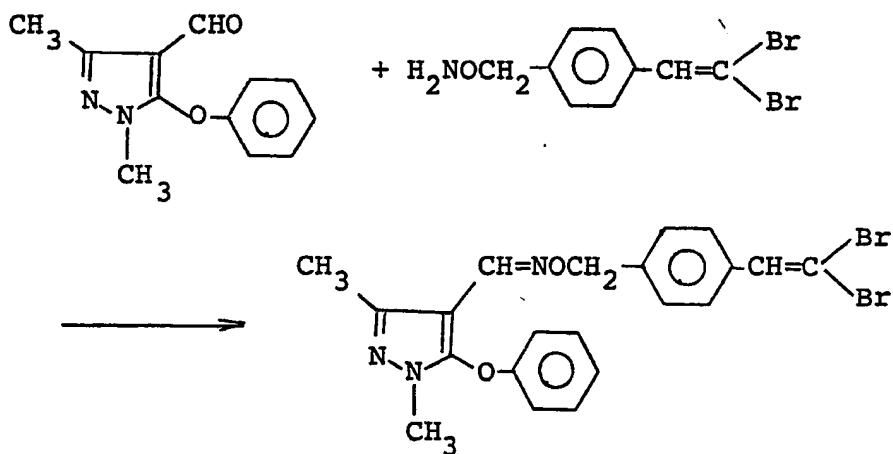


2.0 Grams (0.0081 mole) of 1,3-dimethyl-5-(4-methoxyphenoxy)pyrazole-4-carbaldehyde was dissolved in  
10 50 ml of ethanol, and 1.7 g (0.0081 mole) of O-4-(1-cyanocyclopentyl)benzylhydroxylamine was added, after which reaction was carried out at from 50° to 60°C for 3 hours.  
After completion of the reaction, ethanol was removed by evaporation under reduced pressure, after which water was added and extraction was carried out with ethyl acetate.  
15.

1 The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 3.0 g of the  
5 desired compound.

Yield 83.0%.  $n_D^{20}$  1.5632.

Example 20 1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde oxime O-4-(2,2-dibromovinyl)benzyl ether  
(compound No. 262)

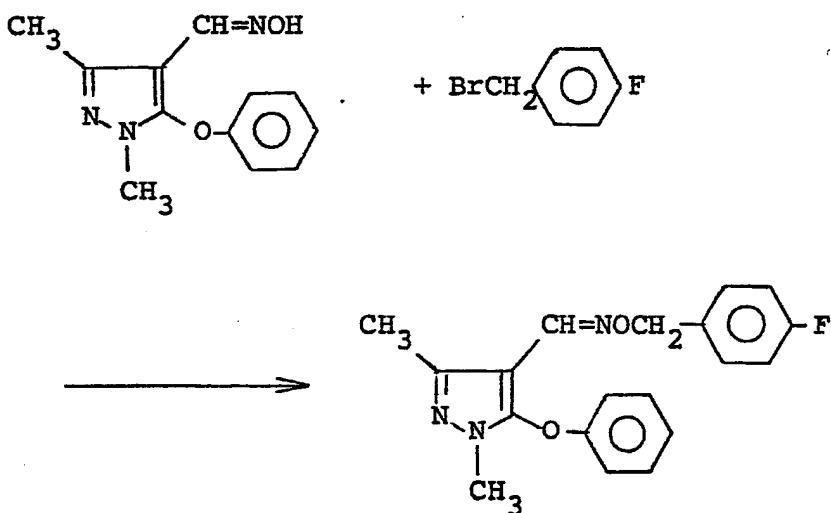


10 2.0 Grams (0.0093 mole) of 1,3-dimethyl-5-phenoxyprazole-4-carbaldehyde was dissolved in 50 ml of methanol, and 2.8 g (0.0091 mole) of O-4-(2,2-dibromovinyl)benzylhydroxylamine was added to the solution which was then heated under reflux for 3 hours. After  
15 completion of the reaction, methanol was removed by evaporation under reduced pressure, after which water was added and extraction was carried out with ethyl acetate.

1 The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 3.5 g of the desired compound.

5 Yield 76.0%. m.p. 109.3°C.

Example 21 1,3-dimethyl-5-phenoxyprazole-4-carbaldehyde oxime O-4-fluorobenzyl ether (compound No. 305)

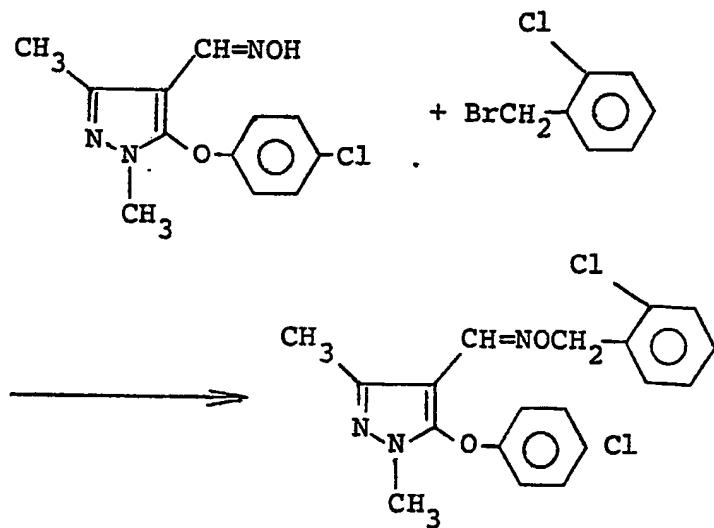


1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-phenoxyprazole-4-carbaldehyde oxime was dissolved in 20 ml of dimethyl sulfoxide, and after adding 0.3 g (0.0053 mole) of powdery potassium hydroxide, the resulting solution was stirred. To this reaction solution was added 0.81 g (0.0043 mole) of 4-fluorobenzyl bromide, and reaction was carried out at room temperature for 3 hours. After completion of the reaction, 200 ml of water was added to the reaction solution which was then extracted with ethyl

1 acetate. The ethyl acetate extract was washed with water  
and dried, and ethyl acetate was removed by evaporation to  
obtain an oily product. This oily product was  
column-chromatographed on silica gel to obtain 1.3g of the  
5 desired compound.

Yield 89%.  $n_D^{20}$  1.5681.

Example 22 5-(4-Chlorophenoxy)-1,3-dimethylpyrazole-4-  
carbaldehyde oxime O-2-chlorobenzyl ether  
(compound No. 309)

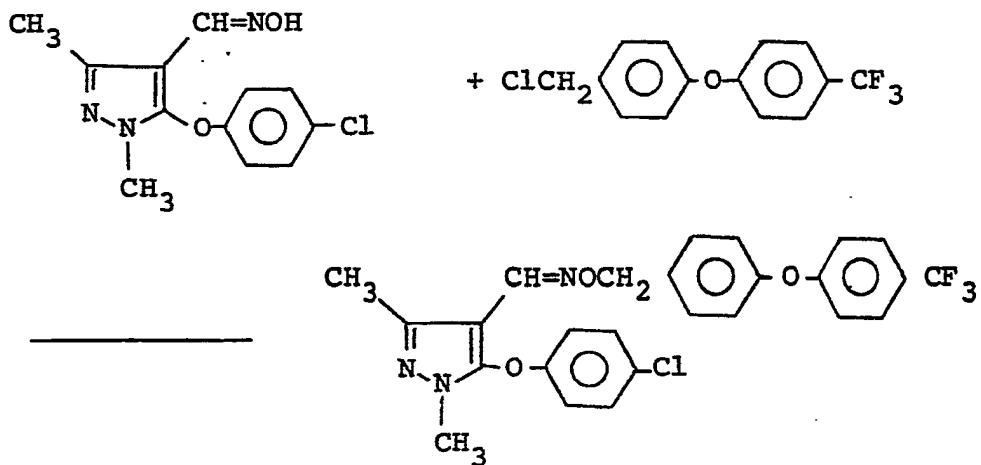


10           1.0 Gram (0.0038 mole) of 5-(4-chlorophenoxy)-  
1,3-dimethylpyrazole-4-carbaldehyde oxime, 0.78 g (0.0038  
mole) of 2-chlorobenzyl bromide and 1.0 g (0.0072 mole) of  
potassium carbonate were added to 20 ml of acetonitrile,  
and the resulting mixture was heated under reflux for 6  
15 hours. After completion of the reaction, acetonitrile was  
removed by evaporation under reduced pressure, after which

1 water was added and extraction was carried out with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-  
 5 chromatographed on silica gel to obtain 1.2 g of the desired compound.

Yield 81%.  $n_D^{20}$  1.5760

Example 23 5-(4-Chlorophenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-4-(4-trifluoromethylphenoxy)benzyl ether (compound No. 322)



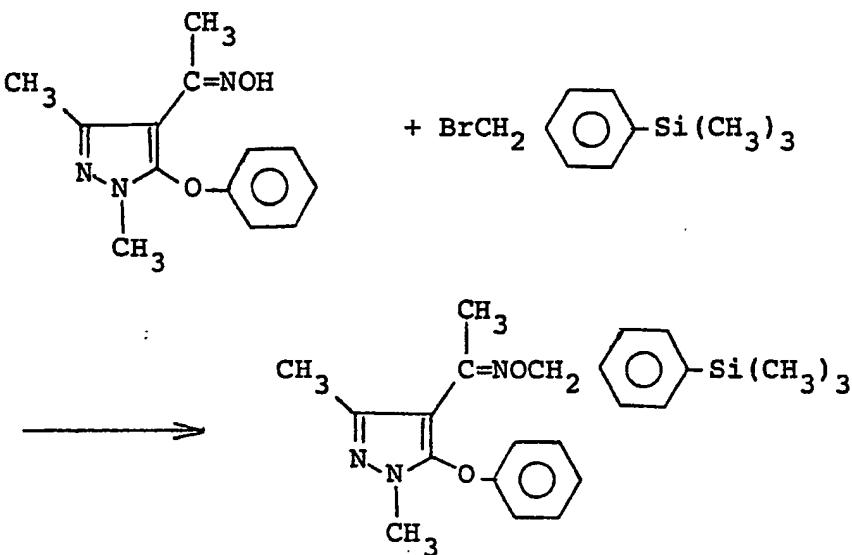
1.0 Gram (0.0038 mole) of 5-(4-chlorophenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime, 1.1 g (0.0038 mole) of 4-(4-trifluoromethylphenoxy)benzyl chloride and 0.8 g (0.076 mole) of sodium carbonate were added to 40 ml  
 15 of acetone, and the resulting mixture was heated under reflux for 8 hours. After completion of the reaction,

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1 acetone was removed by evaporation under reduced pressure,  
after which water was added and extraction was carried out  
with ethyl acetate. The ethyl acetate extract was washed  
with water and dried, and ethyl acetate was removed by  
5 evaporation to obtain an oily product. This oily product  
was column-chromatographed on silica gel to obtain 1.4 g of  
the desired compound.

Yield 72%. m.p. 97.8°C.

Example 24 1,3-Dimethyl-5-phenoxyprazol-4-yl methyl  
ketone oxime O-4-trimethylsilylbenzyl ether  
10 (compound No. 334)

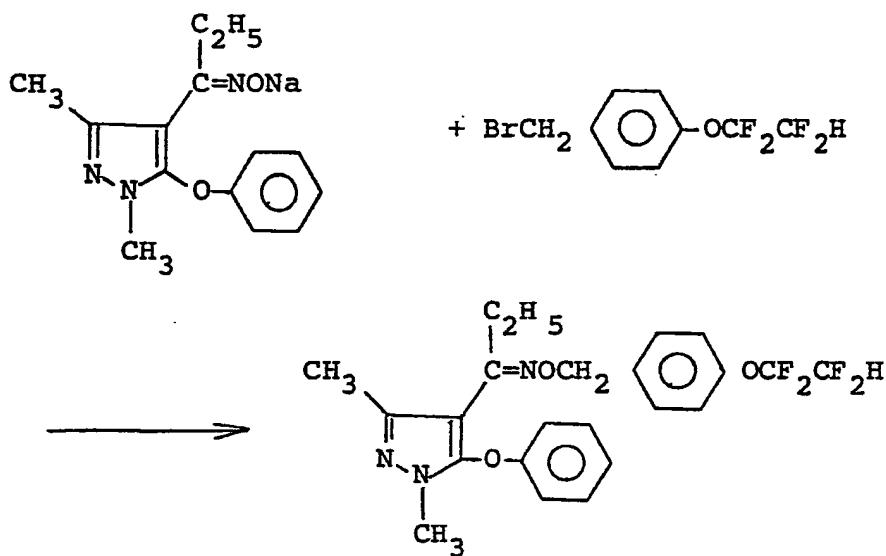


1.0 Gram (0.0041 mole) of 1,3-dimethyl-5-  
phenoxyprazol-4-yl methyl ketone oxime was dissolved in 20  
ml of dimethyl sulfoxide, and after adding 0.3 g (0.0053  
mole) of potassium hydroxide, the resulting solution was  
15 stirred. To this reaction solution was added 1.0 g (0.0041  
mole) of 4-trimethylsilylbenzyl bromide, and reaction was

1 carried out at room temperature for 4 hours. After completion of the reaction, 200 ml of water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water  
5 and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.5 g of the desired compound.

Yield 92%. m.p. 61.2°C.

10 Example 25 1,3-Dimethyl-5-phenoxyprazol-4-yl ethyl ketone oxime 0-4-(1,1,2,2-tetrafluoroethoxy)benzyl ether (compound No. 354)



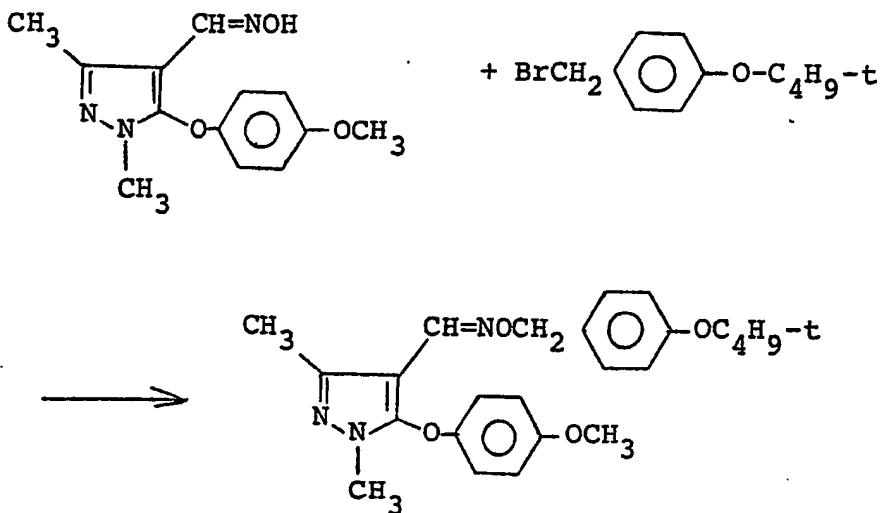
1.0 Gram (0.0035 mole) of sodium salt of 1,3-dimethyl-5-phenoxyprazol-4-yl ethyl ketone oxime and 1.0 g  
15 (0.0035 mole) of 4-(1,1,2,2-tetrafluoroethoxy)benzyl

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1 bromide were added to 50 ml of acetone, and the resulting mixture was heated for 5 hours to carry out reaction. After completion of the reaction, acetone was removed by evaporation under reduced pressure, after which water was 5 added and extraction was carried out with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.3 g of the desired compound.

10 Yield 76%.  $n_D^{20}$  1.5252.

Example 26 5-(4-Methoxyphenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime 0-4-tert-butoxybenzyl ether  
(compound No. 366)

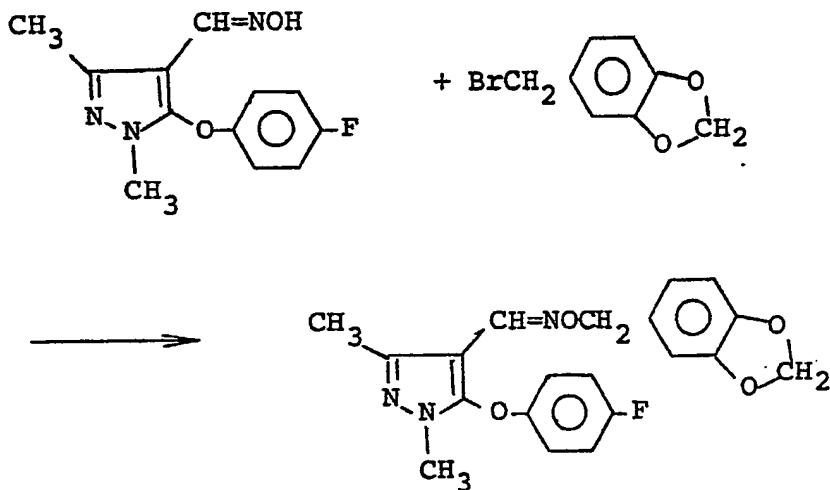


1.0 Gram (0.0038 mole) of 5-(4-methoxyphenoxy)-  
15 1,3-dimethyl-pyrazole-4-carbaldehyde oxime was dissolved in  
30 ml of tetrahydrofuran, and 0.092 g of sodium hydride was

1 added to synthesize the sodium salt of said oxime. To  
this solution was added 0.92 g (0.0038 mole) of 4-tert-  
butoxybenzyl bromide, and reaction was carried out at from  
50° to 60°C for 5 hours. After completion of the reaction,  
5 200 ml of water was added to the reaction solution which  
was then extracted with ethyl acetate. The ethyl acetate  
extract was washed with water and dried, and ethyl acetate  
was removed by evaporation to obtain an oily product. This  
oily product was column-chromatographed on silica gel to  
10 obtain 1.3 g of the desired compound.

Yield 80%.  $n_D^{20}$  1.5653

Example 27 5-(4-Fluorophenoxy)-1,3-dimethylpyrazole-4-  
carbaldehyde oxime 0-3,4-methylenedioxybenzyl  
ether (compound No. 374)

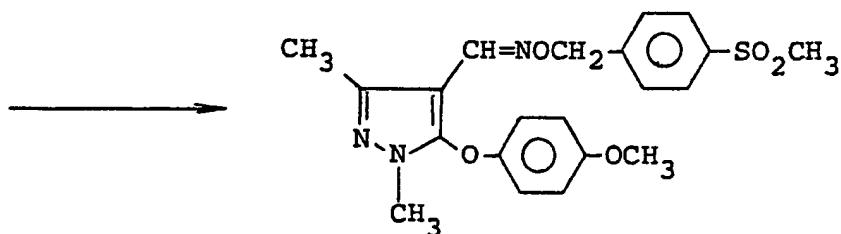
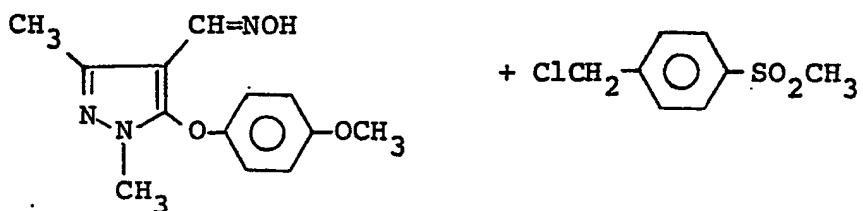


15 1.0 Gram (0.0040 mole) of 5-(4-fluorophenoxy)-  
1,3-dimethylpyrazole-4-carbaldehyde oxime was dissolved in  
20 ml of dimethylformamide, and after adding 0.2 g (0.005

1 mole) of sodium hydroxide, the resulting solution was stirred for 30 minutes. To this reaction solution was added 0.86 g (0.004 mole) of 3,4-methylenedioxybenzyl bromide, and reaction was carried out at from 40° to 50°C for 3 hours. After completion of the reaction, 200 ml of water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This 10 oily product was column-chromatographed on silica gel to obtain 1.1 g of the desired compound.

Yield 72%.  $n_D^{20}$  1.5750.

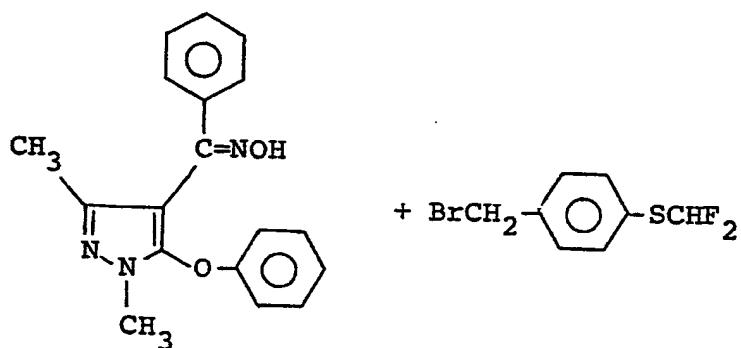
Example 28 5-(4-Methoxyphenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-4-methylsulfonylbenzyl ether (compound No. 401)



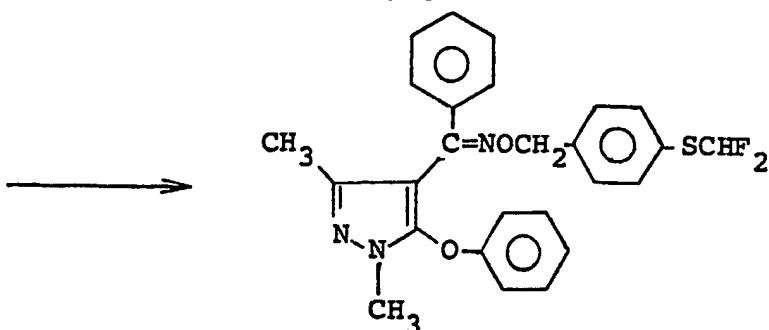
1           1.0 Gram (0.0038 mole) of 5-(4-methoxyphenoxy)-  
 1,3-dimethylpyrazole-4-carbaldehyde oxime and 0.79 g  
 (0.0038 mole) of 4-methylsulfonylbenzyl chloride were  
 dissolved in 30 ml of tetrahydrofuran. To this solution  
 5 was added 0.6 g (0.0039 mole) of 1,8-diazabicyclo[5.4.0]-  
 7-undecene, and reaction was carried out at from 40° to  
 50°C for 5 hours. After completion of the reaction, 200 ml  
 of water was added to the reaction solution which was then  
 extracted with ethyl acetate. The ethyl acetate extract  
 10 was washed with water and dried, and ethyl acetate was  
 removed by evaporation to obtain an oily product. This  
 oily product was column-chromatographed on silica gel to  
 obtain 1.2 g of the desired compound.

Yield 74%.       $n_D^{20}$  1.5866.

15 Example 29 1,3-Dimethyl-5-phenoxyprazol-4-yl phenyl  
 ketone oxime O-4-difluoromethylthiobenzyl ether  
 (compound No. 426)



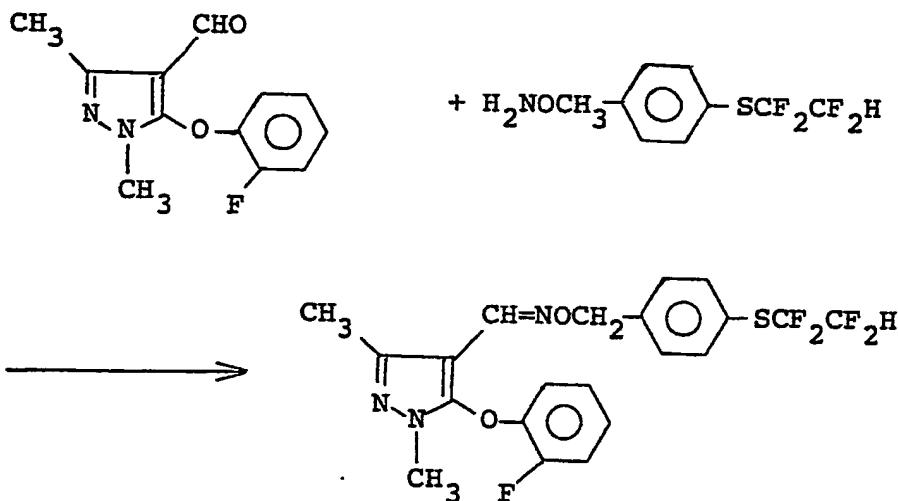
- 125 -



1           1.0 Gram (0.0033 mole) of 1,3-dimethyl-5-phenoxypyrazol-4-yl phenyl ketone oxime, 0.82 g (0.0033 mole) of 4-difluoromethylthiobenzyl bromide and 1.0 g (0.0072 mole) of potassium carbonate were added to 50 ml of  
 5 acetone, and the resulting mixture was heated for 6 hours to carry out reaction. After completion of the reaction, acetone was removed by evaporation under reduced pressure, after which water was added and extraction was carried out with ethyl acetate. The ethyl acetate extract was washed  
 10 with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.4 g of the desired compound.

Yield 86%.       $n_D^{20}$  1.5917.

- 1 Example 30 5-(2-Fluorophenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-4-(1,1,2,2-tetrafluoroethylthio)benzyl ether (compound No. 467)

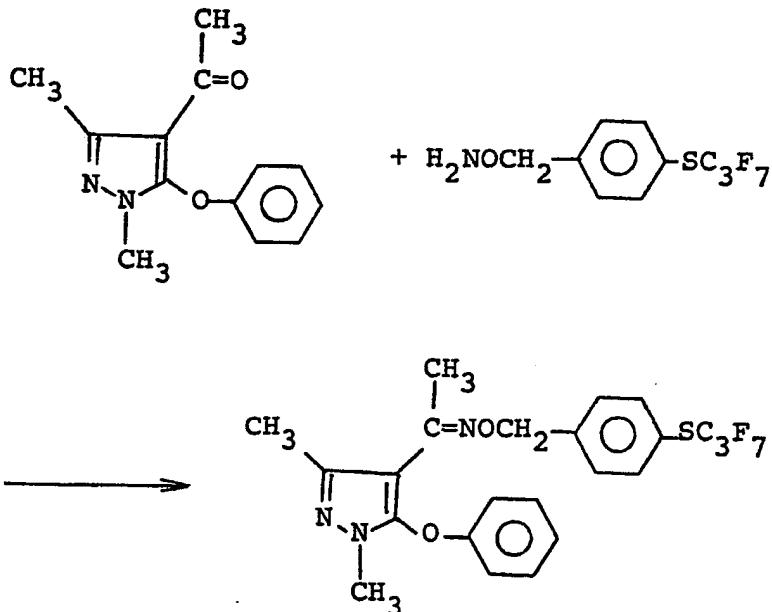


1.1 Gram (0.0043 mole) of 5-(2-fluorophenoxy)-

- 5 1,3-dimethylpyrazole-4-carbaldehyde was dissolved in 30 ml of ethanol, and 1.1 g (0.0043 mole) of O-[4-(1,1,2,2-tetrafluoroethylthio)benzyl]hydroxylamine was added. Reaction was then carried out at from 50° to 60°C for 2 hours. After completion of the reaction, ethanol was
- 10 removed by evaporation under reduced pressure, after which water was added and extraction was carried out with chloroform. The chloroform extract was dried, chloroform was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to
- 15 obtain 1.3 g of the desired compound

Yield 64%.  $n_{\text{D}}^{20}$  1.5462.

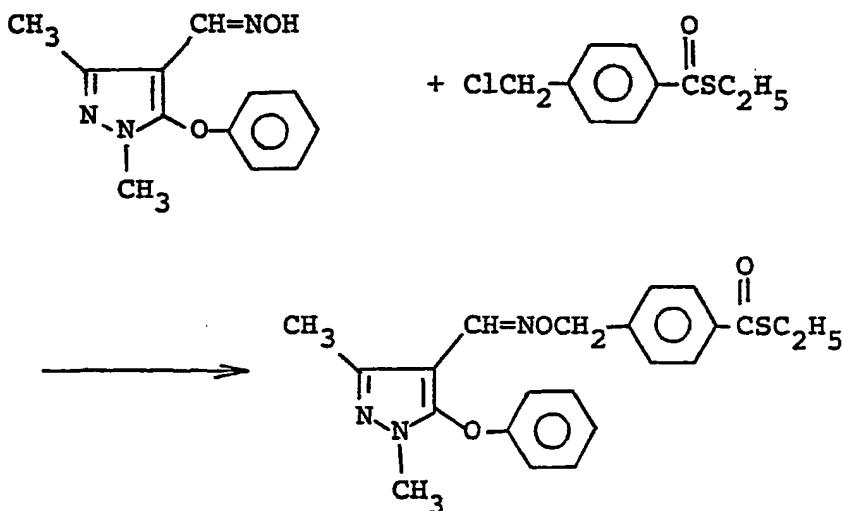
1 Example 31 1,3-Dimethyl-5-phenoxyprazol-4-yl methyl ketone oxime O-4-heptafluoropropylthiobenzyl ether (compound No. 494)



1.0 Gram (0.0043 mole) of 4-acetyl-1,3-dimethyl-  
5 5-phenoxyprazole and 1.4 g (0.0043 mole) of O-(4-  
heptafluoropropylthiobenzyl)hydroxylamine were added to 30  
ml of methanol, and the resulting mixture was heated for 5  
hours to carry out reaction. After completion of the  
reaction, methanol was removed by evaporation under reduced  
10 pressure, after which water was added and extraction was  
carried out with chloroform. The chloroform extract was  
dried, and chloroform was removed by evaporation to  
obtain an oily product. This oily product was column-  
chromatographed on silica gel to 1.4 g of the desired  
15 compound.

Yield 60%.  $n_D^{20}$  1.5217.

1 Example 32 S-Ethyl 4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-  
y1)methyleneamino]methyl]benzothioate  
(compound No. 516)

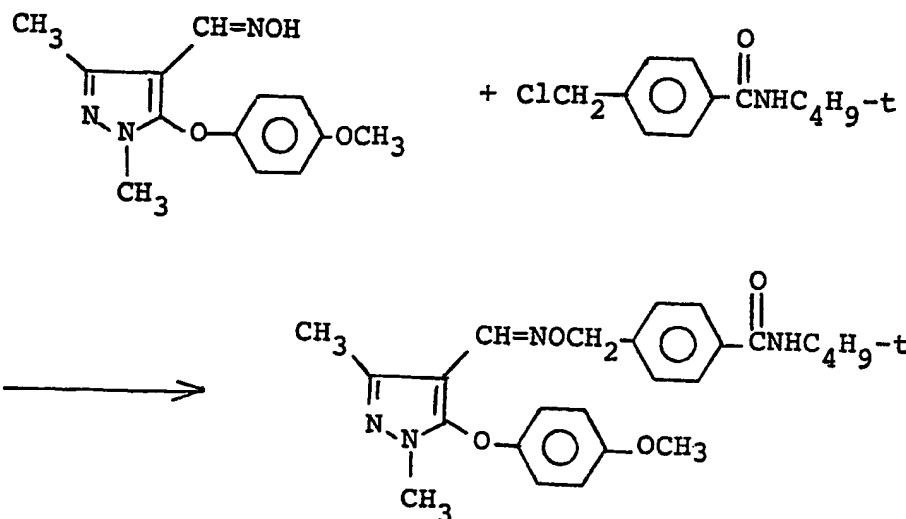


1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-

5 phenoxy-4-pyrazolecarbaldehyde oxime was dissolved in 20 ml of dimethyl sulfoxide, and after adding 0.3 g (0.0053 mole) of powdery potassium hydroxide, the resulting solution was stirred. To this solution was added 0.92 g (0.0043 mole) of S-ethyl 4-chloromethylbenzothioate, and reaction was  
10 carried out at room temperature for 3 hours. After completion of the reaction, 200 ml of water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation  
15 to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.4 g of the desired compound.

Yield 80%. n<sup>20</sup><sub>D</sub> 1.5889.

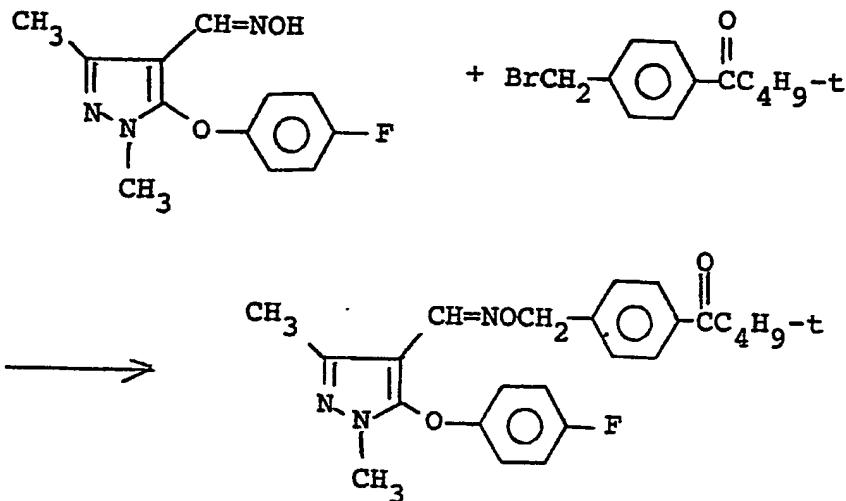
1 Example 33 N-Tert-butyl 4-[{5-(4-methoxyphenoxy)-1,3-dimethylpyrazol-4-yl}methyleneaminomethyl]-benzamide (compound No. 525)



- 1.0 Gram (0.0038 mole) of 5-(4-methoxyphenoxy)-  
5 1,3-dimethylpyrazole-4-carbaldehyde oxime, 0.86 g (0.0038  
mole) of N-tert-butyl-4-chloromethylbenzamide and 1.0 g  
(0.0072 mole) of potassium carbonate were added to 20 ml of  
acetonitrile, and the resulting mixture was heated under  
reflux for 6 hours. After completion of the reaction,  
10 acetonitrile was removed by evaporation under reduced  
pressure, after which water was added to the residue and  
extraction was carried out with ethyl acetate. The ethyl  
acetate extract was washed with water and dried, and ethyl  
acetate was removed by evaporation to obtain an oily  
15 product. This oily product was column-chromatographed on  
silica gel to obtain 1.4 g of the desired compound.

Yield 82%.  $n_D^{20}$  1.5662.

1 Example 34 5-(4-Fluorophenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-4-pivaloylbenzyl ether  
(compound No. 548)

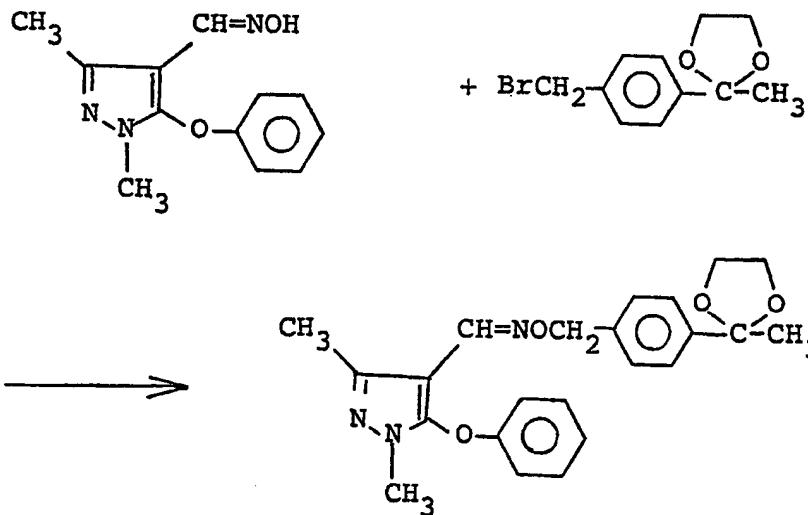


1.0 Gram (0.0040 mole) of 5-(4-fluorophenoxy)-

- 5 1,3-dimethylpyrazole-4-carbaldehyde oxime, 1.0 g (0.0039 mole) of tert-butyl 4-bromomethylphenyl ketone and 1.0 g (0.0094 mole) of sodium carbonate were added to 40 ml of acetone, and the resulting mixture was heated to carry out reaction. After completion of the reaction, acetone was  
10 removed by evaporation under reduced pressure, after which water was added to the residue and extraction was carried out with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily  
15 product was column-chromatographed on silica gel to obtain 1.5 g of the desired compound.

Yield 89%.  $n_{D}^{20}$  1.5567.

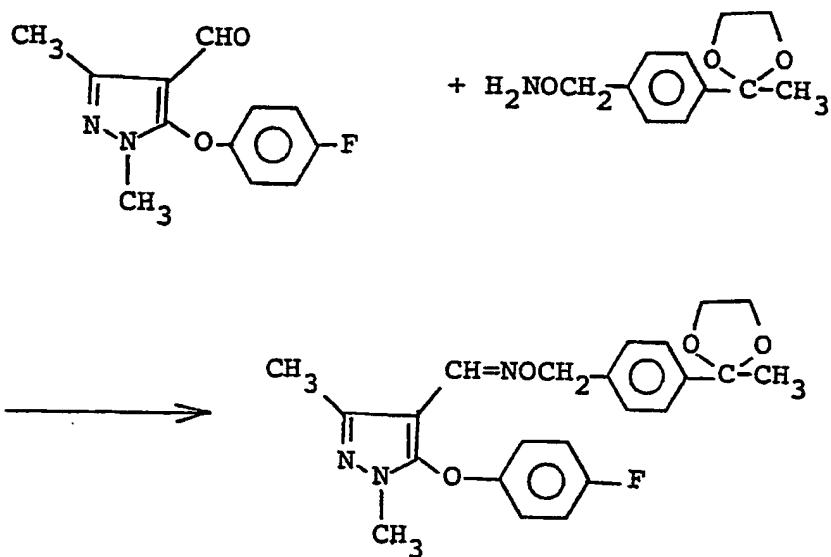
1 Example 35 2-Methyl-2-[4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-yl)methyleneaminoxymethyl]phenyl]-1,3-dioxolane (compound No. 562)



- 1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-phenoxy-4-pyrazolecarbaldehyde oxime was dissolved in 20 ml of dioxane, and 0.14 g (0.0058 mole) of sodium hydride was added. Thereafter, 1.1 g (0.0043 mole) of 2-(4-bromomethylphenyl)-2-methyl-1,3-dioxolane was added to this solution which was then heated under reflux for 3 hours.
- 10 After completion of the reaction, the reaction solution was poured into 200 ml of cold water and extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.3 g of the desired compound.

Yield 74%.  $n_{D}^{20}$  1.5698.

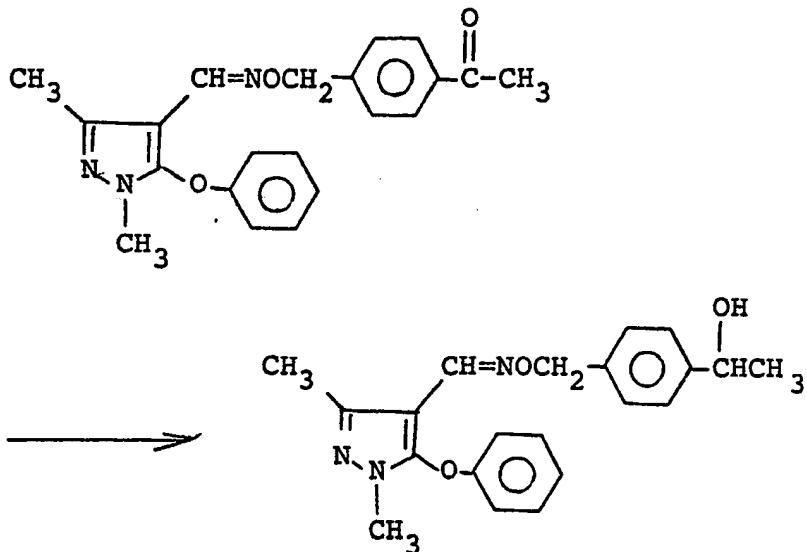
1 Example 36 2-[4-[{5-(4-Fluorophenoxy)-1,3-dimethylpyrazol-4-yl}methylenaminoxymethyl]phenyl]-2-methyl-1,3-dioxolane (compound No. 563)



1.1 Gram (0.0043 mole) of 5-(4-fluorophenoxy)-5  
5 1,3-dimethylpyrazole-4-carbaldehyde and 0.9 g (0.0043  
mole) of 2-[4-(aminooxymethyl)phenyl]-2-methyl-1,3-  
dioxiolane were added to 20 ml of ethanol, and the resulting  
mixture was heated for 3 hours to carry out reaction.  
After completion of the reaction, ethanol was removed by  
10 evaporation under reduced pressure, after which water was  
added to the residue and extraction was carried out with  
ethyl acetate. The ethyl acetate extract was washed with  
water and dried, and ethyl acetate was removed by  
evaporation to obtain an oily product. This oily product  
15 was column-chromatographed on silica gel to obtain 1.3 g of  
the desired compound.

Yield 72%.  $n_{D}^{20}$  1.5555.

1 Example 37 1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime O-4-(1-hydroxyethyl)benzyl ether  
(compound No. 584)

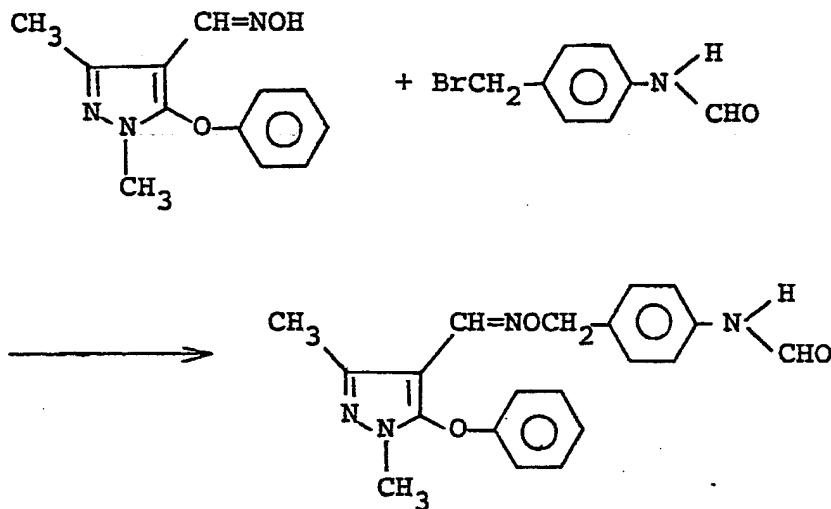


1.0 Gram (0.0028 mole) of 1,3-dimethyl-5-

5 phenoxyprazole-4-carbaldehyde oxime-O-4-acetylbenzyl  
ether, 1.0 g (0.0026 mole) of sodium borohydride and 1 g  
(0.025 mole) of sodium hydroxide were added to 100 ml of  
methanol, and the resulting mixture was heated under reflux  
for 3 hours. After completion of the reaction, methanol  
10 was removed by evaporation under reduced pressure, after  
which water added to the residue and extraction was carried  
out with ethyl acetate. The ethyl acetate extract was  
washed with water and dried, and ethyl acetate was removed  
by evaporation to obtain an oily product. This oily  
15 product was column-chromatographed on silica gel to obtain  
0.8 g of the desired compound.

Yield 78%.  $n_D^{20}$  1.5748.

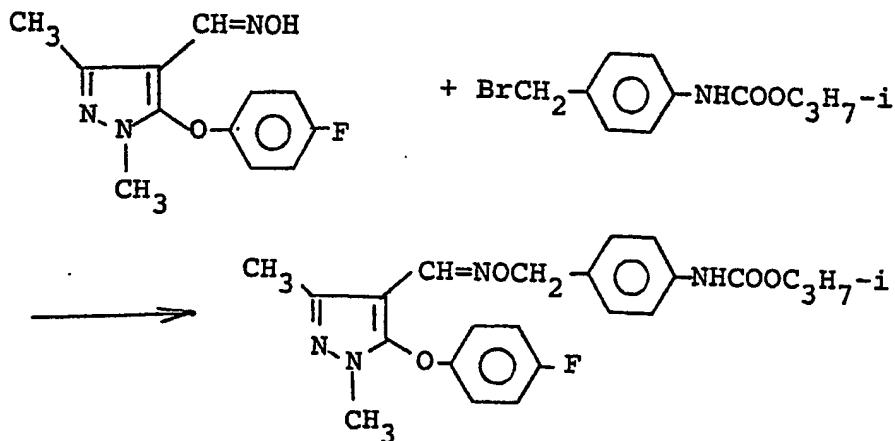
1 Example 38 N-4-[(1,3-dimethyl-5-phenoxy)pyrazol-4-  
y1)methyleneamino oxymethyl]phenylformamide  
(compound No. 589)



1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-  
5 phenoxypyrazole-4-carbaldehyde oxime was dissolved in 20 ml  
of dimethyl sulfoxide, and after adding 0.3 g (0.0053 mole)  
of powdery potassium hydroxide, the resulting solution was  
stirred. To this reaction solution was added 0.92 g  
(0.0043 mole) of N-(4-bromomethylphenyl)formamide, and  
10 reaction was carried out at room temperature for 3 hours.  
After completion of the reaction, the reaction solution was  
poured into 200 ml of water and extracted with ethyl  
acetate. The ethyl acetate extract was washed with water  
and dried, and ethyl acetate was removed by evaporation to  
15 obtain an oily product. This oily product was column-  
chromatographed on silica gel to obtain 1.2 g of the  
desired compound.

Yield 76%. m.p. 105.3°C.

1 Example 39 Isopropyl N-4-[{5-(4-fluorophenoxy)-1,3-dimethylpyrazol-4-yl}methylenaminoxyethyl]phenylcarbamate (compound No. 595)

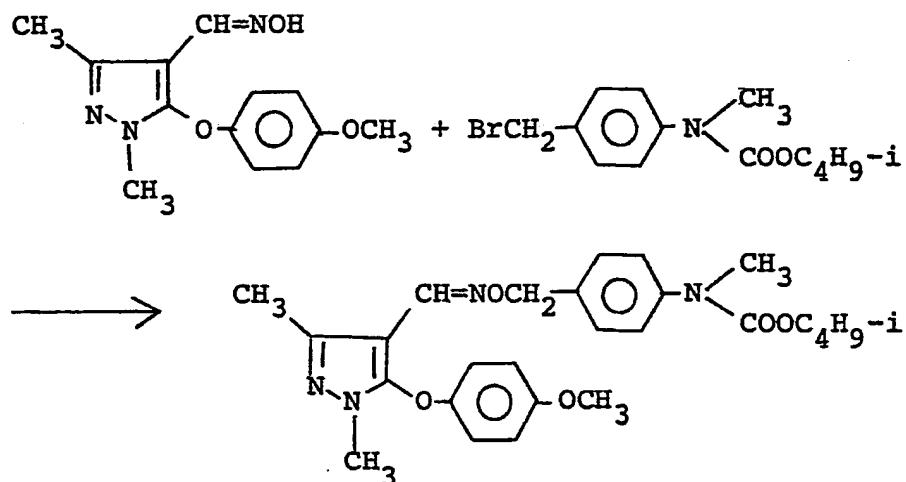


1.0 Gram (0.0040 mole) of 5-(4-fluorophenoxy)-

- 5 1,3-dimethylpyrazole-4-carbaldehyde oxime, 1.1 g (0.0040 mole) of isopropyl N-4-bromomethylphenylcarbamate and 1.0 g (0.0072 mole) of potassium carbonate were added to 20 ml of acetonitrile, and the resulting mixture was heated under reflux for 6 hours. After completion of the reaction,  
10 acetonitrile was removed by evaporation under reduced pressure, after which water was added and extraction was carried out with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This  
15 oily product was column-chromatographed on silica gel to obtain 1.5 g of the desired compound.

Yield 85%.  $n_D^{20}$  1.5645.

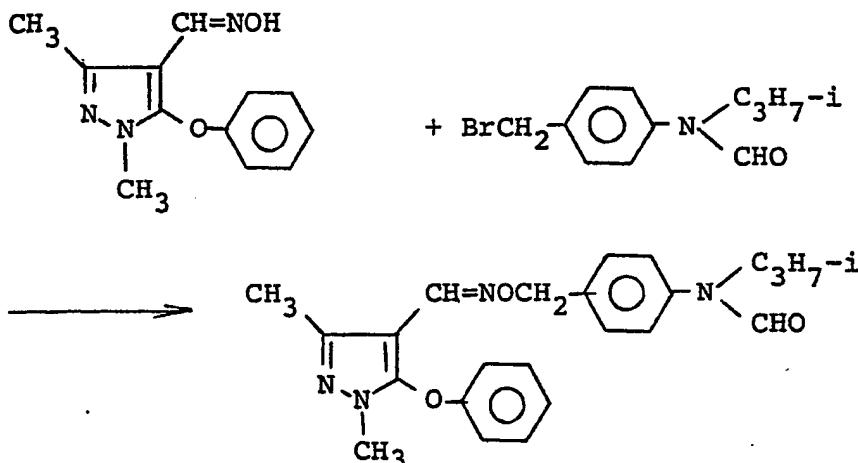
1 Example 40 Isobutyl N-4-[{5-(4-methoxyphenoxy)-  
1,3-dimethylpyrazol-4-yl}methylenamino-  
oxymethyl]phenylcarbamate (compound No. 617)



1.0 Gram (0.0038 mole) of 5-(4-methoxyphenoxy)-  
5 1,3-dimethylpyrazole-4-carbaldehyde oxime, 1.1 g (0.0038  
mole) of isobutyl N-4-bromomethylphenyl-N-methylcarbamate  
and 1.0 g (0.0094 mole) of sodium carbonate were added to  
40 ml of acetone, and the resulting mixture was heated to  
carry out reaction. After completion of the reaction,  
10 acetone was removed by evaporation under reduced pressure,  
after which water was added and extraction was carried out  
with ethyl acetate. The ethyl acetate extract was washed  
with water and dried, and ethyl acetate was removed by  
15 evaporation to obtain an oily product. This oily product  
was column-chromatographed on silica gel to obtain 1.5 g  
of the described compound.

Yield 83%.  $n_{D}^{20}$  1.5538.

1 Example 41 N-4-[(1,3-dimethyl-5-phenoxyprazol-4-yl) methyleneaminooxymethyl]phenyl-N-isopropylformamide (compound No. 636)

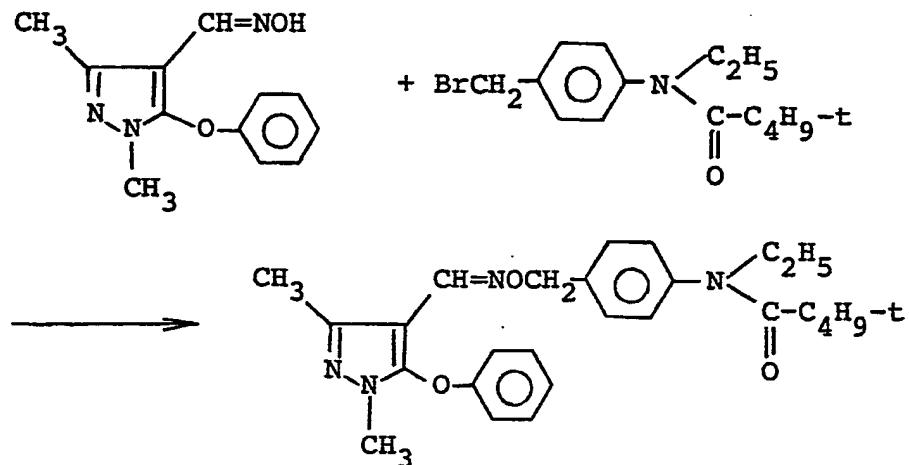


1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-

5 phenoxyprazole-4-carbaldehyde oxime was dissolved in 20 ml of dioxane, and 0.1 g (0.0043 mole) of sodium hydride was added to synthesize the sodium salt of said oxime. To this reaction solution was added 1.1 g (0.0043 mole) of N-4-bromomethylphenyl-N-isopropylformamide, and reaction was  
10 carried out at from 40° to 50°C for 3 hours. After completion of the reaction, the reaction solution was poured into 200 ml of water and extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to  
15 obtain an oily product. This oil product was column-chromatographed on silica gel to obtain 1.3 g of the desired compound.

Yield 75%. m.p. 73.3°C.

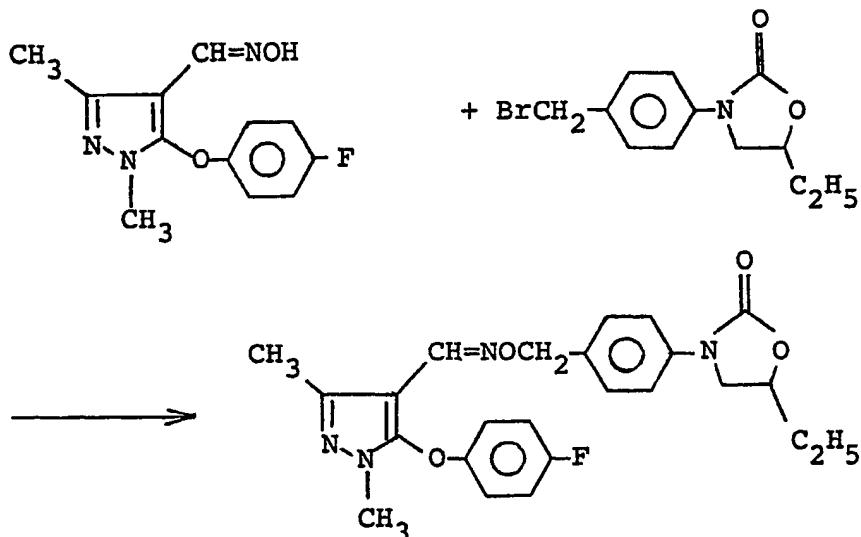
1 Example 42 N-4-[(1,3-dimethyl-5-phenoxyprazol-4-yl)-  
methylenaminooxymethyl]phenyl-N-  
ethylpivalamide (compound No. 647)



1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-phenoxyprazole-4-carbaldehyde oxime, 1.3 g (0.0043 mole) of N-4-bromomethylphenyl-N-ethylpivalamide and 0.2 g (0.005 mole) of potassium hydroxide were dissolved in 30 ml of dimethyl sulfoxide, and reaction was carried out at from 40° to 50°C for 6 hours. After completion of the reaction, 10 the reaction solution was poured into 200 ml of water and extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to 15 obtain 1.5 g of the desired compound.

Yield 78%. Form of product: paste.

1 Example 43 5-Ethyl-3-[N-4[(5-(4-fluorophenoxy)-1,3-dimethylpyrazol-4-yl)methyleneaminooxymethyl]phenyl]-2-oxazolidone (compound No. 657)

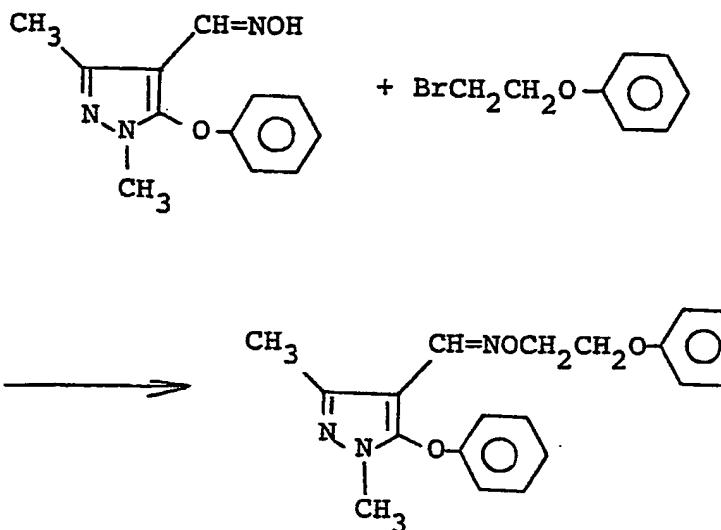


1.0 Gram (0.0040 mole) of 5-(4-fluorophenoxy)-

5 1,3-dimethylpyrazole-4-carbaldehyde oxime and 1.1 g (0.0040 mole) of 3-(4-bromomethylphenyl)-5-ethyl-2-oxazolidone were dissolved in 20 ml of dimethyl sulfoxide, and 0.3 g (0.0053 mole) of powdery potassium hydroxide was added. Reaction was then carried out at from 40° to 50°C for 5 hours. After completion of the reaction, the reaction solution was poured into 200 ml of water and extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product 15 was column-chromatographed on silica gel to obtain 1.3 g of the desired compound.

Yield 72%. n<sub>D</sub><sup>20</sup> 1.5601.

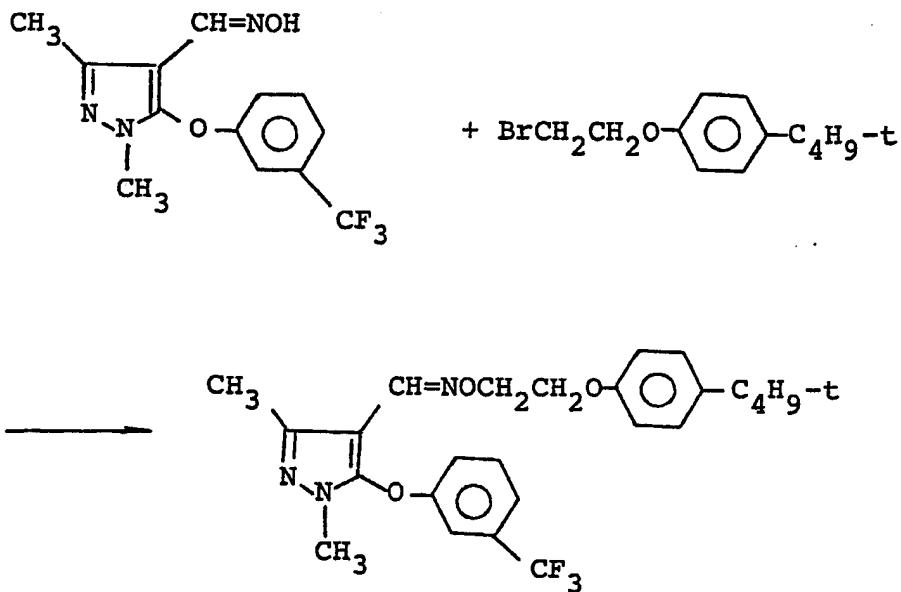
1 Example 44 1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime 0-2-phenoxyethyl ether (compound No. 658)



1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-phenoxyprazole-4-carbaldehyde oxime was dissolved in 20 ml of dimethyl sulfoxide, and after adding 0.3 g (0.0053 mole) of powdery potassium hydroxide, the resulting solution was stirred. To this solution was added 0.86 g (0.0043 mole) of 2-bromoethoxybenzene, and reaction was carried out at room temperature for 3 hours. After completion of the reaction, water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.3 g of the desired compound.

Yield 86%.  $n_D^{20}$  1.5657.

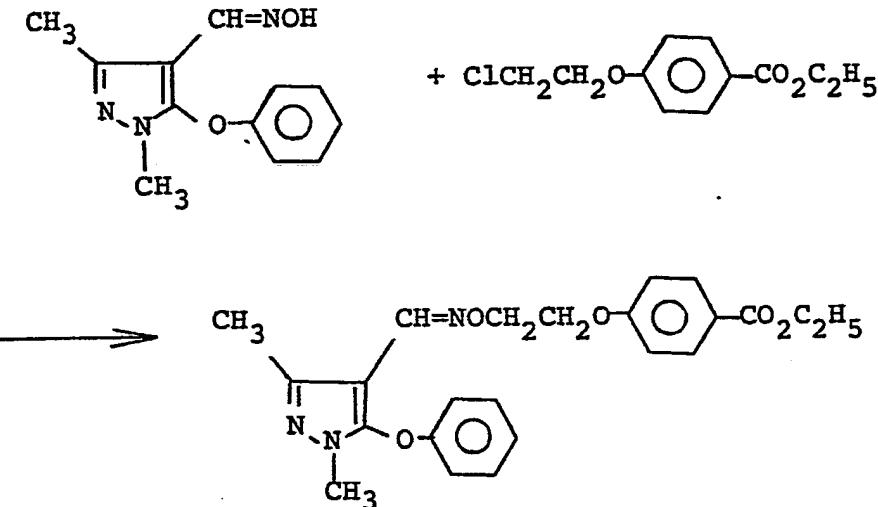
1 Example 45 1,3-Dimethyl-5-(3-trifluoromethylphenoxy)-  
pyrazole-4-carbaldehyde oxime O-2-(4-tert-  
butylphenoxy)ethyl ether (compound No. 671)



1.0 Gram (0.0030 mole) of 1,3-dimethyl-5-(3-trifluoromethylphenoxy)pyrazole-4-carbaldehyde oxime,  
5 0.86 g (0.0034 mole) of p-(2-bromoethoxy)-tert-butylbenzene  
and 1.38 g of potassium carbonate were added to 50 ml of  
acetonitrile, and the resulting mixture was heated under  
reflux for 8 hours. After completion of the reaction,  
10 water was added to the reaction solution which was then  
extracted with ethyl acetate. The ethyl acetate extract  
was washed with water and dried, and ethyl acetate was  
removed by evaporation to obtain an oily product. This  
oily product was column-chromatographed on silica gel to  
15 obtain 1.4 g of the desired compound.

Yield 89%.  $n_D^{20}$  1.5287.

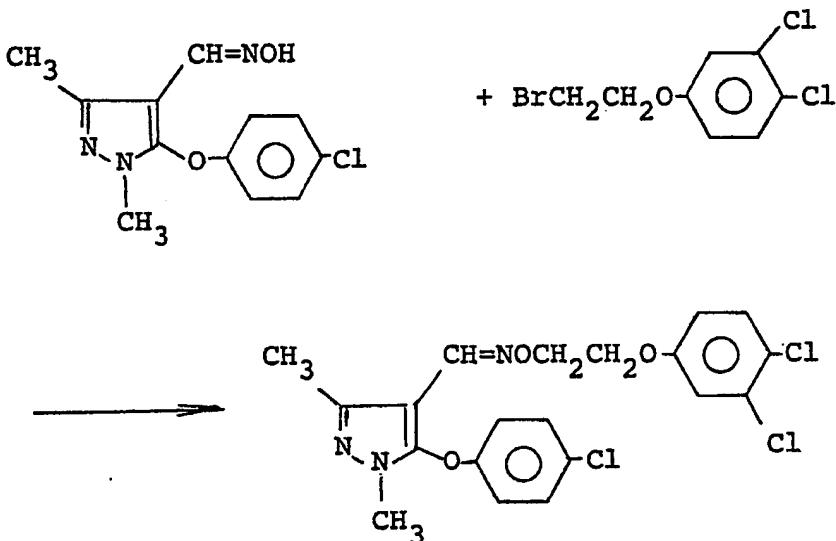
1 Example 46 Ethyl 4-[2-{(1,3-dimethyl-5-phenoxy)pyrazol-4-yl)methyleneaminoxy}ethoxy]benzoate  
(compound No. 706)



1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-phenoxy-4-pyrazole-carbaldehyde oxime and 0.3 g (0.0075 mole) of powdery sodium hydroxide were added to 30 ml of dimethylformamide, and the resulting mixture was stirred. To this solution was added 0.99 g (0.0043 mole) of ethyl p-(2-chloroethoxy)benzoate, and reaction was carried out at 10 from 30° to 40°C for 3 hours. After completion of the reaction, water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an 15 oily product. This oily product was column-chromatographed on silica gel to obtain 1.3 g of the desired compound.

Yield 72%.  $n_{D}^{20}$  1.5577.

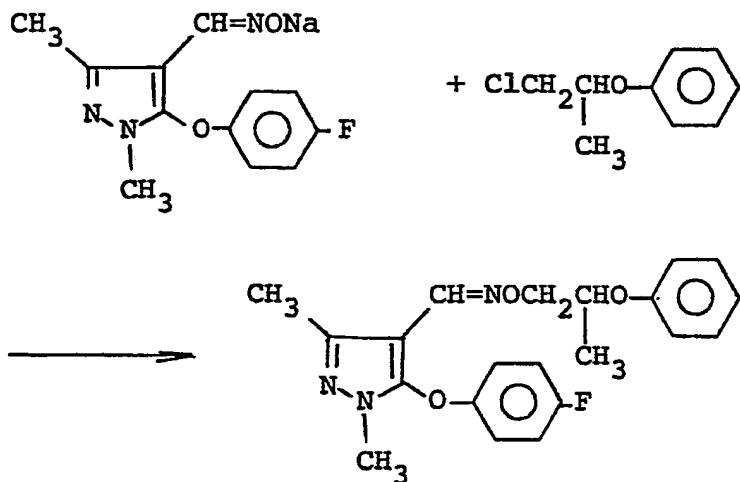
1 Example 47 5-(4-Chlorophenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-2-(3,4-dichlorophenoxy)-ethyl ether (compound No. 723)



1.0 Gram (0.0038 mole) of 5-(4-chlorophenoxy)-5  
5 1,3-dimethylpyrazole-4-carbaldehyde oxime, 1.0 g (0.0038  
mole) of 2-bromoethoxy-3,4-dichlorobenzene and 0.58 g  
(0.0038 mole) of 1,8-diazabicyclo[5.4.0]-7-undecene were  
dissolved in 50 ml of dioxane, and reaction was carried out  
at from 60° to 80°C for 5 hours with stirring. After  
10 completion of the reaction, water was added to the reaction  
solution which was then extracted with ethyl acetate. The  
ethyl acetate extract was washed with water and dried, and  
ethyl acetate was removed by evaporation to obtain an oily  
product. This oily product was column-chromatographed on  
15 silica gel to obtain 1.5 g of the desired compound.

Yield 87%.  $n_{\text{D}}^{20}$  1.5756.

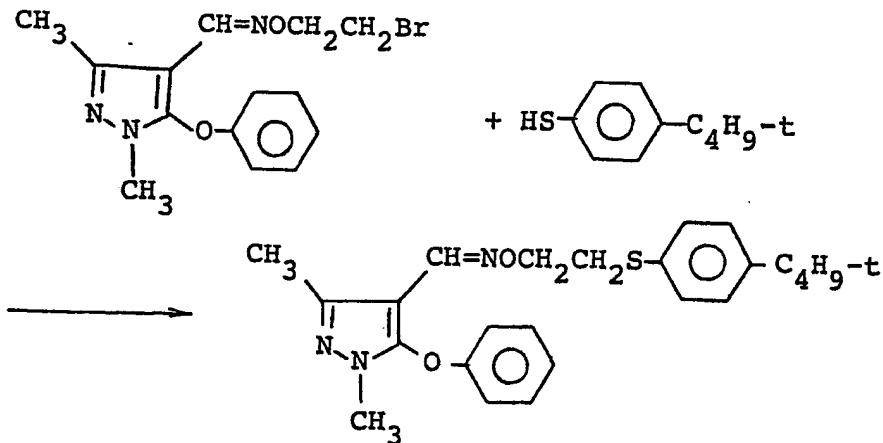
1 Example 48 5-(4-Fluorophenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime 0-2-phenoxypropyl ether  
(compound No. 741)



1.0 Gram (0.0037 mole) of sodium 5-(4-chlorophenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime and 0.63 g (0.037 mole) of 2-chloro-1-methylethoxybenzene were added to 50 ml of tetrahydrofuran, and the resulting mixture was heated under reflux for 5 hours with stirring. After completion of the reaction, water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.3 g of the desired compound.

Yield 87%.  $n_D^{20}$  1.5484.

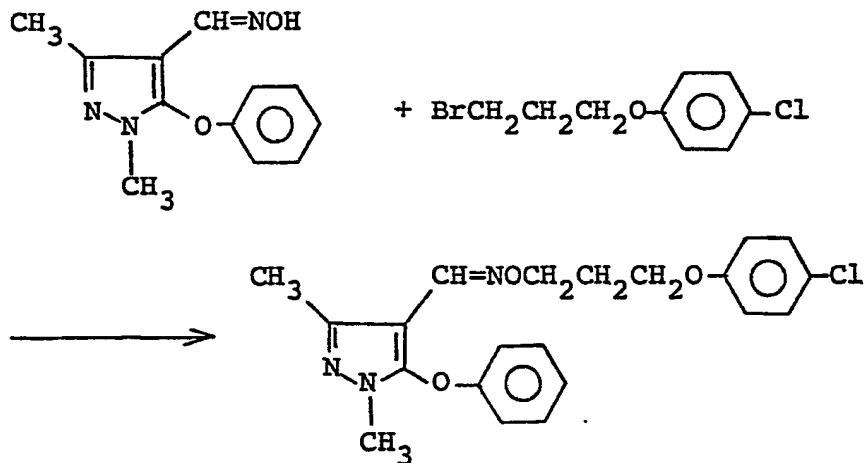
1 Example 49 1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime 0-2-(4-tert-butylphenylthio)ethyl ether  
(compound No. 753)



1.0 Gram (0.0030 mole) of 1,3-dimethyl-5-  
5 phenoxypyrazole-4-carbaldehyde oxime 0-2-bromoethyl ether,  
0.5 g (0.0030 mole) of p-tert-butylbenzenethiol and 1.0 g  
(0.0072 mole) of potassium carbonate were added to 60 ml of  
acetonitrile, and the resulting mixture was heated under  
reflux for 5 hours. After completion of the reaction,  
10 water was added to the reaction solution which was then  
extracted with ethyl acetate. The ethyl acetate extract  
was washed with water and dried, and ethyl acetate was  
removed by evaporation to obtain an oily product. This  
oily product was column-chromatographed on silica gel to  
15 obtain 1.1 g of the desired compound.

Yield 87%.  $n_{D}^{20}$  1.5775.

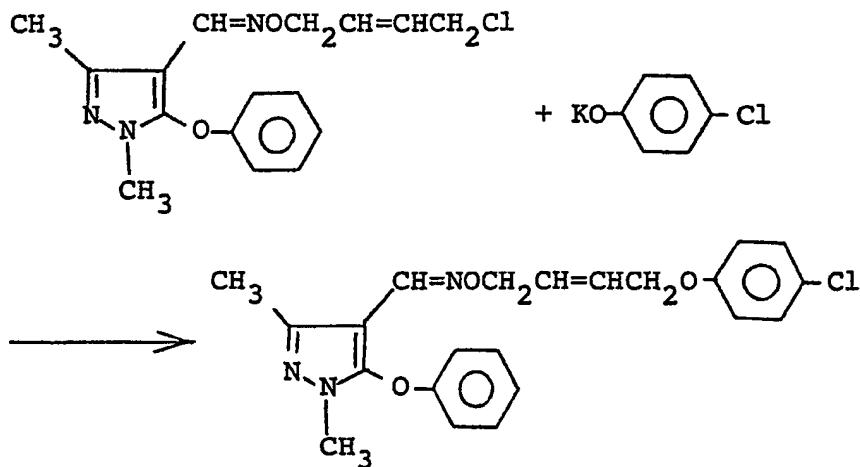
1 Example 50 1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde  
oxime 0-3-(4-chlorophenoxy)propyl ether  
(compound No. 761)



1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-  
5 phenoxyprazole-4-carbaldehyde oxime and 0.3 g (0.0053  
mole) of potassium hydroxide were added to 20 ml of  
dimethyl sulfoxide, and the resulting mixture was stirred  
for 1 hour. To this solution was added 1.07 g (0.0043  
mole) of p-chloro-3-bromopropoxybenzene, and reaction was  
10 carried out at from 40° to 50°C for 4 hours. After  
completion of the reaction, water was added to the reaction  
solution which was then extracted with ethyl acetate. The  
ethyl acetate extract was washed with water and dried, and  
ethyl acetate was removed by evaporation to obtain an oily  
15 product. This oily product was column-chromatographed on  
silica gel to obtain 1.3 g of the desired compound.

Yield 76%.  $n_D^{20}$  1.5746

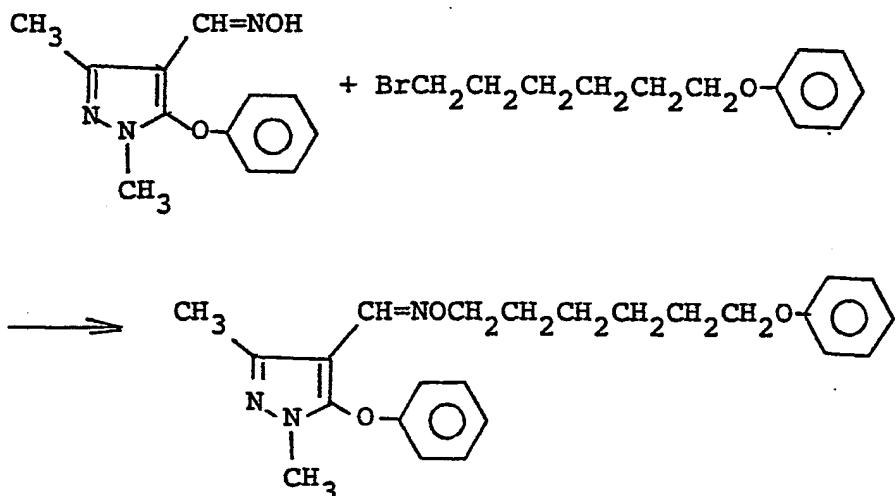
1 Example 51 1,3-Dimethyl-5-phenoxyprazole-4-carbaldehyde oxime O-4-(4-chlorophenoxy)-2-butenyl ether  
(compound No. 776)



1.0 Gram (0.0031 mole) of 1,3-dimethyl-5-phenoxyprazole-4-carbaldehyde oxime O-4-chloro-2-butenyl ether and 0.6 g (0.0036 mole) of the potassium salt of p-chlorophenol were added to 50 ml of tetrahydrofuran, and the resulting mixture was heated under reflux for 3 hours with stirring. After completion of the reaction, water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.2 g of the desired compound.

Yield 93%.  $n_D^{20}$  1.5712.

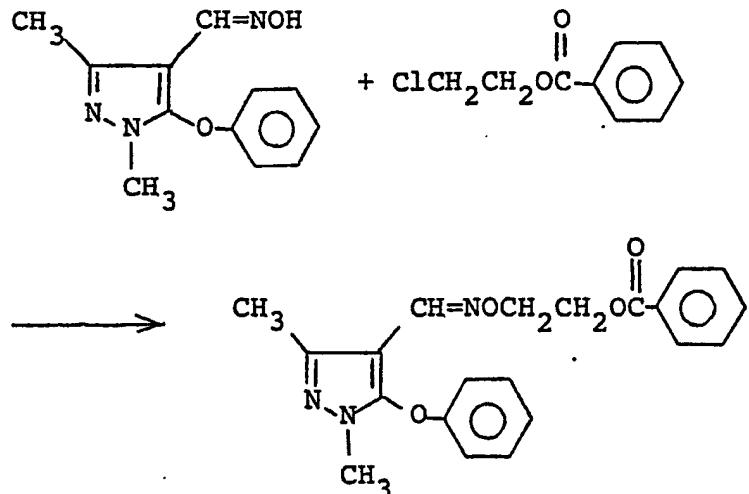
1 Example 52 1,3-Dimethyl-5-phenoxypyrazole-4-carbaldehyde  
oxime O-6-phenoxyhexyl ether (compound No. 780)



- 1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-phenoxypyrazole-4-carbaldehyde oxime was dissolved in 10 ml of dimethyl sulfoxide, and after adding 0.11 g (0.0045 mole) of sodium hydride at room temperature, the resulting solution was stirred for 30 minutes. To this solution was added 1.1 g (0.0043 mole) of 6-bromohexyloxybenzene, and reaction was carried out at from 50° to 60°C for 3 hours.
- After completion of the reaction, water was added to the reaction solution which was then extracted with acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.4 g of the desired compound.

Yield 80%. n<sub>D</sub><sup>20</sup> 1.5583.

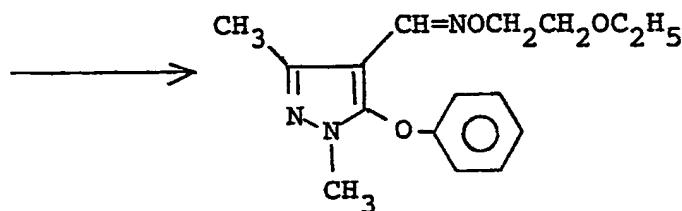
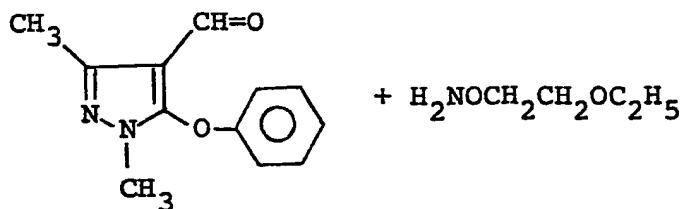
1 Example 53 2-[(1,3-Dimethyl-5-phenoxy)pyrazol-4-yl)methyleneaminoxy]ethyl benzoate  
(compound No. 787)



1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-phenoxy-4-pyrazolealdehyde oxime and 0.3 g (0.0054 mole) of powdery potassium hydroxide were added to 20 ml of dimethyl sulfoxide, and the resulting mixture was stirred for 30 minutes. To this solution was added 0.8 g (0.0043 mole) of 2-chloroethyl benzoate, and reaction was carried out at from 40° to 50°C for 3 hours. After completion of the reaction, water was added to the reaction solution which was then extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.3 g of the desired compound.

Yield 86%.  $n_{D}^{20}$  1.5632.

1 Example 54 1,3-Dimethyl-5-phenoxy-pyrazole-4-carbaldehyde  
oxime O-(2-ethoxyethyl) ether (compound No. 789)



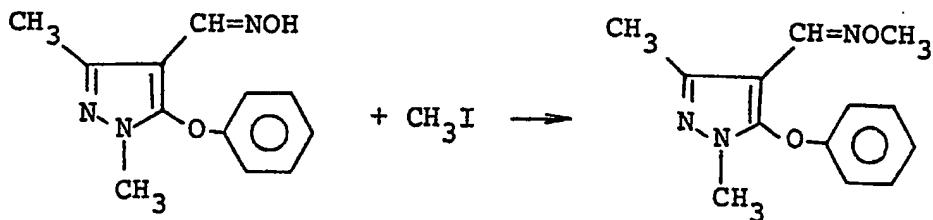
1.0 Gram (0.0046 mole) of 1,3-dimethyl-5-phenoxy-pyrazole-4-carbaldehyde was dissolved in

5 40 ml of ethanol, and 0.48 g (0.0046 mole) of O-(2-ethoxyethyl)hydroxylamine was added with stirring. Reaction was then carried out at room temperature for 3 hours. After completion of the reaction, water was added to the reaction solution which was then extracted with

10 ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.2 g of the desired compound.

15 Yield 86%.  $n_D^{20}$  1.5407.

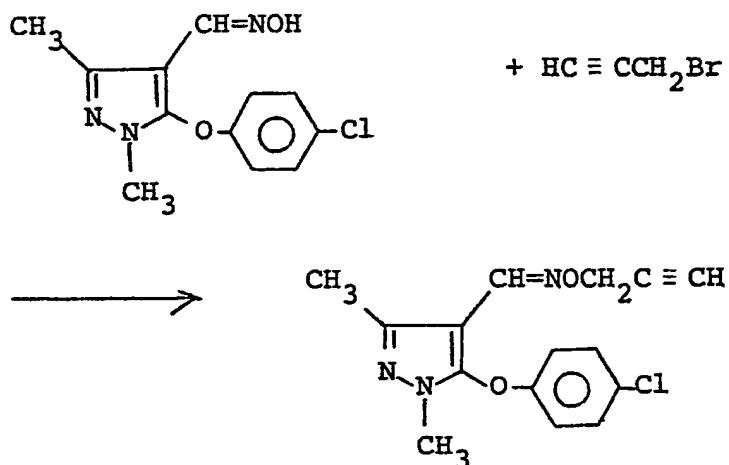
1 Example 55 1,3-Dimethyl-5-phenoxy-pyrazole-4-carbaldehyde  
oxime O-methyl ether (compound No. 790)



1.0 Gram (0.0043 mole) of 1,3-dimethyl-5-phenoxy-pyrazole-4-carbaldehyde oxime was dissolved in 20 ml of dimethyl sulfoxide, and after adding 0.3 g (0.0053 mole) of powdery potassium hydroxide, the resulting mixture was stirred. To this reaction solution was added 1.0 g (0.0063 mole) of methyl iodide, and reaction was carried out at room temperature for 3 hours. After completion of the reaction, the reaction solution was poured into 200 ml of water and extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation under reduced pressure to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 0.3 g of the desired compound.

Yield 76%. m.p. 70.2°C.

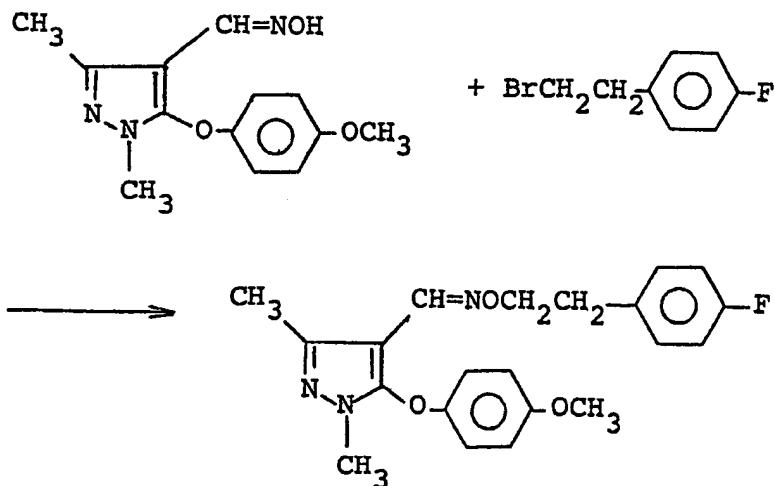
1 Example 56 5-(4-Chlorophenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-2-propynyl ether (compound No. 795)



1.0 Gram (0.0033 mole) of 5-(4-chlorophenoxy)-5 1,3-dimethylpyrazole-4-carbaldehyde oxime, 0.5 g (0.0042 mole) of propargyl bromide and 1.0 g (0.0072 mole) of potassium carbonate were added to 50 ml of acetone, and the resulting mixture was heated under reflux. After completion of the reaction, the reaction solution was 10 poured into 200 ml of water and extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation under reduced pressure to obtain an oily product. This oily product was column-chromatographed on silica gel to 15 obtain 0.9 g of the desired compound.

Yield 87%.  $n_D^{20}$  1.5670.

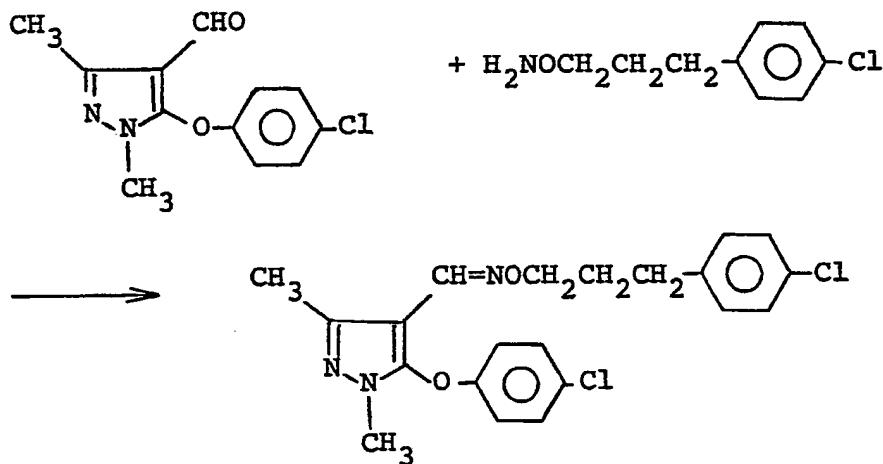
1 Example 57 5-(4-Methoxyphenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-2-(4-fluorophenyl)-ethyl ether (compound No. 815)



1.0 Gram (0.0038 mole) of 5-(4-methoxyphenoxy)-5 1,3-dimethylpyrazole-4-carbaldehyde oxime was dissolved in 20 ml of dioxane, and after adding 0.1 g (0.0042 mole) of sodium hydride, the resulting mixture was stirred. To this reaction solution was added 0.78 g (0.0038 mole) of 2-(4-fluorophenyl)ethyl bromide, and reaction was carried 10 out at from 40° to 50°C for 3 hours. After completion of the reaction, the reaction solution was poured into 200 ml of water and extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation under reduced pressure 15 to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.2 g of the desired compound.

Yield 82%.  $n_D^{20}$  1.5588.

1 Example 58 5-(4-Chlorophenoxy)-1,3-dimethylpyrazole-4-carbaldehyde oxime O-3-(4-chlorophenyl)propyl ether (compound No. 824)

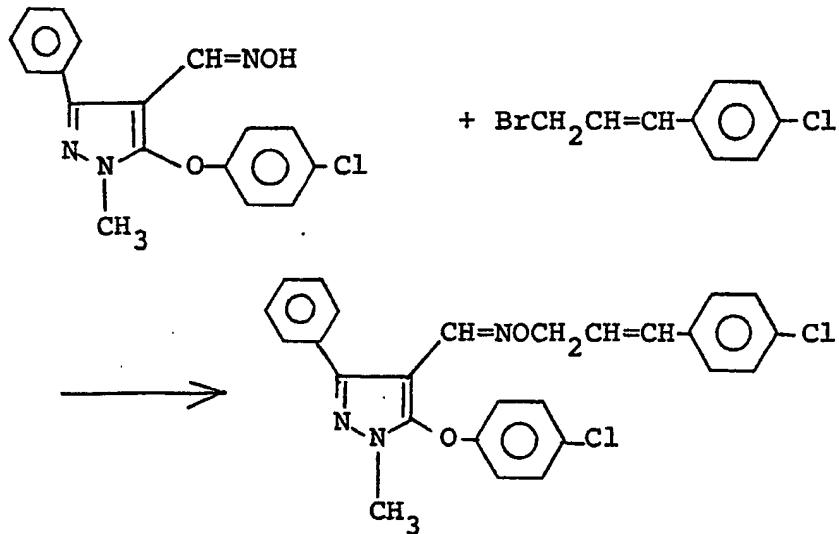


1.0 Gram (0.004 mole) of 5-(4-chlorophenoxy)-

- 5 1,3-dimethylpyrazole-4-carbaldehyde was dissolved in 30 ml of methanol, and 0.74 g (0.004 mole) of O-[3-(4-chlorophenyl)propyl]hydroxylamine was added at room temperature with stirring. Reaction was then carried out at from 40° to 50°C for 2 hours. Methanol was then  
10 removed by evaporation under reduced pressure, after which water was added to the residue and extraction was carried out with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation under reduced pressure to obtain an oily  
15 product. This oily product was column-chromatographed on silica gel to obtain 1.1 g of the desired compound.

Yield 66%.  $n_D^{20}$  1.5751.

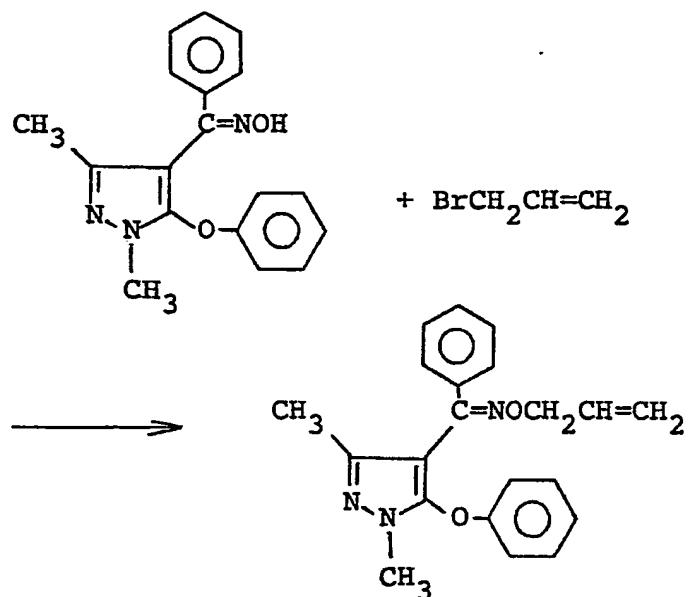
1 Example 59 5-(4-Chlorophenoxy)-1-methyl-3-phenylpyrazole-4-carbaldehyde oxime O-4-chlorocinnamyl ether  
(compound No. 846)



1.0 Gram (0.0030 mole) of 5-(4-chlorophenoxy)-1-methyl-3-phenylpyrazole-4-carbaldehyde oxime was reacted with 0.7 g (0.0030 mole) of p-chlorocinnamyl bromide and 0.2 g (0.005 mole) of sodium hydroxide at 30°C for 6 hours in 30 ml of dimethyl sulfoxide. After completion of the reaction, the reaction solution was poured into 200 ml of water and extracted with ethyl acetate. The ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation under reduced pressure to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 1.1 g of the desired compound.

Yield 76%.  $n_D^{20}$  1.5980.

1 Example 60 1,3-Dimethyl-5-phenoxyppyrazol-4-yl phenyl  
ketone oxime O-allyl ether (compound No. 857)

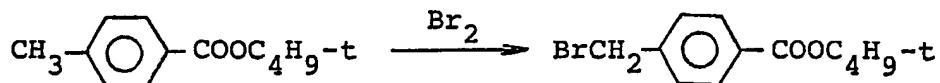


1.0 Gram (0.0033 mole) of 1,3-dimethyl-5-phenoxyppyrazol-4-yl phenyl ketone oxime, 0.5 g (0.0041 mole) of allyl bromide and 1.0 g of potassium carbonate were added to 50 ml of acetone, and the resulting mixture was heated for 6 hours to carry out reaction. After completion of the reaction, the reaction solution was poured into 200 ml of water and extracted with ethyl acetate. The 10 ethyl acetate extract was washed with water and dried, and ethyl acetate was removed by evaporation under reduced pressure to obtain an oily product. This oily product was column-chromatographed on silica gel to obtain 0.9 g of the desired compound.

15 Yield 79%.  $n_{\text{D}}^{20}$  1.5800.

1 Synthesis of starting materials

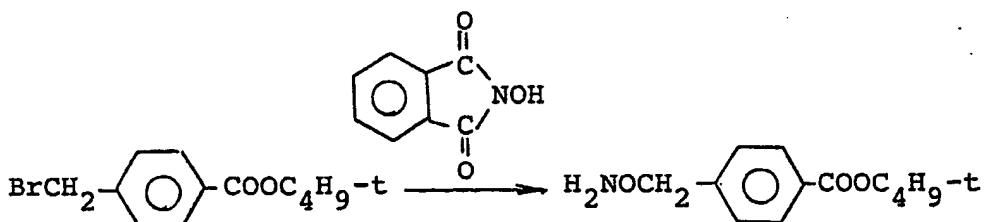
Synthetic example 1



13.2 Grams (0.006 mole) of tert-butyl 4-methylbenzoate, 0.3 g (0.0012 mole) of benzoyl peroxide 5 and 6 g (0.006 mole) of sodium carbonate were suspended in 100 ml of carbon tetrachloride, and 9.6 g (0.06 mole) of bromine was added dropwise at 50°C over 30 minutes with stirring. After completion of the addition, reaction was continued for further 30 minutes. The reaction solution 10 was then cooled and filtered to remove carbon tetrachloride-insoluble matters. Carbon tetrachloride was then removed by evaporation under reduced pressure to obtain 16.2 g of tert-butyl 4-bromomethylbenzoate as crystals.

15 Yield 90%. m.p. 53.4°C.

Synthetic example 2

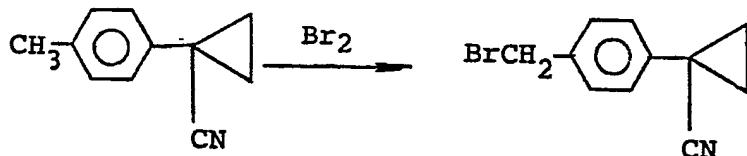


15.0 Grams (0.049 mole) of tert-butyl 4-bromomethylbenzoate, 8.2 g (0.05 mole) of N-hydroxyphthalimide and 3.0 g (0.054 mole) of potassium

1 hydroxide were added to 200 ml of dimethylformamide, and  
the resulting mixture was stirred at room temperature for  
30 minutes and then at 50°C for 30 minutes. The reaction  
solution was cooled with ice water and filtered to obtain  
5 crystals. The crystals were dissolved in 50 ml of  
methylene chloride, and to this solution was slowly added  
dropwise 3 ml of isopropanol containing 0.5 g (0.05 mole).  
of hydrazine hydrate at room temperature. After completion  
of the addition, the reaction solution was heated under  
10 reflux for 2 hours. The reaction solution was cooled and  
filtered, and the filtrate was concentrated to obtain  
11.0 g of tert-butyl 4-(aminoxymethyl)benzoate.

Yield 90%.  $n_D^{15.6}$  1.5296.

Synthetic example 3



15 3.0 Grams (0.02 mole) of 1-p-tolylcyclopropane-1-carbonitrile and 0.1 g (0.0004 mole) of benzoyl peroxide were dissolved in 50 ml of carbon tetrachloride, and 3.2 g of bromine was added dropwise over 30 minutes under reflux. After completion of the addition, reaction was continued  
20 for further 30 minutes. After cooling the reaction solution, carbon tetrachloride was removed by evaporation to obtain 4.4 g of 1-(4-bromomethylphenyl)cyclopropane-1-carbonitrile.

1 yield 90%. Form of product: paste.

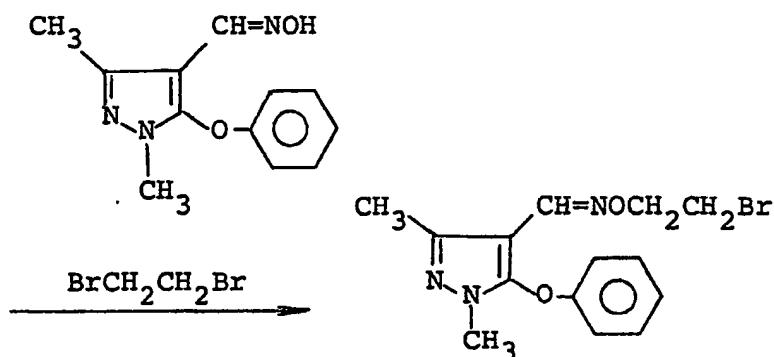
NMR:

$\delta$  (ppm) 1.15 - 1.40 (2H, m),

$$2.50 = 2.75 \text{ (2H, m)},$$

5 4.45 (1H, s), 7.35 (4H, s)

### Synthetic example 4



5.0 Grams (0.00216 mole) of 1,3-dimethyl-5-phenoxypyrazole-4-carbaldehyde oxime and 41.0 g (0.218 mole) of 1,2-dibromomethane were dissolved in 100 ml of  
10 dimethyl sulfoxide, and after adding 14.4 g (0.219 mole) of 85% powdery potassium hydroxide with ice-cooling, the resulting solution was stirred for 30 minutes. After completion of the reaction, the reaction solution was poured into 300 ml of water, extracted with three 80-ml  
15 portions of ether and washed with 300 ml of water. The ether extract was dried over anhydrous sodium sulfate, and ether was removed by evaporation. The residue was dry column-chromatographed on silica gel to obtain 5.2 g of 1,3-dimethyl-5- phenoxypyrazol-4-carbaldehyde oxime  
20 0-2-bromoethyl ether.

Yield 71.2%. n<sub>D</sub><sup>23.8</sup> 1.5721.

1       The present invention provides a technique for  
exterminating or controlling injurious insects and mites  
using the physiological activity of the compounds of the  
present invention. In one of the embodiments of the  
5 invention, the compounds are directly applied as such to  
the objects to be protected or to the pests to be  
controlled (undiluted spray). For instance, the compounds  
of the present invention in the form of a liquid of 95% or  
higher purity can be sprayed from aeroplanes to form a fog  
10 of extremely fine liquid particles.

The compounds of the present invention can also  
be used to treat ponds and pools in which the larvae of the  
insects live or treat environmental water or irrigative  
water grown with hosts for the larvae to render the living  
15 environment or feed (hosts) toxic to the larvae.

As is customary in the art, however, in order to  
exterminate or control injurious insects and mites using  
the physiological activity of the compounds of the present  
invention, the compounds are applied in most cases in a  
20 form suitable for use, for example, as supported on or  
diluted with inert carriers and if necessary, mixed with  
auxiliary agents.

General suggestions regarding the formulation of  
insecticidal compositions with the compounds of the present  
25 invention will be described below.

The compounds of the present invention are mixed  
with a suitable proportion of suitable inert carriers  
together with auxiliary agents if necessary to allow the

1 compounds to dissolve, disperse, suspend, mix, impregnate,  
adsorb or adhere, and thus they are formed into suitable  
preparations such as for example solutions, suspensions,  
emulsifiable concentrates, oil sprays, wettable powders,  
5 dusts, granules, tablets, pellets, pastes, aerosols, etc.

The inert carriers used in the formulation may be either solid or liquid. As examples of the solid carriers, there may be mentioned vegetable powders such as soybean flour, cereal flour, wood flour, bark flour, saw dust, 10 powdered tobacco stalk, powdered walnut shell, bran, powdered cellulose, and extraction residues of vegetables; fibrous materials such as paper, corrugated paperboard, and waste cloth; synthetic polymers such as powdered synthetic resins; inorganic or mineral products such as clays (e.g. 15 Kaolin, bentonite, and acid clay), talcs (e.g. talc and pyrophyllite), siliceous substances [e.g. diatomaceous earth, silica sand, mica, and "white carbon" (highly dispersed synthetic silicon dioxide, also called finely divided hydrated silica or hydrated silicon dioxide, some 20 commercial products containing calcium silicate as major constituent)], activated carbon, powdered sulfur, pumice, calcined diatomaceous earth, ground brick, fly ash, sand, calcium carbonate, and calcium phosphate; chemical fertilizers such as ammonium sulfate, ammonium nitrate, 25 urea, and ammonium chloride; and farmyard manure. These materials are used alone or in combination. Materials usable as liquid carriers are selected from those which will dissolve the active ingredients and those which do not

1 dissolve them, but can disperse them with the aid of  
adjuvants. For example, the following materials can be  
used alone or in combination: Water, alcohols (e.g.  
methanol, ethanol, isopropanol, butanol, ethylene glycol),  
5 ketones (e.g. acetone, methyl ethyl ketone, methyl isobutyl  
ketone, diisobutyl ketone and cyclohexanone), ethers (e.g.  
ethyl ether, dioxane, cellosolves, dipropyl ether and  
tetrahydrofuran), aliphatic hydrocarbons (e.g. gasoline and  
mineral oils), aromatic hydrocarbons (e.g. benzene,  
10 toluene, xylene, solvent naphtha and alkynaphthalenes),  
halohydrocarbons (e.g. dichloroethane, chlorinated benzenes,  
chloroform and carbon tetrachloride), esters (e.g. ethyl  
acetate, dibutyl phthalate, diisopropyl phthalate and  
dioctyl phthalate), acid amides (e.g. dimethylformamide,  
15 diethylformamide and dimethylacetamide), nitriles  
(e.g. acetonitrile), and dimethyl sulfoxide.

Gaseous carriers include freons and other aerosol  
propellants which are a gas under normal conditions.

The adjuvants, which are mentioned below, are  
20 used according to individual purposes. In some cases, they  
are used in combination with one another. In some other  
cases, no adjuvant is used at all.

For the purpose of emulsification, dispersion,  
solubilization and/or wetting of the active ingredients,  
25 there are used surface active agents such as for example  
polyoxyethylene alkylaryl ethers, polyoxyethylene alkyl  
ethers, polyoxyethylene higher fatty acid esters,  
polyoxyethylene resinates, polyoxyethylene sorbitan

1 monolaurate, polyoxyethylene sorbitan monooleate,  
alkylarylsulfonates, naphthalenesulfonic acid condensa-  
tion products, ligninsulfonates and higher alcohol  
sulfate esters.

5 For the purpose of stabilizing the dispersion,  
tackification and/or agglomeration of the active  
ingredients, there may be used for example casein,  
gelatin, starch, alginic acid, methylcellulose, carboxy-  
methylcellulose, gum arabic, polyvinyl alcohol, turpentine  
10 oil, rice bran oil, bentonite and ligninsulfonates.

For the purpose of improving the flow property  
of the solid compositions, it is recommendable to use  
waxes, stearates or alkyl phosphates.

As peptizers for dispersible compositions, it  
15 is also recommendable to use naphthalenesulfonic acid  
condensation products and polyphosphates.

It is also possible to add a defoamer such as  
for example a silicone oil.

The content of the active ingredient may be  
20 adjusted as occasion demands. For the preparation of  
powdered or granulated products, the content is usually  
from 0.5 to 20% by weight, and for the preparation of  
emulsifiable concentrates, suspension concentrates or  
wettable powders, it is preferably from 0.1 to 50% by  
25 weight.

For controlling various insects, mites and  
fungi, inhibiting their growth and protecting useful  
plants from damage caused by these insects, mites and

1 fungi, the compositions of the present invention for  
use in agriculture and horticulture are applied in  
insecticidally, acaricidally or fungicidally effective  
amounts. In applying the present compositions, they  
5 are applied, as such or after properly diluted with or  
suspended in water or other suitable medium, to soil or  
the foliage of crops to be protected from the attack of  
insects, mites and fungi.

The amount of the active ingredient used  
10 depends upon various factors such as for example the  
purpose of application, growth state of crops, weather,  
environmental conditions, the form of the composition,  
the mode of application, the type of fields to be  
treated, and the like.

15 In applying the present fungicidal compositions  
alone, the dosage of the present active ingredient is  
preferably selected from a range of from 0.1 to 500 g per  
10 ares.

Furthermore, the present compounds can be  
20 applied in the form of mixed formulations with other  
fungicides, insecticides, fertilizers and plant growth  
regulators, as far as such agents can be used in combi-  
nation with the present compounds.

Examples of pesticides usable in admixture  
25 with the insecticide of the present invention will be  
shown below:

O,O-dimethyl O-(4-nitro-3-methylphenyl)-  
thiophosphate (Phenitrothion)

- 1        O,O-dimethyl O-(3-methyl-4-methylthiophenyl)-thiophosphate (Baycid)
- O,O-dimethyl S-(carbethoxyphenylmethyl)-dithiophosphate (Elsan)
- 5        O,O-diethyl O-(2-isopropyl-4-methylpyrimidyl-6)-thiophosphate (Diazinon)
- O,O-dimethyl 2,2,2-trichloro-1-hydroxyethyl-phosphate (Dipterex)
- O-ethyl O-p-cyanophenyl phenylphosphonothioate
- 10 (Surecide)        O-ethyl O-p-nitrophenyl phenylthiophosphonate  
(EPN)
- O,O-dipropyl O-4-methylthiophenylphosphate  
(Propaphos)
- 15        O,O-dimethyl S-phthalimidomethyl dithiophosphate  
(Imidan)
- O,O-dimethyl O-dichlorovinyl phosphate (DDVP)
- O,O-dimethyl S-(N-methylcarbamoylmethyl)-dithiophosphate (Dimethoate)
- 20        O,O-dimethyl S-(1,2-dicarbethoxyethyl)-dithiophosphate (Malathion)
- 1-Naphthyl N-methylcarbamate (NAC)
- m-Tolyl N-methylcarbamate (MTMC)
- 2-Isopropoxyphenyl N-methylcarbamate (PHC)
- 25        Ethyl N-(diethyl-dithiophorylacetyl)-N-methylcarbamate (Mecarbam)
- 3,4-Xylyl N-methylcarbamate (MPMC)
- 2-s-Butylphenyl N-methylcarbamate (BPMC)

- 1           2-Isopropylphenyl N-methylcarbamate (MIPC)  
          2-Chlorophenyl N-methylcarbamate (CPMC)  
          3,5-Xylyl N-methylcarbamate (XMC)  
          2-(1,3-Dioxolan-2-)phenyl N-methylcarbamate  
  
5   (Dioxacarb)  
          3-tert-Butylphenyl N-methylcarbamate (Terbam)  
          4-Diallylamino-3,5-dimethylphenyl N-methyl-  
          carbamate (APC)  
          S-methyl-N-(methylcarbamoyloxy) thioacetoimide  
  
10   (Methomil)  
          N-(2-methyl-4-chlorophenyl)-N,N-dimethyl-  
          formamidine hydrochloride (Chlorophenamidine)  
          1,3-Bis(carbamoylthio)-2-(N,N-dimethylamino)-  
          propane hydrochloride (Cartap)  
  
15       Diisopropyl-1,3-dithiolan-2-ylidene malonate  
          (Isoprothiolan)  
          N-[[ (4-chlorophenyl)amino]carbonyl]-2,6-  
          difluorobenzamide (Diflubenzuron)  
          O,O-Dimethyl-S-[2-(isopropylthio)ethyl]-  
  
20   phosphorodithioate (Isothioate)  
          O,O-Diethyl-S-[2-(ethylthio)ethyl]-phos-  
          phorodithioate (Disulfoton)  
          2,3-Dihydro-2,2-dimethylbenzofuran-7-yl  
          methylcarbamate (Carbofuran)  
  
25       O-Ethyl S,S-diphenyl phosphorodithioate  
          (Edibenfos)  
          N-(trichloromethylthio)cyclohex-4-ene-1,2-  
          dicarboxamide (Captan)

1        2,4,5,6-Tetrachloro-1,3-isophthalonitril  
(Chlorothalonil)

N-(1,1,2,2-tetrachloroethylthio)cyclohex-4-  
ene-1,2-dicarboxamide (Captafol)

5        Dimethyl 4,4-o-phenylene bis(3-thioallophanate)  
(Thiophanate methyl)

Methyl 3-(butylcarbamoyl)-3H-benzimidazol-2-  
ylcarbamate (Benomyl)

Zinc ethylenebis(dithiocarbamate) (polymeric)

10 (Zineb)

Manganese ethylenebis(dithiocarbamate) (poly-  
meric) (Maneb)

In order to demonstrate the effectiveness of  
the present compounds, some test examples and formulation  
15 examples will be shown below, but the present invention  
is not limited to these examples only.

Test example 1 Fungicidal activity against the powdery  
mildew of barley (Erysiphe graminis f.  
20 sp. hordei)

Barley seedlings at 2-leaf stage were sprayed  
with test compound (200 ppm) one day after inoculation  
with conidia of Erysiphe graminis f. sp. hordei. The  
seedlings were kept in a constant-temperature room at  
25 25°C for one week and the percentage of the infected  
area per leaf was examined. The fungicidal activity  
was judged based on the following criterion in  
comparison with the untreated plot.

**0234045.**

- 168 -

1

The results are shown in Table 2.

|                        |           |
|------------------------|-----------|
| A : Control of disease | 100 - 95% |
| B : Control of disease | 94 - 80%  |
| C : Control of disease | 79 - 60%  |
| D : Control of disease | 59 - 0%   |

Table 2

| Compound No. | Fungicidal activity | Compound No. | Fungicidal activity | Compound No. | Fungicidal activity |
|--------------|---------------------|--------------|---------------------|--------------|---------------------|
| 4            | B                   | 55           | A                   | 97           | C                   |
| 9            | C                   | 56           | A                   | 98           | A                   |
| 16           | B                   | 57           | C                   | 102          | A                   |
| 17           | A                   | 58           | C                   | 103          | C                   |
| 18           | B                   | 59           | A                   | 105          | A                   |
| 19           | A                   | 60           | A                   | 109          | A                   |
| 20           | B                   | 66           | A                   | 110          | B                   |
| 21           | A                   | 67           | A                   | 111          | A                   |
| 22           | A                   | 68           | A                   | 112          | A                   |
| 23           | A                   | 69           | A                   | 113          | A                   |
| 24           | A                   | 71           | B                   | 114          | A                   |
| 25           | A                   | 73           | A                   | 118          | B                   |
| 26           | A                   | 74           | A                   | 119          | C                   |
| 27           | A                   | 85           | A                   | 120          | B                   |
| 33           | A                   | 86           | A                   | 123          | A                   |
| 34           | A                   | 87           | A                   | 124          | B                   |
| 35           | A                   | 88           | A                   | 133          | A                   |
| 36           | A                   | 89           | A                   | 134          | B                   |
| 41           | A                   | 90           | A                   | 136          | A                   |
| 42           | A                   | 91           | A                   | 140          | B                   |
| 50           | A                   | 92           | A                   | 142          | C                   |
| 51           | A                   | 93           | B                   | 144          | C                   |
| 52           | B                   | 94           | A                   | 145          | A                   |
| 53           | A                   | 95           | B                   | 153          | A                   |
| 54           | A                   | 96           | C                   | 154          | A                   |

- Con't -

Table 2 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 155 | A | 212 | A | 249 | C |
| 156 | A | 213 | A | 250 | A |
| 157 | A | 216 | A | 251 | B |
| 158 | A | 217 | B | 252 | A |
| 159 | A | 219 | C | 253 | A |
| 160 | A | 220 | A | 254 | A |
| 161 | B | 221 | A | 255 | A |
| 167 | A | 222 | C | 257 | B |
| 181 | C | 228 | B | 258 | B |
| 186 | B | 229 | A | 262 | B |
| 188 | A | 230 | A | 263 | A |
| 190 | C | 231 | A | 264 | A |
| 193 | A | 232 | A | 265 | A |
| 194 | A | 234 | A | 266 | A |
| 195 | A | 235 | A | 267 | A |
| 197 | A | 236 | C | 268 | A |
| 198 | A | 237 | A | 269 | B |
| 199 | A | 238 | C | 270 | B |
| 200 | A | 239 | A | 281 | B |
| 201 | A | 240 | A | 282 | C |
| 202 | A | 241 | A | 283 | A |
| 203 | A | 242 | A | 300 | C |
| 204 | B | 243 | A | 302 | B |
| 205 | A | 245 | A | 303 | B |
| 206 | C | 246 | B | 304 | B |
| 207 | C | 248 | A | 305 | B |

- Cont'd -

0234045

- 171 -

Table 2 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 306 | A | 351 | A | 391 | A |
| 309 | B | 352 | B | 392 | A |
| 311 | C | 353 | A | 393 | A |
| 312 | B | 356 | A | 394 | A |
| 315 | A | 357 | A | 395 | A |
| 316 | A | 358 | A | 396 | A |
| 321 | A | 363 | A | 397 | A |
| 323 | A | 364 | A | 398 | A |
| 324 | C | 365 | A | 399 | A |
| 328 | B | 366 | A | 400 | A |
| 329 | A | 369 | A | 401 | A |
| 330 | A | 370 | A | 402 | A |
| 331 | A | 371 | C | 403 | A |
| 332 | A | 372 | A | 404 | A |
| 333 | A | 373 | C | 405 | A |
| 334 | A | 374 | A | 406 | A |
| 336 | B | 375 | A | 407 | A |
| 337 | B | 382 | A | 409 | A |
| 340 | A | 383 | A | 421 | A |
| 342 | A | 384 | A | 422 | A |
| 343 | A | 385 | A | 424 | A |
| 344 | A | 386 | A | 427 | A |
| 346 | A | 387 | A | 428 | A |
| 347 | A | 388 | C | 429 | A |
| 349 | B | 389 | A | 431 | A |
| 350 | A | 390 | A | 432 | B |

- Cont'd -

Table 2 (Cont'd)

|     |    |     |   |     |   |
|-----|----|-----|---|-----|---|
| 433 | A  | 470 | B | 496 | A |
| 434 | A  | 471 | A | 497 | A |
| 435 | B  | 472 | A | 498 | A |
| 436 | A  | 473 | A | 499 | A |
| 437 | A  | 474 | A | 501 | A |
| 438 | A  | 475 | B | 502 | A |
| 439 | A. | 476 | A | 503 | A |
| 440 | A  | 477 | A | 504 | A |
| 441 | A  | 478 | B | 505 | A |
| 443 | A  | 479 | A | 506 | A |
| 444 | A  | 480 | A | 507 | A |
| 445 | A  | 481 | A | 508 | A |
| 446 | A  | 482 | A | 518 | C |
| 447 | A  | 483 | A | 522 | B |
| 448 | A  | 484 | A | 523 | B |
| 449 | A  | 485 | A | 524 | B |
| 450 | A  | 486 | A | 527 | A |
| 451 | A  | 487 | A | 528 | A |
| 452 | A  | 488 | B | 529 | B |
| 453 | A  | 489 | B | 530 | B |
| 454 | A  | 490 | B | 532 | A |
| 455 | A  | 491 | C | 533 | A |
| 465 | A  | 492 | B | 534 | C |
| 466 | A  | 493 | A | 535 | B |
| 468 | A  | 494 | A | 536 | A |
| 469 | A  | 495 | A | 537 | B |

- Cont'd -

Table 2 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 538 | A | 574 | B | 612 | A |
| 541 | A | 576 | A | 613 | A |
| 545 | A | 578 | B | 614 | A |
| 546 | A | 579 | B | 615 | A |
| 547 | A | 580 | B | 616 | A |
| 548 | A | 581 | C | 617 | A |
| 549 | B | 584 | B | 618 | A |
| 550 | C | 586 | C | 619 | A |
| 551 | B | 587 | B | 620 | A |
| 552 | C | 589 | A | 621 | A |
| 553 | A | 591 | B | 622 | A |
| 554 | C | 592 | A | 623 | A |
| 555 | C | 593 | B | 624 | A |
| 556 | B | 594 | B | 625 | A |
| 557 | A | 595 | C | 626 | A |
| 562 | A | 596 | C | 627 | B |
| 563 | A | 597 | C | 628 | B |
| 565 | A | 598 | C | 629 | A |
| 566 | A | 601 | C | 630 | A |
| 567 | A | 602 | A | 631 | A |
| 568 | A | 603 | A | 636 | A |
| 569 | B | 604 | A | 637 | A |
| 570 | A | 608 | A | 638 | A |
| 571 | A | 609 | A | 639 | A |
| 572 | B | 610 | A | 640 | A |
| 573 | B | 611 | A | 641 | B |

- Cont'd -

Table 2 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 642 | C | 677 | A | 727 | A |
| 643 | A | 678 | A | 729 | A |
| 644 | B | 680 | A | 730 | B |
| 645 | A | 682 | A | 731 | B |
| 646 | A | 683 | A | 732 | A |
| 648 | A | 684 | A | 733 | A |
| 649 | A | 691 | B | 737 | A |
| 650 | B | 692 | B | 739 | C |
| 652 | C | 693 | A | 740 | A |
| 653 | B | 694 | A | 741 | A |
| 654 | B | 695 | A | 746 | B |
| 655 | A | 696 | B | 751 | B |
| 656 | B | 697 | B | 753 | B |
| 657 | B | 700 | C | 754 | A |
| 658 | A | 701 | A | 755 | A |
| 659 | B | 702 | B | 757 | A |
| 660 | A | 707 | B | 758 | A |
| 661 | B | 708 | A | 759 | A |
| 662 | B | 713 | A | 763 | B |
| 663 | A | 715 | A | 766 | A |
| 667 | C | 716 | B | 767 | A |
| 668 | A | 718 | C | 768 | A |
| 670 | A | 719 | A | 769 | A |
| 672 | B | 720 | B | 772 | B |
| 675 | B | 724 | A | 773 | A |
| 676 | B | 726 | B | 775 | B |

- Cont'd -

Table 2 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 783 | B | 823 | A | 841 | B |
| 784 | B | 824 | A | 842 | A |
| 795 | A | 825 | A | 843 | A |
| 796 | B | 827 | B | 844 | A |
| 803 | A | 828 | C | 848 | A |
| 804 | C | 829 | A | 849 | A |
| 805 | C | 831 | C | 850 | A |
| 816 | A | 833 | B | 851 | A |
| 817 | A | 834 | A | 852 | B |
| 818 | A | 835 | B | 853 | A |
| 819 | A | 836 | A | 854 | C |
| 821 | B | 839 | A | 855 | A |
| 822 | B | 840 | B |     |   |

- 1 Test example 2 Fungicidal activity against the crown rust  
of oat (Puccinia coronata f.sp. avenae)

Oat seedling at 8-leaf stage were sprayed with  
test compound (200 ppm) one day after inoculation with  
5 uredospores of Puccinia coronata f.sp. avenae. The  
seedlings were kept in a constant-temperature room at 25°C  
for ten days and the percentage of the infected area per  
leaf was examined. The fungicidal activity was judged  
according to the same criterion as in Test example 1.

10 The results are shown in Table 3.

Table 3

| Compound No. | Fungicidal activity | Compound No. | Fungicidal activity | Compound No. | Fungicidal activity |
|--------------|---------------------|--------------|---------------------|--------------|---------------------|
| 14           | B                   | 60           | A                   | 111          | A                   |
| 18           | C                   | 66           | A                   | 112          | A                   |
| 19           | C                   | 67           | A                   | 113          | A                   |
| 21           | C                   | 68           | A                   | 114          | A                   |
| 22           | B                   | 69           | A                   | 133          | A                   |
| 23           | B                   | 71           | A                   | 134          | A                   |
| 24           | B                   | 73           | A                   | 135          | B                   |
| 25           | B                   | 74           | A                   | 136          | A                   |
| 27           | A                   | 85           | A                   | 138          | A                   |
| 33           | A                   | 86           | A                   | 139          | A                   |
| 34           | A                   | 87           | A                   | 140          | A                   |
| 35           | A                   | 88           | B                   | 142          | A                   |
| 36           | A                   | 89           | A                   | 143          | A                   |
| 41           | A                   | 90           | A                   | 144          | A                   |
| 42           | A                   | 91           | A                   | 145          | A                   |
| 50           | A                   | 92           | C                   | 153          | A                   |
| 51           | A                   | 93           | B                   | 154          | A                   |
| 52           | A                   | 94           | B                   | 155          | A                   |
| 53           | A                   | 95           | A                   | 156          | A                   |
| 54           | A                   | 96           | A                   | 157          | A                   |
| 55           | A                   | 97           | C                   | 158          | A                   |
| 56           | A                   | 98           | A                   | 159          | B                   |
| 57           | A                   | 105          | A                   | 160          | B                   |
| 58           | A                   | 109          | A                   | 161          | A                   |
| 59           | A                   | 110          | A                   | 186          | A                   |

- Con't -

Table 3 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 188 | A | 241 | A | 328 | A |
| 193 | A | 242 | B | 329 | A |
| 194 | A | 243 | A | 330 | A |
| 195 | A | 245 | A | 331 | A |
| 198 | A | 246 | A | 332 | A |
| 199 | A | 248 | C | 333 | A |
| 200 | A | 250 | A | 337 | A |
| 201 | B | 251 | A | 340 | B |
| 202 | C | 254 | B | 342 | A |
| 203 | A | 257 | A | 343 | A |
| 204 | B | 258 | A | 344 | A |
| 205 | B | 263 | A | 345 | B |
| 212 | A | 264 | A | 346 | A |
| 213 | C | 265 | A | 347 | A |
| 217 | C | 266 | B | 349 | A |
| 220 | B | 267 | B | 350 | A |
| 221 | A | 268 | B | 351 | B |
| 228 | B | 283 | B | 353 | A |
| 229 | A | 303 | C | 355 | B |
| 230 | A | 305 | B | 356 | A |
| 231 | A | 306 | A | 357 | A |
| 234 | A | 309 | C | 358 | A |
| 237 | A | 312 | A | 363 | A |
| 238 | B | 315 | A | 364 | A |
| 239 | A | 316 | A | 366 | A |
| 240 | A | 323 | B | 369 | A |

- Cont'd -

0234045

Table 3 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 370 | A | 402 | A | 446 | C |
| 371 | A | 403 | A | 447 | A |
| 372 | A | 404 | A | 448 | A |
| 373 | A | 405 | A | 449 | A |
| 374 | A | 406 | A | 450 | A |
| 375 | A | 407 | A | 451 | A |
| 381 | C | 409 | A | 452 | A |
| 382 | A | 421 | A | 453 | A |
| 383 | A | 422 | A | 454 | A |
| 384 | A | 427 | C | 455 | A |
| 385 | A | 428 | A | 465 | A |
| 386 | A | 429 | A | 468 | A |
| 387 | B | 431 | A | 469 | A |
| 388 | C | 433 | A | 470 | A |
| 390 | A | 434 | A | 471 | A |
| 391 | A | 435 | B | 472 | A |
| 392 | A | 436 | A | 473 | A |
| 393 | A | 437 | A | 474 | A |
| 394 | A | 438 | C | 475 | A |
| 395 | A | 439 | A | 476 | B |
| 396 | A | 440 | A | 477 | A |
| 397 | A | 441 | A | 478 | A |
| 398 | A | 442 | A | 479 | A |
| 399 | A | 443 | B | 480 | A |
| 400 | A | 444 | A | 481 | C |
| 401 | A | 445 | B | 482 | A |

- Cont'd -

Table 3 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 483 | A | 523 | A | 557 | A |
| 484 | A | 524 | A | 558 | C |
| 485 | A | 525 | A | 559 | C |
| 486 | A | 527 | C | 560 | B |
| 487 | A | 528 | A | 561 | A |
| 488 | A | 529 | A | 562 | B |
| 489 | A | 530 | A | 563 | A |
| 490 | A | 531 | A | 565 | A |
| 491 | A | 532 | A | 566 | A |
| 492 | A | 533 | A | 567 | A |
| 493 | A | 534 | A | 568 | A |
| 494 | A | 535 | A | 569 | A |
| 495 | A | 536 | A | 570 | A |
| 496 | A | 537 | A | 571 | A |
| 497 | A | 538 | A | 572 | A |
| 498 | A | 544 | B | 573 | C |
| 499 | A | 545 | A | 574 | B |
| 500 | C | 546 | A | 576 | A |
| 501 | A | 548 | A | 577 | A |
| 502 | A | 550 | C | 578 | A |
| 503 | A | 551 | A | 579 | A |
| 504 | A | 552 | C | 580 | A |
| 505 | A | 553 | B | 585 | C |
| 506 | A | 554 | A | 586 | A |
| 507 | A | 555 | A | 587 | A |
| 508 | A | 556 | A | 589 | A |

- Cont'd -

Table 3 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 590 | A | 621 | A | 651 | B |
| 591 | A | 622 | A | 652 | A |
| 592 | A | 623 | A | 653 | B |
| 593 | A | 624 | A | 654 | A |
| 594 | A | 625 | A | 655 | A |
| 595 | A | 626 | A | 656 | A |
| 596 | B | 627 | A | 657 | A |
| 599 | B | 628 | A | 658 | A |
| 602 | A | 629 | A | 659 | B |
| 603 | A | 630 | A | 660 | A |
| 604 | A | 631 | A | 661 | A |
| 606 | C | 636 | A | 662 | A |
| 607 | A | 637 | A | 663 | A |
| 608 | A | 638 | A | 667 | C |
| 609 | A | 639 | A | 668 | A |
| 610 | A | 640 | A | 669 | B |
| 611 | A | 641 | A | 670 | B |
| 612 | A | 642 | A | 672 | B |
| 613 | A | 643 | A | 674 | B |
| 614 | A | 644 | A | 675 | A |
| 615 | A | 645 | A | 677 | A |
| 616 | A | 646 | A | 678 | A |
| 617 | A | 647 | A | 679 | B |
| 618 | A | 648 | A | 680 | A |
| 619 | A | 649 | A | 682 | A |
| 620 | A | 650 | A | 683 | A |

- Cont'd -

Table 3 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 684 | A | 727 | A | 784 | B |
| 685 | A | 729 | A | 794 | C |
| 690 | C | 730 | A | 796 | A |
| 691 | C | 731 | A | 804 | A |
| 692 | A | 732 | A | 812 | B |
| 693 | A | 733 | A | 813 | A |
| 694 | A | 737 | B | 814 | B |
| 695 | A | 746 | B | 815 | B |
| 696 | A | 751 | B | 817 | C |
| 697 | A | 755 | A | 821 | A |
| 699 | A | 757 | B | 822 | C |
| 701 | A | 758 | A | 823 | A |
| 706 | B | 759 | A | 824 | A |
| 709 | A | 763 | A | 825 | A |
| 710 | A | 764 | B | 829 | A |
| 711 | A | 766 | A | 830 | C |
| 712 | A | 767 | A | 831 | A |
| 713 | B | 768 | A | 832 | C |
| 715 | B | 769 | A | 833 | A |
| 717 | C | 770 | B | 834 | A |
| 719 | A | 772 | A | 835 | A |
| 720 | C | 773 | A | 838 | A |
| 723 | B | 780 | B | 842 | A |
| 724 | A | 781 | C | 843 | A |
| 725 | A | 782 | A | 844 | A |
| 726 | A | 783 | B | 848 | A |

- Cont'd -

**0234045**

Table 3 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 849 | B | 851 | A | 853 | A |
| 850 | A | 852 | B | 854 | A |

1 Test example 3 Fungicidal activity against the downy  
mildew of cucumber (Pseudoperonospora cubensis)

Cucumber plants at 2-leaf stage were sprayed with test compound (200 ppm) one day before inoculation with 5 zoospores of Psudopernospora cubensis. After the plants were kept in a humid room at 25°C one day and then in a greenhouse for six days, the degree of infection per leaf was examined and the fungicidal activity was judged according to the same criterion as in Test example 1.

10 The results are shown in Table 4.

0234045.

- 185 -

Table 4

| Compound No. | Fungicidal activity | Compound No. | Fungicidal activity | Compound No. | Fungicidal activity |
|--------------|---------------------|--------------|---------------------|--------------|---------------------|
| 4            | B                   | 51           | B                   | 90           | A                   |
| 9            | A                   | 52           | B                   | 91           | A                   |
| 10           | B                   | 53           | C                   | 92           | A                   |
| 12           | C                   | 54           | A                   | 93           | A                   |
| 13           | B                   | 55           | A                   | 94           | A                   |
| 16           | C                   | 56           | A                   | 95           | A                   |
| 17           | A                   | 57           | A                   | 96           | A                   |
| 18           | C                   | 58           | C                   | 97           | A                   |
| 19           | A                   | 59           | C                   | 98           | A                   |
| 20           | B                   | 60           | A                   | 99           | A                   |
| 21           | A                   | 65           | C                   | 100          | A                   |
| 22           | A                   | 66           | A                   | 101          | A                   |
| 23           | A                   | 67           | A                   | 102          | B                   |
| 24           | A                   | 68           | A                   | 103          | C                   |
| 25           | A                   | 69           | B                   | 104          | B                   |
| 26           | A                   | 73           | C                   | 105          | B                   |
| 27           | A                   | 74           | A                   | 109          | A                   |
| 33           | A                   | 75           | B                   | 110          | A                   |
| 34           | A                   | 77           | B                   | 111          | A                   |
| 36           | A                   | 78           | A                   | 112          | B                   |
| 41           | A                   | 79           | C                   | 113          | A                   |
| 42           | A                   | 85           | A                   | 114          | A                   |
| 45           | A                   | 86           | B                   | 115          | A                   |
| 47           | C                   | 87           | A                   | 116          | A                   |
| 50           | A                   | 88           | C                   | 117          | B                   |

- Con't -

Table 4 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 118 | B | 179 | A | 228 | B |
| 121 | C | 180 | A | 229 | B |
| 122 | A | 181 | C | 230 | B |
| 123 | B | 182 | A | 231 | C |
| 130 | A | 183 | B | 232 | B |
| 131 | A | 186 | C | 234 | A |
| 133 | C | 188 | A | 237 | A |
| 136 | A | 192 | A | 239 | C |
| 137 | B | 193 | A | 240 | A |
| 138 | B | 194 | A | 242 | C |
| 139 | A | 195 | B | 243 | A |
| 140 | A | 196 | B | 245 | A |
| 141 | C | 197 | A | 246 | B |
| 145 | B | 198 | A | 251 | C |
| 147 | A | 199 | A | 252 | B |
| 153 | B | 200 | A | 253 | A |
| 154 | A | 201 | B | 254 | A |
| 155 | A | 202 | B | 255 | B |
| 156 | A | 203 | A | 256 | B |
| 159 | C | 204 | A | 257 | C |
| 160 | B | 205 | A | 258 | C |
| 161 | A | 212 | A | 262 | C |
| 162 | A | 213 | A | 263 | C |
| 171 | C | 216 | C | 264 | C |
| 173 | A | 220 | B | 265 | A |
| 178 | A | 221 | A | 266 | B |

- Cont'd -

Table 4 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 267 | C | 336 | A | 376 | B |
| 269 | B | 337 | B | 377 | B |
| 270 | C | 342 | A | 378 | C |
| 284 | C | 343 | C | 383 | A |
| 288 | C | 344 | B | 385 | A |
| 292 | A | 346 | A | 386 | B |
| 293 | B | 350 | B | 387 | B |
| 296 | B | 351 | A | 388 | A |
| 297 | A | 352 | B | 389 | B |
| 298 | C | 353 | A | 390 | A |
| 299 | A | 354 | C | 391 | A |
| 302 | A | 355 | C | 392 | A |
| 303 | C | 356 | A | 393 | A |
| 304 | A | 357 | A | 394 | A |
| 305 | B | 358 | A | 395 | A |
| 306 | B | 363 | A | 396 | A |
| 312 | B | 364 | A | 397 | A |
| 316 | C | 365 | A | 398 | A |
| 321 | A | 366 | A | 399 | A |
| 326 | B | 369 | A | 400 | A |
| 328 | B | 370 | A | 401 | A |
| 329 | B | 371 | B | 402 | A |
| 330 | B | 372 | A | 403 | A |
| 331 | A | 373 | A | 404 | A |
| 332 | A | 374 | A | 405 | A |
| 333 | A | 375 | A | 406 | A |

- Cont'd -

Table 4 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 407 | A | 452 | A | 492 | C |
| 409 | A | 453 | A | 493 | B |
| 420 | B | 454 | A | 496 | A |
| 421 | A | 455 | A | 497 | A |
| 424 | A | 465 | A | 498 | C |
| 428 | B | 468 | A | 499 | C |
| 429 | A | 469 | A | 502 | C |
| 431 | A | 471 | A | 503 | C |
| 432 | B | 473 | C | 504 | A |
| 433 | B | 474 | C | 505 | C |
| 434 | B | 476 | B | 506 | A |
| 436 | A | 477 | A | 507 | A |
| 437 | A | 478 | A | 508 | A |
| 438 | A | 479 | B | 511 | A |
| 439 | A | 480 | A | 512 | A |
| 440 | A | 481 | A | 513 | A |
| 441 | A | 482 | A | 514 | A |
| 442 | B | 483 | B | 515 | A |
| 444 | A | 484 | A | 516 | B |
| 445 | A | 485 | A | 518 | C |
| 446 | B | 486 | A | 523 | A |
| 447 | A | 487 | A | 524 | A |
| 448 | B | 488 | A | 525 | A |
| 449 | A | 489 | A | 527 | B |
| 450 | A | 490 | A | 528 | A |
| 451 | A | 491 | B | 529 | B |

- Cont'd -

Table 4 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 531 | C | 572 | A | 609 | A |
| 532 | A | 574 | B | 610 | A |
| 533 | A | 576 | A | 611 | A |
| 534 | A | 577 | A | 612 | A |
| 535 | A | 578 | C | 613 | A |
| 536 | A | 579 | B | 614 | A |
| 537 | A | 584 | B | 615 | A |
| 538 | A | 585 | B | 616 | A |
| 541 | B | 586 | A | 617 | A |
| 544 | A | 588 | C | 618 | A |
| 546 | A | 589 | A | 619 | A |
| 548 | A | 590 | A | 620 | A |
| 551 | A | 591 | A | 621 | A |
| 553 | C | 592 | A | 622 | B |
| 554 | C | 593 | A | 623 | A |
| 555 | B | 594 | A | 624 | A |
| 556 | C | 595 | A | 625 | A |
| 557 | B | 596 | C | 626 | A |
| 562 | A | 597 | C | 627 | A |
| 563 | A | 598 | C | 628 | A |
| 565 | A | 599 | B | 629 | A |
| 566 | A | 602 | A | 630 | A |
| 567 | B | 603 | A | 631 | C |
| 568 | B | 604 | A | 632 | A |
| 569 | A | 605 | A | 633 | A |
| 570 | A | 608 | A | 636 | A |

- Cont'd -

Table 4 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 637 | A | 663 | A | 699 | C |
| 638 | A | 668 | A | 700 | C |
| 639 | A | 669 | A | 701 | A |
| 640 | A | 670 | A | 702 | A |
| 641 | A | 673 | B | 705 | A |
| 642 | A | 674 | A | 706 | C |
| 643 | C | 675 | A | 709 | A |
| 644 | B | 676 | A | 713 | A |
| 645 | A | 677 | A | 714 | B |
| 646 | A | 678 | A | 715 | B |
| 647 | A | 680 | A | 716 | B |
| 648 | A | 681 | A | 717 | A |
| 649 | A | 682 | A | 719 | B |
| 650 | A | 683 | A | 720 | A |
| 651 | A | 684 | A | 725 | B |
| 652 | A | 685 | A | 726 | B |
| 653 | A | 686 | A | 727 | B |
| 654 | A | 690 | B | 728 | B |
| 655 | A | 691 | A | 729 | A |
| 656 | A | 692 | A | 730 | A |
| 657 | A | 693 | A | 731 | B |
| 658 | A | 694 | A | 732 | A |
| 659 | A | 695 | A | 733 | A |
| 660 | A | 696 | A | 737 | C |
| 661 | A | 697 | A | 739 | B |
| 662 | A | 698 | A | 740 | B |

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0234045

Table 4 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 741 | A | 780 | A | 836 | A |
| 742 | A | 782 | B | 837 | B |
| 746 | A | 783 | A | 838 | C |
| 751 | A | 784 | A | 839 | C |
| 752 | A | 787 | B | 840 | C |
| 754 | B | 789 | B | 841 | C |
| 755 | A | 804 | A | 842 | A |
| 756 | A | 812 | A | 843 | A |
| 757 | A | 813 | A | 844 | A |
| 758 | A | 814 | A | 845 | B |
| 759 | A | 815 | C | 848 | A |
| 761 | C | 817 | C | 849 | A |
| 763 | C | 820 | C | 850 | A |
| 764 | A | 821 | A | 851 | A |
| 765 | B | 822 | A | 852 | A |
| 766 | A | 823 | A | 853 | A |
| 767 | A | 824 | A | 854 | A |
| 768 | A | 825 | A | 855 | A |
| 769 | A | 826 | B |     |   |
| 770 | B | 827 | B |     |   |
| 772 | A | 828 | B |     |   |
| 773 | A | 829 | A |     |   |
| 774 | A | 831 | A |     |   |
| 775 | A | 833 | A |     |   |
| 776 | A | 834 | A |     |   |
| 777 | A | 835 | A |     |   |

1 Test example 4 Insecticidal activity against the brown  
planthopper (Nilaparvata lugens)

Rice seedlings were dipped into the aqueous emulsion of the compound at 200 ppm for 30 seconds. After 5 air-drying, the seedling were placed in a glass tube, and the 3rd instar nymphs were inoculated on the plants. On 8th day after treatment, the corrected mortality was calculated and the insecticidal activity was judged based on the following criterion.

10 The results are shown in Table 5.

|    |                   |           |
|----|-------------------|-----------|
| A: | Reviced Mortality | 100 - 90% |
| B: | "                 | 89 - 80%  |
| C: | "                 | 79 - 50%  |

Table 5

| Compound No. | Insecticidal activity | Compound No. | Insecticidal activity | Compound No. | Insecticidal activity |
|--------------|-----------------------|--------------|-----------------------|--------------|-----------------------|
| 16           | A                     | 71           | A                     | 123          | A                     |
| 17           | A                     | 72           | B                     | 124          | A                     |
| 19           | A                     | 73           | A                     | 125          | A                     |
| 20           | A                     | 74           | A                     | 133          | A                     |
| 21           | A                     | 85           | A                     | 134          | A                     |
| 22           | A                     | 86           | A                     | 135          | A                     |
| 23           | A                     | 87           | A                     | 136          | A                     |
| 27           | A                     | 88           | A                     | 140          | A                     |
| 32           | A                     | 89           | A                     | 154          | A                     |
| 33           | A                     | 90           | A                     | 155          | A                     |
| 34           | A                     | 91           | A                     | 157          | A                     |
| 35           | A                     | 92           | A                     | 158          | A                     |
| 36           | A                     | 95           | A                     | 159          | A                     |
| 40           | C                     | 96           | C                     | 160          | A                     |
| 41           | A                     | 102          | A                     | 161          | A                     |
| 42           | A                     | 103          | A                     | 166          | A                     |
| 54           | A                     | 104          | A                     | 193          | A                     |
| 55           | A                     | 105          | A                     | 194          | A                     |
| 56           | B                     | 109          | A                     | 195          | A                     |
| 60           | A                     | 110          | A                     | 198          | A                     |
| 65           | C                     | 111          | A                     | 199          | B                     |
| 66           | A                     | 112          | A                     | 200          | A                     |
| 67           | A                     | 113          | A                     | 203          | A                     |
| 68           | A                     | 114          | A                     | 204          | A                     |
| 69           | A                     | 122          | A                     | 211          | C                     |

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0234045

Table 5 (Cont'd)

|     |   |     |   |     |   |  |
|-----|---|-----|---|-----|---|--|
| 212 | A | 283 | A | 345 | A |  |
| 214 | B | 302 | A | 346 | C |  |
| 217 | C | 303 | B | 347 | A |  |
| 221 | A | 304 | C | 349 | A |  |
| 229 | A | 305 | C | 350 | A |  |
| 230 | A | 306 | A | 351 | A |  |
| 231 | A | 310 | A | 352 | A |  |
| 232 | A | 311 | A | 353 | A |  |
| 234 | A | 314 | C | 355 | A |  |
| 235 | B | 315 | A | 356 | A |  |
| 236 | A | 316 | A | 357 | A |  |
| 237 | A | 321 | A | 358 | A |  |
| 239 | A | 328 | A | 363 | A |  |
| 240 | A | 329 | A | 364 | A |  |
| 241 | A | 330 | A | 365 | A |  |
| 242 | A | 331 | A | 366 | A |  |
| 248 | A | 332 | A | 369 | A |  |
| 250 | A | 333 | A | 370 | A |  |
| 255 | A | 334 | A | 371 | A |  |
| 257 | A | 336 | A | 372 | A |  |
| 258 | A | 337 | A | 373 | A |  |
| 260 | C | 339 | C | 374 | A |  |
| 266 | A | 340 | A | 375 | A |  |
| 267 | A | 342 | A | 388 | A |  |
| 268 | C | 343 | A | 389 | A |  |
| 269 | C | 344 | A | 390 | A |  |

- Cont'd -

Table 5 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 391 | A | 435 | B | 471 | A |
| 392 | A | 436 | A | 472 | A |
| 394 | A | 437 | A | 473 | A |
| 395 | A | 438 | A | 474 | A |
| 396 | A | 439 | A | 475 | A |
| 397 | A | 440 | A | 476 | A |
| 398 | A | 441 | B | 477 | A |
| 399 | A | 442 | A | 479 | A |
| 400 | B | 443 | A | 480 | A |
| 401 | A | 444 | B | 481 | A |
| 402 | A | 445 | C | 482 | A |
| 403 | A | 446 | B | 483 | A |
| 404 | A | 447 | A | 484 | A |
| 405 | A | 448 | A | 485 | A |
| 406 | A | 449 | A | 486 | A |
| 407 | A | 450 | A | 487 | A |
| 409 | A | 451 | A | 488 | A |
| 421 | A | 452 | A | 489 | A |
| 422 | A | 453 | A | 499 | A |
| 424 | A | 454 | A | 500 | B |
| 427 | A | 465 | A | 501 | A |
| 428 | A | 466 | A | 502 | A |
| 429 | A | 467 | A | 503 | A |
| 431 | A | 468 | A | 504 | A |
| 433 | A | 469 | A | 505 | A |
| 434 | A | 470 | A | 506 | A |

- Cont'd -

Table 5 (Cont'd)

|      |   |     |   |     |   |  |
|------|---|-----|---|-----|---|--|
| 507  | A | 551 | A | 581 | A |  |
| 508  | A | 552 | A | 584 | B |  |
| 516  | C | 553 | A | 585 | A |  |
| 517A | A | 554 | A | 586 | A |  |
| 518  | A | 555 | A | 587 | A |  |
| 523  | A | 556 | A | 588 | B |  |
| 524  | A | 557 | A | 589 | B |  |
| 525  | A | 562 | A | 594 | B |  |
| 527  | A | 563 | A | 595 | A |  |
| 528  | A | 564 | A | 602 | A |  |
| 529  | A | 565 | A | 603 | A |  |
| 531  | A | 566 | A | 604 | A |  |
| 532  | A | 567 | A | 608 | A |  |
| 533  | A | 568 | A | 609 | A |  |
| 534  | A | 569 | A | 610 | A |  |
| 535  | A | 570 | A | 611 | A |  |
| 536  | A | 571 | A | 612 | A |  |
| 537  | A | 572 | A | 613 | A |  |
| 538  | A | 573 | A | 614 | A |  |
| 541  | A | 574 | A | 615 | A |  |
| 544  | A | 575 | A | 616 | A |  |
| 545  | A | 576 | A | 617 | A |  |
| 546  | A | 577 | B | 618 | A |  |
| 547  | A | 578 | B | 619 | A |  |
| 548  | A | 579 | A | 620 | A |  |
| 549  | A | 580 | B | 621 | A |  |

- Cont'd -

Table 5 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 623 | A | 655 | A | 692 | A |
| 624 | A | 656 | B | 693 | A |
| 625 | A | 657 | A | 694 | B |
| 626 | A | 658 | A | 695 | B |
| 627 | A | 659 | C | 696 | A |
| 628 | A | 660 | A | 697 | A |
| 629 | A | 661 | A | 698 | A |
| 630 | A | 662 | A | 699 | A |
| 631 | A | 663 | A | 701 | A |
| 636 | A | 668 | A | 702 | A |
| 637 | A | 669 | A | 703 | C |
| 638 | A | 670 | A | 710 | C |
| 639 | A | 671 | A | 713 | A |
| 640 | A | 672 | C | 715 | A |
| 641 | C | 673 | C | 716 | A |
| 642 | A | 674 | B | 717 | A |
| 643 | A | 675 | A | 719 | A |
| 644 | A | 677 | A | 720 | C |
| 645 | A | 679 | A | 723 | B |
| 646 | A | 680 | A | 724 | A |
| 647 | A | 682 | A | 725 | A |
| 648 | A | 683 | A | 726 | A |
| 649 | B | 684 | A | 727 | A |
| 652 | B | 685 | A | 728 | A |
| 653 | A | 686 | C | 729 | A |
| 654 | A | 691 | A | 730 | C |

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0234045

Table 5 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 731 | A | 769 | A | 824 | A |
| 732 | A | 770 | A | 825 | A |
| 733 | A | 772 | A | 826 | A |
| 734 | A | 774 | A | 827 | A |
| 735 | A | 775 | A | 828 | A |
| 739 | B | 776 | A | 829 | A |
| 740 | A | 790 | A | 830 | A |
| 741 | A | 791 | A | 831 | A |
| 742 | A | 792 | C | 832 | A |
| 744 | A | 793 | A | 833 | A |
| 745 | A | 794 | A | 834 | A |
| 746 | A | 795 | A | 835 | A |
| 751 | A | 799 | A | 836 | A |
| 752 | C | 801 | C | 837 | C |
| 753 | A | 812 | C | 838 | A |
| 756 | A | 813 | A | 839 | A |
| 757 | A | 814 | C | 840 | A |
| 758 | A | 815 | C | 841 | A |
| 759 | A | 816 | A | 842 | A |
| 761 | A | 817 | A | 843 | A |
| 762 | A | 818 | C | 844 | A |
| 763 | A | 819 | C | 845 | A |
| 764 | A | 820 | A | 847 | B |
| 766 | A | 821 | A | 848 | A |
| 767 | A | 822 | A | 849 | A |
| 768 | A | 823 | A | 850 | A |

- Cont'd -

- 199 -

0234045

Table 5 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 851 | A | 853 | A | 855 | A |
| 852 | A | 854 | A |     |   |

1 Test example 5 Insecticidal activity against the  
diamondback moth (Plutella xylostella)

Eggs laid on a leaf piece (6 cm x 5 cm) of a  
chinese cabbage were dipped into the aqueous emulsion of  
5 the compound at 500 ppm for 30 seconds. After air-  
drying, the insects and the plant were placed in a petri  
dish. On 6th day after treatment, the corrected mortality  
was calculated and the insecticidal activity was judged  
according to the same criterion as in the test example 4.

10 The results are shown in Table 6.

Table 6

| Compound No. | Insecticidal activity | Compound No. | Insecticidal activity | Compound No. | Insecticidal activity |
|--------------|-----------------------|--------------|-----------------------|--------------|-----------------------|
| 8            | A                     | 74           | A                     | 133          | A                     |
| 18           | C                     | 85           | A                     | 136          | B                     |
| 26           | A                     | 86           | C                     | 142          | C                     |
| 27           | C                     | 87           | A                     | 154          | A                     |
| 33           | A                     | 88           | B                     | 155          | A                     |
| 34           | A                     | 89           | A                     | 156          | A                     |
| 35           | A                     | 90           | A                     | 157          | B                     |
| 36           | B                     | 91           | A                     | 158          | A                     |
| 41           | A                     | 92           | A                     | 159          | B                     |
| 42           | A                     | 94           | A                     | 160          | A                     |
| 51           | C                     | 95           | A                     | 169          | C                     |
| 52           | A                     | 97           | C                     | 192          | A                     |
| 53           | B                     | 98           | A                     | 193          | A                     |
| 54           | B                     | 102          | A                     | 195          | A                     |
| 55           | B                     | 103          | A                     | 196          | A                     |
| 56           | A                     | 104          | A                     | 197          | B                     |
| 57           | C                     | 105          | C                     | 198          | A                     |
| 59           | A                     | 109          | A                     | 199          | A                     |
| 60           | A                     | 110          | B                     | 200          | A                     |
| 66           | A                     | 111          | B                     | 201          | A                     |
| 67           | A                     | 112          | A                     | 202          | A                     |
| 68           | A                     | 113          | A                     | 203          | A                     |
| 69           | A                     | 122          | A                     | 204          | A                     |
| 72           | A                     | 123          | A                     | 205          | B                     |
| 73           | A                     | 126          | C                     | 206          | B                     |

- Con't -

Table 6 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 207 | A | 251 | C | 328 | A |
| 212 | A | 252 | C | 329 | A |
| 213 | A | 253 | A | 330 | A |
| 215 | A | 254 | A | 331 | B |
| 216 | A | 255 | B | 333 | A |
| 217 | A | 256 | A | 337 | A |
| 220 | B | 257 | A | 340 | A |
| 221 | C | 262 | A | 342 | A |
| 228 | A | 263 | A | 343 | A |
| 229 | A | 264 | A | 344 | A |
| 230 | A | 265 | C | 345 | B |
| 231 | A | 266 | A | 346 | A |
| 232 | B | 267 | A | 347 | A |
| 234 | B | 268 | A | 349 | A |
| 235 | A | 269 | C | 350 | A |
| 237 | C | 280 | B | 351 | A |
| 239 | B | 281 | A | 352 | A |
| 240 | A | 283 | A | 353 | A |
| 241 | A | 284 | A | 355 | A |
| 242 | A | 300 | A | 356 | A |
| 243 | A | 302 | C | 357 | A |
| 244 | A | 303 | A | 358 | A |
| 245 | A | 312 | A | 365 | A |
| 246 | A | 316 | A | 366 | A |
| 248 | B | 321 | A | 369 | A |
| 250 | B | 324 | A | 370 | B |

- Cont'd -

Table 6 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 371 | B | 407 | A | 449 | A |
| 372 | A | 409 | A | 450 | A |
| 373 | A | 420 | A | 451 | A |
| 374 | A | 421 | A | 452 | A |
| 375 | A | 424 | B | 453 | A |
| 383 | C | 425 | A | 454 | A |
| 384 | B | 427 | A | 455 | A |
| 386 | C | 428 | A | 465 | A |
| 388 | A | 429 | A | 466 | A |
| 390 | A | 431 | A | 467 | A |
| 391 | A | 432 | B | 468 | A |
| 392 | A | 433 | A | 469 | A |
| 393 | A | 434 | A | 470 | A |
| 394 | A | 435 | A | 471 | A |
| 395 | A | 436 | A | 472 | A |
| 396 | A | 437 | A | 473 | A |
| 397 | A | 438 | A | 474 | A |
| 398 | A | 439 | A | 475 | A |
| 399 | A | 440 | A | 476 | A |
| 400 | A | 441 | A | 477 | A |
| 401 | A | 442 | A | 478 | A |
| 402 | A | 444 | A | 479 | A |
| 403 | A | 445 | A | 480 | A |
| 404 | A | 446 | A | 481 | A |
| 405 | A | 447 | A | 482 | A |
| 406 | A | 448 | A | 483 | A |

- Cont'd -

Table 6 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 484 | A | 524 | B | 564 | A |
| 485 | A | 525 | B | 567 | A |
| 486 | A | 527 | A | 568 | A |
| 487 | A | 531 | A | 569 | A |
| 489 | A | 532 | A | 570 | A |
| 490 | A | 533 | A | 571 | A |
| 491 | A | 534 | A | 572 | A |
| 492 | A | 535 | C | 573 | A |
| 493 | A | 536 | A | 576 | A |
| 494 | A | 537 | A | 577 | A |
| 495 | A | 538 | C | 578 | A |
| 496 | A | 544 | C | 579 | A |
| 497 | A | 545 | A | 580 | B |
| 498 | A | 546 | A | 581 | A |
| 499 | A | 547 | A | 585 | C |
| 500 | C | 548 | A | 586 | B |
| 501 | A | 549 | A | 587 | C |
| 502 | A | 551 | A | 588 | C |
| 503 | A | 552 | C | 589 | B |
| 504 | A | 553 | B | 590 | C |
| 505 | A | 554 | B | 592 | B |
| 506 | A | 555 | C | 593 | C |
| 507 | A | 556 | C | 599 | C |
| 508 | A | 557 | C | 602 | A |
| 517 | C | 562 | A | 603 | A |
| 518 | C | 563 | A | 604 | A |

- Cont'd -

Table 6 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 606 | C | 636 | A | 676 | A |
| 607 | C | 638 | A | 677 | A |
| 608 | A | 639 | C | 678 | A |
| 609 | A | 640 | A | 679 | A |
| 610 | A | 641 | A | 680 | A |
| 611 | B | 642 | A | 682 | A |
| 612 | A | 643 | A | 683 | A |
| 613 | B | 648 | B | 684 | A |
| 614 | B | 649 | A | 685 | A |
| 615 | B | 650 | A | 686 | B |
| 616 | A | 651 | C | 687 | C |
| 617 | B | 653 | B | 688 | C |
| 618 | A | 657 | A | 691 | C |
| 619 | A | 658 | A | 692 | B |
| 620 | A | 659 | B | 693 | A |
| 621 | A | 660 | A | 694 | A |
| 622 | A | 661 | A | 695 | A |
| 623 | A | 662 | A | 696 | B |
| 624 | A | 663 | A | 698 | C |
| 625 | B | 667 | C | 699 | C |
| 626 | A | 668 | A | 701 | A |
| 627 | A | 670 | A | 702 | A |
| 628 | A | 671 | C | 703 | C |
| 629 | A | 673 | A | 710 | C |
| 630 | A | 674 | A | 713 | A |
| 631 | A | 675 | B | 714 | A |

- Cont'd -

Table 6 (Cont'd)

|     |   |     |   |      |   |
|-----|---|-----|---|------|---|
| 715 | A | 760 | B | 818  | A |
| 716 | A | 761 | B | 819  | A |
| 717 | A | 762 | A | 821  | A |
| 719 | A | 763 | C | 822  | A |
| 720 | A | 764 | A | 823  | A |
| 721 | A | 766 | A | 824. | A |
| 723 | A | 767 | A | 825  | A |
| 724 | A | 768 | A | 826  | A |
| 725 | A | 769 | A | 827  | A |
| 726 | A | 770 | A | 828  | A |
| 727 | A | 772 | A | 829  | A |
| 728 | A | 773 | A | 830  | A |
| 729 | A | 774 | A | 831  | A |
| 731 | A | 775 | A | 832  | B |
| 732 | A | 776 | C | 833  | A |
| 733 | A | 777 | A | 834  | A |
| 734 | A | 780 | C | 835  | A |
| 735 | A | 784 | C | 836  | A |
| 737 | B | 786 | C | 837  | A |
| 740 | A | 795 | A | 838  | A |
| 741 | A | 799 | C | 839  | A |
| 742 | A | 802 | A | 840  | B |
| 746 | A | 805 | C | 841  | A |
| 756 | A | 812 | C | 842  | A |
| 757 | A | 815 | C | 843  | A |
| 759 | B | 817 | A | 844  | A |

- Cont'd -

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- 207 -

Table 6 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 845 | A | 850 | A | 853 | A |
| 847 | A | 851 | A | 854 | A |
| 848 | B | 852 | A | 855 | A |
| 849 | A |     |   |     |   |

1 Test example 6 Insecticidal activity against the green  
peach aphid (Myzus persicae)

All stages of the aphids were inoculated on a  
Chinese cabbage. Insects and the plant were sprayed with  
5 the aqueous emulsion of the compound at 200 ppm. On 3rd  
day after treatment, the insecticidal activity was judged  
according to the same criterion as in the test example 4.

The results are shown in Table 7.

Table 7

| Compound No. | Insecticidal activity | Compound No. | Insecticidal activity | Compound No. | Insecticidal activity |
|--------------|-----------------------|--------------|-----------------------|--------------|-----------------------|
| 9            | B                     | 54           | A                     | 99           | A                     |
| 10           | B                     | 55           | A                     | 100          | B                     |
| 12           | C                     | 56           | A                     | 101          | A                     |
| 14           | C                     | 57           | A                     | 102          | B                     |
| 16           | C                     | 58           | A                     | 103          | A                     |
| 18           | A                     | 59           | A                     | 104          | A                     |
| 19           | A                     | 60           | A                     | 105          | C                     |
| 20           | A                     | 66           | A                     | 106          | A                     |
| 21           | A                     | 67           | A                     | 107          | C                     |
| 22           | A                     | 68           | A                     | 108          | C                     |
| 23           | A                     | 69           | A                     | 109          | A                     |
| 24           | B                     | 71           | A                     | 110          | A                     |
| 26           | A                     | 72           | B                     | 111          | A                     |
| 27           | A                     | 73           | A                     | 112          | A                     |
| 33           | A                     | 74           | A                     | 113          | A                     |
| 34           | A                     | 77           | A                     | 114          | C                     |
| 35           | B                     | 85           | A                     | 115          | A                     |
| 36           | A                     | 86           | B                     | 116          | A                     |
| 41           | C                     | 87           | A                     | 117          | A                     |
| 42           | A                     | 88           | A                     | 122          | B                     |
| 45           | A                     | 89           | A                     | 123          | C                     |
| 50           | A                     | 90           | A                     | 124          | C                     |
| 51           | A                     | 91           | A                     | 130          | A                     |
| 52           | A                     | 92           | A                     | 131          | A                     |
| 53           | A                     | 95           | A                     | 132          | A                     |

- Con't -

Table 7 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 133 | A | 197 | A | 237 | A |
| 134 | A | 198 | A | 238 | B |
| 135 | C | 199 | A | 239 | A |
| 136 | A | 200 | A | 240 | A |
| 138 | C | 201 | A | 241 | C |
| 139 | B | 202 | A | 243 | A |
| 140 | A | 203 | A | 245 | B |
| 141 | A | 204 | A | 246 | A |
| 143 | A | 205 | A | 248 | B |
| 145 | A | 207 | C | 249 | B |
| 153 | A | 211 | A | 250 | C |
| 154 | B | 212 | A | 251 | A |
| 155 | B | 213 | A | 253 | C |
| 156 | B | 215 | A | 254 | A |
| 157 | A | 216 | B | 255 | A |
| 158 | B | 217 | C | 257 | C |
| 159 | C | 220 | A | 258 | A |
| 160 | A | 221 | A | 262 | C |
| 161 | C | 228 | C | 263 | B |
| 163 | A | 229 | A | 264 | A |
| 173 | A | 230 | A | 265 | A |
| 180 | A | 231 | A | 266 | B |
| 193 | A | 232 | A | 267 | A |
| 194 | A | 234 | A | 268 | A |
| 195 | A | 235 | A | 282 | C |
| 196 | A | 236 | A | 296 | A |

- Cont'd -

Table 7 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 299 | A | 355 | A | 401 | B |
| 302 | B | 356 | A | 402 | B |
| 306 | A | 357 | A | 403 | A |
| 311 | C | 358 | A | 404 | A |
| 315 | A | 364 | B | 405 | A |
| 316 | B | 365 | A | 406 | C |
| 321 | A | 366 | A | 407 | B |
| 328 | A | 370 | B | 409 | A |
| 329 | A | 371 | B | 421 | A |
| 330 | A | 372 | C | 422 | A |
| 331 | A | 373 | B | 424 | B |
| 332 | B | 374 | B | 427 | A |
| 333 | A | 375 | A | 428 | A |
| 334 | B | 388 | B | 429 | A |
| 337 | A | 389 | A | 431 | A |
| 340 | A | 390 | A | 432 | A |
| 342 | A | 391 | A | 433 | A |
| 343 | A | 392 | A | 434 | A |
| 344 | A | 393 | B | 435 | A |
| 345 | A | 394 | A | 436 | A |
| 346 | A | 395 | A | 437 | A |
| 347 | A | 396 | A | 438 | A |
| 349 | A | 397 | A | 439 | B |
| 350 | A | 398 | B | 440 | A |
| 352 | A | 399 | B | 441 | A |
| 353 | A | 400 | A | 442 | A |

- Cont'd -

Table 7 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 443 | A | 479 | A | 507 | A |
| 444 | A | 480 | A | 508 | A |
| 445 | A | 481 | A | 511 | A |
| 446 | A | 482 | A | 512 | A |
| 447 | B | 483 | A | 513 | A |
| 448 | A | 484 | A | 514 | A |
| 449 | A | 485 | A | 515 | A |
| 450 | A | 486 | A | 517 | C |
| 451 | A | 487 | A | 518 | C |
| 452 | A | 488 | A | 527 | A |
| 453 | A | 489 | A | 532 | A |
| 454 | A | 490 | A | 533 | A |
| 455 | B | 491 | A | 534 | A |
| 465 | B | 492 | A | 537 | A |
| 466 | A | 493 | A | 538 | A |
| 467 | A | 495 | A | 541 | B |
| 468 | A | 496 | B | 544 | C |
| 469 | B | 497 | A | 545 | A |
| 470 | A | 498 | A | 546 | A |
| 471 | B | 499 | A | 547 | A |
| 472 | B | 501 | A | 548 | A |
| 473 | A | 502 | A | 549 | A |
| 474 | A | 503 | A | 550 | C |
| 476 | A | 504 | A | 551 | C |
| 477 | A | 505 | A | 552 | C |
| 478 | B | 506 | A | 553 | A |

- Cont'd -

Table 7 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 554 | A | 595 | A | 630 | A |
| 555 | B | 602 | A | 631 | A |
| 556 | B | 603 | A | 633 | A |
| 557 | C | 604 | B | 634 | B |
| 561 | A | 608 | A | 636 | A |
| 562 | A | 609 | A | 637 | A |
| 563 | B | 610 | A | 638 | B |
| 564 | A | 611 | C | 639 | C |
| 565 | C | 612 | A | 640 | A |
| 566 | A | 613 | A | 642 | B |
| 567 | A | 614 | B | 643 | B |
| 568 | A | 615 | B | 644 | C |
| 569 | A | 616 | C | 645 | A |
| 570 | A | 617 | A | 646 | A |
| 571 | A | 618 | B | 652 | A |
| 572 | B | 619 | A | 654 | B |
| 573 | C | 620 | A | 656 | A |
| 574 | A | 621 | B | 657 | A |
| 576 | B | 622 | B | 658 | A |
| 577 | A | 623 | C | 660 | A |
| 578 | C | 624 | A | 661 | A |
| 580 | C | 625 | A | 662 | B |
| 584 | C | 626 | A | 663 | A |
| 585 | A | 627 | A | 664 | C |
| 586 | C | 628 | A | 665 | C |
| 588 | C | 629 | A | 667 | B |

- Cont'd -

Table 7 (Cont'd)

|     |   |     |   |      |   |
|-----|---|-----|---|------|---|
| 668 | A | 697 | A | 737  | A |
| 669 | A | 698 | C | 741  | C |
| 670 | A | 699 | A | 742  | C |
| 671 | A | 701 | A | 743  | C |
| 673 | B | 702 | A | 746  | C |
| 674 | A | 703 | C | 751. | C |
| 675 | B | 710 | C | 752  | C |
| 676 | B | 713 | A | 757  | B |
| 677 | A | 715 | A | 758  | A |
| 678 | A | 716 | A | 759  | A |
| 679 | A | 717 | C | 762  | A |
| 680 | A | 719 | A | 763  | C |
| 681 | C | 720 | C | 764  | C |
| 682 | A | 723 | B | 766  | A |
| 683 | A | 724 | A | 767  | A |
| 684 | B | 725 | A | 768  | A |
| 685 | C | 726 | A | 769  | A |
| 686 | A | 727 | A | 770  | A |
| 687 | B | 728 | A | 772  | A |
| 689 | B | 729 | A | 774  | A |
| 691 | B | 730 | A | 775  | B |
| 692 | A | 731 | A | 776  | B |
| 693 | A | 732 | A | 777  | B |
| 694 | A | 733 | A | 779  | A |
| 695 | A | 734 | A | 798  | A |
| 696 | A | 735 | A | 799  | A |

- Cont'd -

Table 7 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 801 | C | 824 | B | 840 | C |
| 804 | C | 825 | B | 841 | A |
| 805 | C | 826 | B | 842 | A |
| 812 | A | 827 | A | 843 | A |
| 813 | B | 828 | A | 844 | A |
| 814 | B | 829 | A | 848 | C |
| 815 | B | 831 | A | 849 | A |
| 816 | C | 832 | A | 850 | B |
| 817 | C | 833 | A | 851 | A |
| 818 | C | 834 | A | 852 | A |
| 819 | C | 835 | A | 853 | A |
| 821 | A | 836 | A | 854 | A |
| 822 | A | 837 | A | 855 | B |
| 823 | A | 839 | A |     |   |

1 Test example 7 Acaricidal activity against the citrus red  
mite (Panonychus citri)

Female adults were inoculated on a grapefruit leaf, and were sprayed with the aqueous emulsion of the 5 compound at 200 ppm. On 10th day after treatment, the number of the progeny survived was counted and acaricidal activity was judged according to the same criterion as in the test example 4.

The results are shown in Table 8.

Table 8

| Compound No. | Acaricidal activity | Compound No. | Acaricidal activity | Compound No. | Acaricidal activity |
|--------------|---------------------|--------------|---------------------|--------------|---------------------|
| 8            | A                   | 71           | A                   | 122          | A                   |
| 9            | A                   | 74           | A                   | 124          | A                   |
| 10           | A                   | 86           | A                   | 125          | A                   |
| 11           | A                   | 87           | A                   | 126          | A                   |
| 12           | A                   | 88           | A                   | 133          | A                   |
| 13           | B                   | 89           | A                   | 134          | A                   |
| 24           | A                   | 90           | A                   | 135          | A                   |
| 25           | B                   | 91           | A                   | 136          | A                   |
| 27           | A                   | 92           | A                   | 140          | B                   |
| 32           | A                   | 94           | B                   | 147          | B                   |
| 33           | A                   | 95           | A                   | 150          | C                   |
| 34           | A                   | 96           | A                   | 152          | A                   |
| 35           | A                   | 97           | A                   | 153          | A                   |
| 41           | A                   | 98           | A                   | 154          | A                   |
| 42           | A                   | 102          | A                   | 155          | A                   |
| 50           | A                   | 103          | A                   | 156          | A                   |
| 51           | A                   | 105          | A                   | 157          | A                   |
| 53           | C                   | 109          | A                   | 158          | A                   |
| 54           | A                   | 112          | A                   | 159          | A                   |
| 55           | A                   | 113          | A                   | 160          | A                   |
| 56           | A                   | 114          | A                   | 161          | C                   |
| 57           | A                   | 118          | A                   | 164          | A                   |
| 65           | A                   | 119          | B                   | 166          | A                   |
| 68           | A                   | 120          | B                   | 167          | B                   |
| 69           | A                   | 121          | A                   | 169          | A                   |

- Con't -

Table 8 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 170 | A | 235 | A | 269 | A |
| 171 | A | 237 | A | 282 | A |
| 193 | A | 238 | A | 283 | A |
| 194 | A | 239 | A | 284 | C |
| 195 | B | 240 | A | 300 | C |
| 196 | C | 241 | A | 329 | B |
| 197 | A | 242 | A | 330 | B |
| 198 | A | 243 | A | 333 | A |
| 199 | A | 245 | A | 334 | A |
| 200 | A | 246 | A | 335 | A |
| 201 | A | 248 | A | 337 | A |
| 202 | A | 251 | B | 342 | A |
| 206 | A | 252 | A | 343 | A |
| 207 | C | 253 | A | 344 | A |
| 211 | A | 254 | A | 347 | A |
| 212 | A | 255 | B | 349 | A |
| 214 | A | 256 | A | 350 | A |
| 217 | A | 257 | A | 351 | A |
| 218 | A | 258 | A | 353 | A |
| 219 | A | 262 | A | 354 | A |
| 220 | A | 263 | A | 355 | A |
| 221 | C | 264 | A | 356 | A |
| 227 | A | 265 | A | 357 | A |
| 230 | A | 266 | A | 358 | A |
| 232 | A | 267 | A | 363 | A |
| 233 | B | 268 | A | 364 | A |

- Cont'd -

Table 8 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 365 | A | 403 | A | 465 | A |
| 366 | A | 404 | A | 466 | A |
| 367 | A | 406 | B | 467 | C |
| 369 | A | 407 | C | 468 | A |
| 370 | A | 408 | C | 469 | A |
| 371 | A | 409 | A | 470 | A |
| 373 | A | 410 | C | 471 | A |
| 374 | A | 421 | A | 472 | A |
| 375 | B | 422 | A | 473 | A |
| 376 | B | 431 | A | 476 | A |
| 377 | B | 432 | A | 477 | A |
| 381 | A | 433 | A | 478 | C |
| 385 | A | 434 | B | 479 | A |
| 387 | A | 437 | A | 480 | A |
| 388 | A | 439 | C | 481 | A |
| 389 | A | 442 | A | 482 | A |
| 390 | A | 443 | A | 483 | A |
| 391 | A | 444 | A | 484 | A |
| 392 | A | 447 | A | 485 | A |
| 393 | A | 448 | A | 486 | A |
| 394 | A | 449 | A | 487 | A |
| 397 | C | 450 | A | 488 | A |
| 399 | A | 451 | A | 489 | A |
| 400 | B | 452 | A | 516 | A |
| 401 | A | 453 | A | 517 | A |
| 402 | A | 455 | A | 518 | A |

- Cont'd -

Table 8 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 523 | A | 563 | A | 595 | A |
| 524 | A | 564 | A | 596 | B |
| 525 | A | 565 | A | 597 | A |
| 527 | A | 566 | A | 598 | B |
| 529 | A | 567 | A | 599 | B |
| 532 | A | 568 | A | 602 | B |
| 533 | A | 569 | A | 603 | C |
| 534 | A | 570 | A | 604 | A |
| 535 | B | 571 | A | 605 | B |
| 537 | A | 572 | A | 606 | A |
| 538 | A | 573 | B | 607 | A |
| 541 | B | 574 | A | 608 | A |
| 543 | C | 575 | A | 609 | A |
| 544 | A | 576 | A | 610 | A |
| 545 | A | 577 | A | 611 | A |
| 546 | A | 578 | A | 612 | A |
| 547 | B | 579 | B | 613 | A |
| 548 | A | 580 | A | 614 | A |
| 549 | A | 584 | A | 615 | A |
| 552 | A | 585 | A | 616 | A |
| 553 | A | 586 | A | 617 | A |
| 554 | A | 587 | A | 618 | A |
| 555 | A | 588 | A | 619 | B |
| 556 | A | 589 | C | 620 | A |
| 557 | A | 592 | C | 621 | A |
| 562 | A | 594 | A | 623 | A |

- Cont'd -

Table 8 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 624 | A | 654 | B | 682 | A |
| 625 | A | 655 | B | 683 | A |
| 626 | A | 656 | B | 684 | A |
| 627 | A | 657 | C | 685 | B |
| 628 | A | 658 | A | 686 | B |
| 629 | A | 659 | A | 688 | C |
| 630 | A | 660 | A | 690 | A |
| 631 | A | 661 | A | 691 | A |
| 636 | A | 662 | A | 692 | A |
| 637 | A | 663 | A | 693 | A |
| 638 | A | 664 | A | 694 | A |
| 639 | A | 665 | A | 695 | A |
| 640 | A | 666 | A | 696 | A |
| 641 | A | 667 | A | 697 | A |
| 642 | A | 668 | A | 698 | A |
| 643 | A | 669 | A | 699 | A |
| 644 | B | 670 | A | 700 | A |
| 645 | A | 671 | A | 701 | A |
| 646 | A | 672 | A | 702 | C |
| 647 | A | 673 | A | 703 | A |
| 648 | A | 674 | A | 705 | A |
| 649 | A | 675 | A | 710 | A |
| 650 | B | 677 | A | 711 | C |
| 651 | A | 678 | A | 712 | A |
| 652 | A | 680 | A | 713 | A |
| 653 | A | 681 | A | 714 | A |

- Cont'd -

Table 8 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 715 | A | 750 | C | 812 | A |
| 716 | A | 751 | A | 813 | A |
| 717 | A | 754 | A | 815 | A |
| 719 | A | 755 | A | 816 | A |
| 720 | A | 756 | A | 817 | A |
| 725 | A | 757 | A | 818 | A |
| 726 | A | 758 | B | 819 | A |
| 727 | C | 759 | A | 821 | A |
| 728 | A | 760 | A | 822 | A |
| 729 | A | 761 | A | 823 | A |
| 730 | A | 763 | B | 824 | B |
| 731 | A | 764 | C | 825 | A |
| 732 | A | 766 | A | 826 | A |
| 733 | A | 767 | A | 827 | A |
| 734 | A | 768 | A | 828 | A |
| 735 | A | 769 | A | 829 | A |
| 737 | A | 772 | B | 830 | A |
| 739 | A | 773 | C | 831 | B |
| 740 | A | 774 | A | 832 | B |
| 741 | A | 775 | A | 834 | C |
| 742 | A | 777 | A | 835 | A |
| 743 | A | 778 | B | 836 | A |
| 744 | A | 795 | A | 839 | B |
| 745 | A | 800 | A | 840 | C |
| 746 | A | 801 | B | 842 | A |
| 749 | A | 802 | A | 843 | B |

- Cont'd -

Table 8 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 845 | A | 851 | A | 854 | B |
| 848 | A | 852 | A | 856 | B |
| 850 | A | 853 | A | 857 | B |

1 Test example 8 Acaricidal activity against the twospotted  
spidermite (Tetranychus urticae)

All stages of the mites were inoculated on a soybean plant. The mites and the plant were sprayed with 5 the aqueous emulsion of the compound at 200 ppm. On 8th day after treatment, the acaricidal activity was judged according to the same criterion as in the test example 4.

The results are shown in table 9.

Table 9

| Compound No. | Acaricidal activity | Compound No. | Acaricidal activity | Compound No. | Acaricidal activity |
|--------------|---------------------|--------------|---------------------|--------------|---------------------|
| 8            | A                   | 52           | A                   | 92           | A                   |
| 9            | A                   | 53           | A                   | 93           | A                   |
| 10           | A                   | 54           | A                   | 94           | A                   |
| 11           | A                   | 55           | A                   | 95           | A                   |
| 12           | A                   | 56           | A                   | 96           | A                   |
| 13           | A                   | 57           | A                   | 97           | A                   |
| 17           | C                   | 58           | A                   | 98           | A                   |
| 19           | A                   | 59           | A                   | 102          | A                   |
| 20           | A                   | 60           | A                   | 103          | A                   |
| 21           | A                   | 65           | B                   | 104          | A                   |
| 22           | A                   | 66           | A                   | 105          | A                   |
| 23           | A                   | 67           | A                   | 109          | A                   |
| 24           | A                   | 68           | A                   | 110          | A                   |
| 25           | A                   | 69           | A                   | 111          | A                   |
| 27           | A                   | 71           | A                   | 112          | A                   |
| 32           | B                   | 72           | A                   | 113          | A                   |
| 33           | A                   | 73           | A                   | 114          | A                   |
| 34           | A                   | 74           | A                   | 118          | A                   |
| 35           | A                   | 85           | A                   | 119          | A                   |
| 36           | A                   | 86           | A                   | 120          | A                   |
| 40           | A                   | 87           | A                   | 121          | A                   |
| 41           | B                   | 88           | A                   | 122          | A                   |
| 42           | A                   | 89           | A                   | 123          | A                   |
| 50           | A                   | 90           | A                   | 124          | A                   |
| 51           | B                   | 91           | A                   | 125          | A                   |

- Con't -

Table 9 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 126 | A | 159 | A | 214 | A |
| 127 | A | 160 | A | 215 | A |
| 133 | A | 161 | C | 217 | A |
| 134 | A | 164 | A | 219 | A |
| 135 | A | 166 | A | 220 | A |
| 136 | A | 167 | A | 221 | A |
| 138 | A | 169 | A | 228 | A |
| 139 | A | 170 | A | 229 | A |
| 140 | A | 171 | A | 230 | A |
| 141 | A | 193 | A | 231 | A |
| 142 | C | 194 | A | 232 | B |
| 143 | A | 195 | A | 233 | A |
| 144 | C | 197 | B | 234 | A |
| 145 | A | 198 | A | 235 | A |
| 146 | A | 199 | A | 236 | A |
| 147 | A | 200 | A | 237 | A |
| 149 | C | 201 | A | 238 | A |
| 150 | C | 202 | A | 239 | A |
| 151 | C | 203 | A | 240 | A |
| 152 | B | 204 | A | 241 | A |
| 153 | A | 205 | A | 242 | A |
| 154 | A | 206 | A | 243 | A |
| 155 | A | 207 | A | 244 | A |
| 156 | A | 211 | A | 245 | A |
| 157 | A | 212 | A | 246 | A |
| 158 | A | 213 | B | 248 | A |

- Cont'd -

Table 9 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 249 | A | 334 | A | 377 | C |
| 250 | A | 335 | B | 378 | C |
| 251 | A | 337 | C | 379 | C |
| 253 | A | 342 | B | 382 | A |
| 254 | A | 343 | C | 383 | A |
| 255 | A | 344 | B | 384 | A |
| 256 | A | 350 | C | 385 | B |
| 257 | A | 353 | A | 386 | A |
| 258 | A | 354 | A | 387 | A |
| 262 | A | 355 | A | 388 | A |
| 263 | A | 356 | A | 389 | A |
| 264 | A | 357 | A | 390 | A |
| 265 | A | 358 | B | 391 | A |
| 266 | A | 363 | A | 392 | A |
| 267 | A | 364 | A | 393 | A |
| 268 | A | 365 | A | 394 | A |
| 269 | A | 366 | A | 395 | A |
| 281 | B | 367 | B | 396 | B |
| 282 | A | 369 | A | 397 | B |
| 283 | A | 370 | B | 399 | A |
| 302 | B | 371 | A | 400 | A |
| 304 | C | 372 | A | 401 | A |
| 328 | C | 373 | A | 402 | A |
| 331 | C | 374 | A | 403 | A |
| 332 | C | 375 | A | 404 | A |
| 333 | A | 376 | B | 405 | A |

- Cont'd -

Table 9 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 406 | A | 451 | A | 487 | A |
| 407 | A | 452 | A | 488 | A |
| 409 | A | 453 | A | 489 | A |
| 421 | A | 454 | A | 490 | A |
| 422 | A | 455 | A | 491 | A |
| 424 | B | 465 | A | 492 | A |
| 427 | B | 466 | A | 493 | A |
| 428 | B | 467 | A | 494 | A |
| 431 | B | 468 | A | 495 | A |
| 432 | B | 469 | A | 496 | A |
| 433 | C | 470 | A | 497 | A |
| 434 | C | 471 | A | 498 | A |
| 436 | A | 472 | A | 499 | A |
| 437 | C | 473 | A | 500 | A |
| 438 | A | 474 | A | 501 | A |
| 439 | A | 476 | A | 502 | A |
| 440 | B | 477 | A | 503 | A |
| 441 | A | 478 | C | 504 | A |
| 443 | A | 479 | A | 505 | A |
| 444 | A | 480 | A | 506 | A |
| 445 | A | 481 | A | 507 | A |
| 446 | A | 482 | A | 508 | C |
| 447 | C | 483 | A | 516 | C |
| 448 | A | 484 | A | 517 | A |
| 449 | A | 485 | A | 518 | A |
| 450 | A | 486 | A | 523 | A |

- Cont'd -

Table 9 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 524 | A | 558 | A | 594 | A |
| 525 | A | 559 | B | 595 | A |
| 527 | A | 561 | A | 596 | C |
| 531 | A | 562 | A | 597 | B |
| 532 | A | 563 | A | 599 | B |
| 533 | A | 564 | A | 600 | B |
| 534 | A | 565 | B | 602 | A |
| 535 | A | 566 | B | 603 | A |
| 536 | A | 567 | A | 604 | A |
| 537 | A | 568 | A | 605 | A |
| 538 | A | 569 | A | 606 | A |
| 541 | A | 570 | A | 607 | B |
| 544 | A | 571 | A | 608 | A |
| 545 | A | 572 | A | 609 | A |
| 546 | A | 573 | A | 610 | A |
| 547 | A | 574 | A | 611 | A |
| 548 | A | 575 | B | 612 | A |
| 549 | A | 576 | A | 613 | A |
| 550 | B | 577 | A | 614 | A |
| 551 | A | 578 | A | 615 | A |
| 552 | A | 579 | A | 616 | A |
| 553 | A | 580 | A | 617 | A |
| 554 | A | 584 | A | 618 | A |
| 555 | A | 585 | A | 619 | A |
| 556 | A | 587 | A | 620 | A |
| 557 | A | 588 | A | 621 | A |

- Cont'd -

Table 9 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 623 | A | 656 | A | 684 | A |
| 624 | A | 657 | A | 691 | A |
| 625 | A | 658 | A | 692 | A |
| 626 | A | 659 | A | 694 | A |
| 627 | A | 660 | A | 695 | C |
| 628 | A | 661 | A | 696 | A |
| 629 | A | 662 | A | 697 | A |
| 630 | A | 663 | A | 699 | A |
| 631 | A | 664 | A | 701 | A |
| 636 | A | 665 | A | 702 | A |
| 637 | A | 666 | A | 703 | A |
| 638 | A | 667 | A | 704 | B |
| 639 | A | 668 | A | 705 | A |
| 640 | A | 669 | A | 706 | A |
| 642 | A | 670 | A | 709 | A |
| 643 | A | 671 | A | 710 | A |
| 644 | C | 672 | A | 712 | A |
| 645 | A | 673 | A | 713 | A |
| 646 | A | 674 | A | 714 | B |
| 647 | A | 675 | A | 715 | A |
| 648 | A | 677 | A | 716 | A |
| 650 | C | 678 | A | 717 | A |
| 652 | A | 680 | A | 718 | C |
| 653 | B | 681 | A | 719 | A |
| 654 | A | 682 | A | 720 | A |
| 655 | A | 683 | A | 721 | A |

- Cont'd -

Table 9 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 723 | A | 756 | B | 785 | B |
| 724 | A | 757 | A | 789 | C |
| 725 | A | 758 | A | 791 | C |
| 726 | A | 759 | A | 792 | B |
| 727 | A | 760 | A | 799 | B |
| 728 | A | 761 | A | 800 | B |
| 729 | A | 762 | B | 801 | B |
| 730 | A | 763 | A | 804 | A |
| 731 | A | 764 | B | 811 | C |
| 732 | A | 765 | C | 816 | A |
| 733 | A | 766 | A | 817 | A |
| 734 | A | 767 | A | 818 | A |
| 735 | B | 768 | A | 819 | A |
| 736 | C | 769 | A | 821 | A |
| 737 | A | 770 | A | 822 | A |
| 739 | A | 771 | A | 823 | A |
| 740 | A | 772 | A | 824 | A |
| 741 | A | 773 | A | 825 | B |
| 742 | A | 774 | A | 826 | A |
| 743 | A | 775 | A | 827 | A |
| 745 | B | 776 | A | 828 | A |
| 746 | A | 777 | A | 829 | A |
| 751 | A | 778 | A | 830 | A |
| 752 | A | 779 | A | 831 | A |
| 753 | A | 780 | A | 832 | A |
| 754 | B | 782 | A | 833 | A |

- Cont'd -

Table 9 (Cont'd)

|     |   |     |   |     |   |
|-----|---|-----|---|-----|---|
| 834 | A | 840 | A | 850 | A |
| 835 | A | 842 | A | 851 | A |
| 836 | A | 843 | A | 852 | A |
| 837 | A | 844 | A | 853 | A |
| 838 | B | 845 | C | 854 | A |
| 839 | A | 848 | A | 855 | A |

1           Next, formulation examples will be shown. In the  
examples, all parts are by weight.

Formulation example 1 Wettable powder

|   |  |          |
|---|--|----------|
|   | Compound No.60                         | 50 parts |
| 5 | Mixture of diatomaceous earth and clay | 45 parts |
|   | Polyoxyethylene nonylphenyl ether      | 5 parts  |

The above materials were uniformly mixed and  
ground to obtain a wettable powder.

Formulation example 2 Emulsion

|    |  |          |
|----|--|----------|
| 10 | Compound No.154  | 20 parts |
|    | Tetrahydrofuran  | 20 parts |
|    | Xylene   | 45 parts |
|    | Mixture of polyoxyethylene nonylphenyl<br>ether and a salt of alkylbenzenesulfonic |          |
| 15 | acid   | 15 parts |

The above materials were uniformly mixed and  
dissolved to obtain an emulsion.

Formulation example 3 Dust

|    |   |          |
|----|---|----------|
|    | Compound No.503                                 | 4 parts  |
| 20 | Mixture of diatomaceous earth, clay and<br>talc | 95 parts |
|    | Calcium stearate                                | 1 part   |

The above materials were univormly mixed and  
ground to obtain a dust.

1 Formulation example 4 Granule

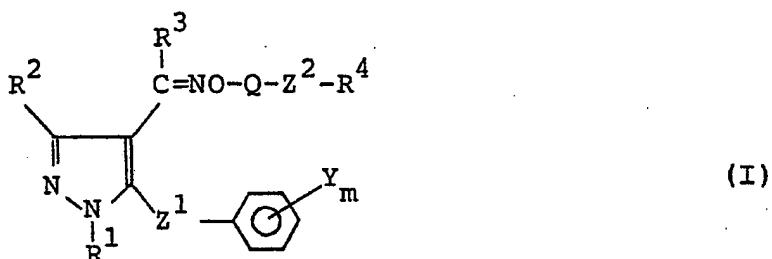
|                               |          |
|-------------------------------|----------|
| Compound No.237               | 3 parts  |
| Mixture of bentonite and clay | 92 parts |
| Calcium ligninsulfonate       | 5 parts  |

5

The above materials were uniformly mixed and ground. The resulting ground mixture were thoroughly kneaded with a proper amount of water and pelletized to obtain granules.

What is claimed is:

1. A pyrazole oxime derivative represented by the general formula (I),



wherein R<sup>1</sup> represents C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl; R<sup>2</sup> represents hydrogen, C<sub>1</sub>-C<sub>5</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl or phenyl; R<sup>3</sup> represents hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl; R<sup>4</sup> represents hydrogen, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, benzoyl, naphthyl or a substituent of

the formula, — [in which X represents hydrogen;

halogen; C<sub>1</sub>-C<sub>12</sub> alkyl; C<sub>1</sub>-C<sub>6</sub> alkyl substituted with halogen, cyano, hydroxy, C<sub>1</sub>-C<sub>5</sub> alkoxy or C<sub>2</sub>-C<sub>6</sub> alkoxy-carbonyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl; cycloalkyl substituted with from one to three members selected from the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, halogen and cyano; C<sub>2</sub>-C<sub>4</sub> alkenyl substituted with halogen, hydroxy, C<sub>2</sub>-C<sub>4</sub> alkoxy carbonyl or C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl; phenyl; hydroxy; C<sub>1</sub>-C<sub>6</sub> alkoxy; C<sub>1</sub>-C<sub>4</sub> alkoxy substituted with halogen or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl; phenoxy which may or may not be substituted with C<sub>1</sub>-C<sub>3</sub> haloalkyl; benzyloxy; C<sub>1</sub>-C<sub>3</sub> alkylendioxy formed by two adjacent Xs; pyridyloxy which may or may not be substituted with halogen or C<sub>1</sub>-C<sub>3</sub> haloalkyl; a substituent of

the formula,  $-\text{S}(\text{O})_p\text{R}^5$  (in which  $\text{R}^5$  represents  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_5$  haloalkyl or phenyl, and  $p$  represents an integer of 0, 1 or 2); cyano; formyl; nitro; a substituent of the formula,  $-\text{COOR}^6$  (in which  $\text{R}^6$  represents hydrogen; alkali metal;  $\text{C}_1\text{-C}_{10}$  alkyl;  $\text{C}_1\text{-C}_5$  alkyl substituted with halogen,  $\text{C}_1\text{-C}_4$  alkoxy, phenoxy,  $\text{C}_2\text{-C}_4$  alkoxycarbonyl or phenoxy-phenyl;  $\text{C}_2\text{-C}_7$  alkenyl;  $\text{C}_3\text{-C}_7$  alkynyl;  $\text{C}_3\text{-C}_8$  cycloalkyl;  $\text{C}_3\text{-C}_8$  cycloalkyl substituted with  $\text{C}_1\text{-C}_3$  alkyl; phenyl; or a

substituent of the formula,  $-\text{S}_n^{\text{R}^7}\text{R}^8\text{R}^9$  (in which  $\text{R}^7$ ,  $\text{R}^8$  and

$\text{R}^9$ , which may be the same or different, represent  $\text{C}_1\text{-C}_4$  alkyl or  $\text{C}_3\text{-C}_8$  cycloalkyl);  $\text{C}_2\text{-C}_6$  alkylcarbonyl;  $\text{C}_2\text{-C}_6$  alkylcarbonyl substituted with cyano or  $\text{C}_2\text{-C}_6$  alkoxy-carbonyl; benzoyl which may or may not be substituted with halogen or  $\text{C}_1\text{-C}_6$  alkyl;  $\text{C}_2\text{-C}_6$  alkylthiocarbonyl;  $\text{C}_3\text{-C}_7$  alkoxycarbonylcarbonyl; a substituent of the formula,

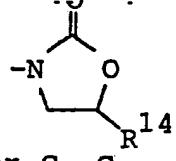
$\begin{array}{c} \text{O} \\ \parallel \\ \text{-CN} \text{R}^{10} \\ \backslash \quad / \\ \text{R}^{11} \end{array}$  (in which  $\text{R}^{10}$  and  $\text{R}^{11}$ , which may be the same or

different, represent hydrogen,  $\text{C}_1\text{-C}_6$  alkyl or phenyl); piperidinocarbonyl; morpholinocarbonyl which may or may not be substituted with one or two  $\text{C}_1\text{-C}_4$  alkyls; a substituent

of the formula,  $-\text{N}^{\text{R}^{12}}\text{R}^{13}$  (in which  $\text{R}^{12}$  represents hydrogen

or  $\text{C}_1\text{-C}_5$  alkyl, and  $\text{R}^{13}$  represents formyl,  $\text{C}_2\text{-C}_{12}$  alkoxy-carbonyl, or  $\text{C}_2\text{-C}_5$  alkoxycarbonyl substituted with halogen

or  $C_1-C_4$  alkoxy); a substituent of the formula,



(in which  $R^{14}$  represents hydrogen,  $C_1-C_4$  alkyl or  $C_2-C_6$

alkoxyalkyl); a substituent of the formula,  $\begin{array}{c} BR^{15} \\ | \\ -C-R^{17} \\ | \\ BR^{16} \end{array}$

(in which  $R^{15}$  and  $R^{16}$ , which may be the same or different, represent  $C_1-C_4$  alkyl or, taken together, may form  $C_1-C_4$  alkylene,  $R^{17}$  represents  $C_1-C_5$  alkyl, cyano or  $C_2-C_6$  alkoxy carbonyl, and B represents oxygen or sulfur); a sub-

stituent of the formula,  $\begin{array}{c} OR^{18} \\ | \\ -C-R^{19} \\ | \\ R^{20} \end{array}$  (in which  $R^{18}$  represents

hydrogen or  $C_2-C_4$  alkyl carbonyl, and  $R^{19}$  and  $R^{20}$ , which may be the same or different, represent hydrogen or  $C_1-C_6$

alkyl); a substituent of the formula,  $\begin{array}{c} R^{21} \\ | \\ -Si-R^{22} \\ | \\ R^{23} \end{array}$  (in which

$R^{21}$ ,  $R^{22}$  and  $R^{23}$ , which may be the same or different, represent  $C_1-C_4$  alkyl); or a substituent of the formula,

$\begin{array}{c} R^{24} \\ | \\ -O-Si-R^{25} \\ | \\ R^{26} \end{array}$  (in which  $R^{24}$ ,  $R^{25}$  and  $R^{26}$ , which may be the

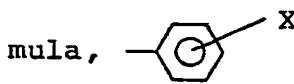
same or different, represent  $C_1-C_4$  alkyl), and n represents an integer of from 1 to 5, and when n represents an integer of from 2 to 5, X may be the same or different]; Y represents hydrogen,  $C_1-C_6$  alkyl,  $C_1-C_4$  haloalkyl, halogen, hydroxy,  $C_1-C_4$  alkoxy,  $C_1-C_4$  haloalkoxy,  $C_1-C_3$  alkylenedioxy,

phenoxy which may or may not be substituted with trifluoromethyl, a substituent of the formula,  $-S(O)_q R^{27}$  (in which  $R^{27}$  represents  $C_1-C_3$  alkyl and  $q$  represents an integer of 0, 1 or 2), hydroxycarbonyl,  $C_2-C_5$  alkoxycarbonyl or a

substituent of the formula,  $-N \begin{array}{c} R^{28} \\ \backslash \\ R^{29} \end{array}$  (in which  $R^{28}$  and  $R^{29}$ ,

which may be the same or different, represent hydrogen,  $C_1-C_4$  alkyl, or benzyl which may or may not be substituted with  $C_2-C_6$  alkoxycarbonyl);  $Z^1$  represents oxygen or sulfur;  $Z^2$  represents oxygen, sulfur or single bond; Q represents  $C_1-C_8$  alkylene,  $C_1-C_8$  alkylene substituted with halogen or phenyl,  $C_3-C_{12}$  alkenylene,  $C_3-C_{12}$  haloalkenylene or  $C_3-C_6$  alkynylene; and m represents an integer of from 1 to 3, and when m represents an integer of 2 or 3, Y may be the same or different.

2. A pyrazole oxime derivative according to Claim 1, wherein  $R^1$  represents  $C_1-C_4$  alkyl;  $R^2$  represents  $C_1-C_4$  alkyl or  $C_1-C_3$  haloalkyl;  $R^3$  represents hydrogen,  $C_1-C_4$  alkyl or phenyl;  $R^4$  represents a substituent of the formula,

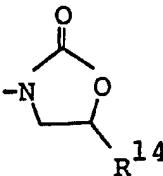
 [in which X represents  $C_1-C_{12}$  alkyl;  $C_1-C_4$

haloalkyl;  $C_3-C_7$  cycloalkyl;  $C_3-C_7$  cycloalkyl substituted with from one to three members selected from the group consisting of  $C_1-C_4$  alkyl, halogen and cyano;  $C_1-C_5$  alkoxy;  $C_1-C_4$  haloalkoxy; 3-chloro-5-trifluoromethylpyridin-2-oxo; a substituent of the formula,  $-S(O)_p R^5$  (in which  $R^5$

represents  $C_1-C_5$  alkyl,  $C_1-C_5$  haloalkyl or phenyl, and p represents an integer of 0, 1 or 2); a substituent of the formula,  $-COOR^6$  (in which  $R^6$  represents  $C_1-C_8$  alkyl,  $C_1-C_6$  haloalkyl,  $C_5-C_7$  cycloalkyl; or  $C_3-C_8$  cycloalkyl substituted with  $C_1-C_3$  alkyl);  $C_2-C_6$  alkylcarbonyl;  $C_2-C_6$  alkylthiocarbonyl;  $C_3-C_9$   $N,N$ -dialkylcarbonyl; a substituent of

the formula,  $\begin{array}{c} R^{12} \\ | \\ -N \\ | \\ R^{13} \end{array}$  (in which  $R^{12}$  represents  $C_1-C_5$  alkyl,

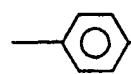
and  $R^{13}$  represents  $C_2-C_{10}$  alkoxy carbonyl or formyl); a sub-

stituent of the formula,  (in which  $R^{14}$  repre-

sents hydrogen,  $C_1-C_4$  alkyl or  $C_2-C_5$  alkoxyalkyl); a sub-

stituent of the formula,  $\begin{array}{c} BR^{15} \\ | \\ -C \\ | \\ R^{17} \\ | \\ BR^{16} \end{array}$  (in which  $R^{15}$  and  $R^{16}$ ,

taken together, form  $C_1-C_7$  alkylene,  $R^{17}$  represents  $C_1-C_4$  alkyl and B represents oxygen or sulfur); or trimethylsilyl]; Y represents hydrogen,  $C_1-C_6$  alkyl, halogen,  $C_1-C_4$  alkoxy or  $C_1-C_4$  haloalkoxy; and Q represents  $C_1-C_4$  alkylene which may have a branched chain.

3. A pyrazole oxime derivative according to Claim 1, wherein  $R^1$  represents methyl;  $R^2$  represents methyl or trifluoromethyl;  $R^3$  represents hydrogen or methyl;  $R^4$  represents a substituent of the formula,  [in which X

represents tert-butyl, 2,2-dichloro-1-methylcyclopropyl, 1-cyanocyclopentyl, cyclohexyl, tert-butoxy, 1,1,2,2-tetrafluoroethoxy, 3-chloro-5-trifluoromethylpyridin-2-yloxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, heptafluoropropylthio, C<sub>1</sub>-C<sub>3</sub> haloalkyl-sulfinyl, tert-butylcarbonyl, tert-butylthiocarbonyl, C<sub>3</sub>-C<sub>7</sub> N,N-dialkylcarbamoyl, 2-methyl-1,3-dioxolane-2-yl, 2,4-dimethyl-1,3-dioxolane-2-yl, 2-isopropyl-1,3-dioxolane-2-yl, 2-isopropyl-1,3-dithiolane-2-yl, a substituent of the formula, -COOR<sup>6</sup> (in which R<sup>6</sup> represents C<sub>3</sub>-C<sub>5</sub> alkyl, 1,1-dimethyl-2-chloroethyl, cyclohexyl or 1-methylcyclohexyl),

a substituent of the formula, -N(C<sub>2</sub>H<sub>5</sub>)<sub>2</sub> (in which R<sup>13</sup>

represents C<sub>2</sub>-C<sub>9</sub> alkoxy carbonyl or 2-chloroethoxycarbonyl), 5-ethyl-1,3-oxazolidone-2-yl or trimethylsilyl); Y represents hydrogen or fluorine; Z<sup>1</sup> represents oxygen; Z<sup>2</sup> represents oxygen or single bond; and Q represents C<sub>1</sub>-C<sub>3</sub> alkylene which may have a branched chain.

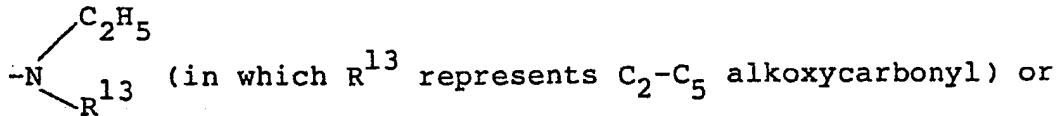
4. A pyrazole oxime derivative according to claim 1,

wherein R<sup>1</sup> represents methyl; R<sup>2</sup> represents methyl or trifluoromethyl; R<sup>3</sup> represents hydrogen; R<sup>4</sup> represents a sub-

stituent of the formula, --X [in which X represents

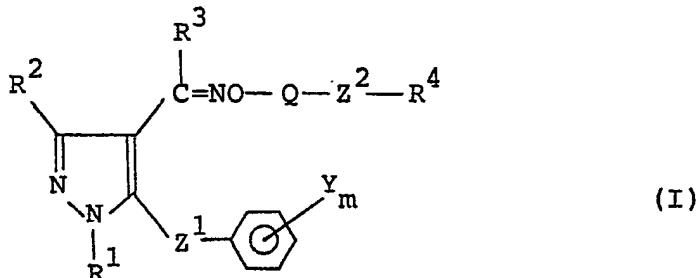
tert-butyl, 2,2-dichloro-1-methylcyclopropyl, 1-cyano-cyclopentyl, tert-butoxy, 1,1,2,2-tetrafluoroethoxy, heptafluoropropylthio, C<sub>1</sub>-C<sub>3</sub> haloalkylsulfinyl, tert-butylcarbonyl, C<sub>3</sub>-C<sub>7</sub> N,N-dialkylcarbamoyl,

2-isopropyl-1,3-dioxolane-2-yl, 2-isopropyl-1,3-dithiolane-2-yl, a substituent of the formula, -COOR<sup>6</sup> (in which R<sup>6</sup> represents C<sub>3</sub>-C<sub>5</sub> alkyl, 1,1-dimethyl-2-chloroethyl, cyclohexyl or 1-methylcyclohexyl), a substituent of the formula,



5-ethyl-1,3-oxazolidone-2-yl]; Y represents hydrogen or fluorine; Z<sup>1</sup> represents oxygen; Z<sup>2</sup> represents oxygen or single bond; Q represents C<sub>1</sub>-C<sub>2</sub> alkylene which may have a branched chain; and m represents an integer of 1.

5. A method for producing a pyrazole oxime derivative represented by the general formula (I),



wherein R<sup>1</sup> represents C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl; R<sup>2</sup> represents hydrogen, C<sub>1</sub>-C<sub>5</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl or phenyl; R<sup>3</sup> represents hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl; R<sup>4</sup> represents hydrogen, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, benzoyl, naphthyl or a substituent of

the formula,  [in which X represents hydrogen;

halogen; C<sub>1</sub>-C<sub>12</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyl substituted with halogen, cyano, hydroxy, C<sub>1</sub>-C<sub>5</sub> alkoxy or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl;

$C_3-C_8$  cycloalkyl; cycloalkyl substituted with from one to three members selected from the group consisting of  $C_1-C_4$  alkyl, halogen and cyano;  $C_2-C_4$  alkenyl substituted with halogen, hydroxy,  $C_2-C_4$  alkoxy carbonyl or  $C_2-C_6$  alkyl carbonyl; phenyl; hydroxy;  $C_1-C_6$  alkoxy;  $C_1-C_4$  alkoxy substituted with halogen or  $C_2-C_6$  alkoxy carbonyl; phenoxy which may or may not be substituted with  $C_1-C_3$  haloalkyl; benzyloxy;  $C_1-C_3$  alkylene dioxy formed by two adjacent Xs; pyridyloxy which may or may not be substituted with halogen or  $C_1-C_3$  haloalkyl; a substituent of the formula,  $-S(O)_p^R^5$  (in which  $R^5$  represents  $C_1-C_6$  alkyl,  $C_1-C_5$  haloalkyl or phenyl, and p represents an integer of 0, 1 or 2); cyano; formyl; nitro; a substituent of the formula,  $-COOR^6$  (in which  $R^6$  represents hydrogen; alkali metal;  $C_1-C_{10}$  alkyl;  $C_1-C_5$  alkyl substituted with halogen,  $C_1-C_4$  alkoxy, phenoxy,  $C_2-C_4$  alkoxy carbonyl or phenoxyphenyl;  $C_2-C_7$  alkenyl;  $C_3-C_7$  alkynyl;  $C_3-C_8$  cycloalkyl;  $C_3-C_8$  cycloalkyl substituted with  $C_1-C_3$  alkyl; phenyl; or a substituent of

the formula,  $-S_n^R^7 \begin{cases} R^8 \\ R^9 \end{cases}$  (in which  $R^7$ ,  $R^8$  and  $R^9$ , which may be

the same or different, represent  $C_1-C_4$  alkyl or  $C_3-C_8$  cycloalkyl);  $C_2-C_6$  alkyl carbonyl;  $C_2-C_6$  alkyl carbonyl substituted with cyano or  $C_2-C_6$  alkoxy carbonyl; benzoyl which may or may not be substituted with halogen or  $C_1-C_6$  alkyl;  $C_2-C_6$  alkyl thiocarbonyl;  $C_3-C_7$  alkoxy carbonyl-

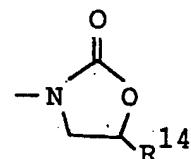
carbonyl; a substituent of the formula,  $-CN \begin{cases} O \\ R^{10} \\ R^{11} \end{cases}$  (in

which R<sup>10</sup> and R<sup>11</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl or phenyl); piperidino-carbonyl; morpholinocarbonyl which may or may not be substituted with one or two C<sub>1</sub>-C<sub>4</sub> alkyls; a substituent of the

formula,  $\text{N}^{\text{R}^{12}}_{\text{R}^{13}}$  (in which R<sup>12</sup> represents hydrogen or

C<sub>1</sub>-C<sub>5</sub> alkyl, and R<sup>13</sup> represents formyl, C<sub>2</sub>-C<sub>12</sub> alkoxy-carbonyl, or C<sub>2</sub>-C<sub>5</sub> alkoxycarbonyl substituted with halogen

or C<sub>1</sub>-C<sub>4</sub> alkoxy); a substituent of the formula,



(in which R<sup>14</sup> represents hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>2</sub>-C<sub>6</sub>

alkoxyalkyl); a substituent of the formula,  $\text{C}^{\text{BR}^{15}}_{\text{R}^{16}\text{R}^{17}}$  (in

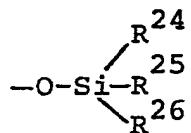
which R<sup>15</sup> and R<sup>16</sup>, which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl or, taken together, may form C<sub>1</sub>-C<sub>4</sub> alkylene, R<sup>17</sup> represents C<sub>1</sub>-C<sub>5</sub> alkyl, cyano or C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl, and B represents oxygen or sulfur); a

substituent of the formula,  $\text{C}^{\text{OR}^{18}}_{\text{R}^{19}\text{R}^{20}}$  (in which R<sup>18</sup> repre-

sents hydrogen or C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, and R<sup>19</sup> and R<sup>20</sup>, which may be the same or different, represent hydrogen or

C<sub>1</sub>-C<sub>6</sub> alkyl); a substituent of the formula,  $\text{Si}^{\text{R}^{21}}_{\text{R}^{22}\text{R}^{23}}$  (in

which R<sup>21</sup>, R<sup>22</sup> and R<sup>23</sup>, which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl); or a substituent of the formula,

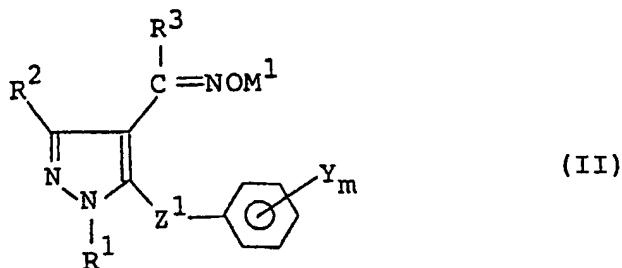


(in which R<sup>24</sup>, R<sup>25</sup> and R<sup>26</sup>, which may be the

same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl), and n represents an integer of from 1 to 5, and when n represents an integer of from 2 to 5, X may be the same or different]; Y represents hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>3</sub> alkyl-enedioxy, phenoxy which may or may not be substituted with trifluoromethyl, a substituent of the formula, -S(O)<sub>q</sub>R<sup>27</sup> (in which R<sup>27</sup> represents C<sub>1</sub>-C<sub>3</sub> alkyl and q represents an integer of 0, 1 or 2), hydroxycarbonyl, C<sub>2</sub>-C<sub>5</sub> alkoxy-

carbonyl or a substituent of the formula, -N(R<sup>28</sup>)<sub>2</sub> (in

which R<sup>28</sup> and R<sup>29</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl which may or may not be substituted with C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl); z<sup>1</sup> represents oxygen or sulfur; z<sup>2</sup> represents oxygen, sulfur or single bond; Q represents C<sub>1</sub>-C<sub>8</sub> alkylene, C<sub>1</sub>-C<sub>8</sub> alkylene substituted with halogen or phenyl, C<sub>3</sub>-C<sub>12</sub> alkenylene, C<sub>3</sub>-C<sub>12</sub> haloalkenylene or C<sub>3</sub>-C<sub>6</sub> alkynylene; and m represents an integer of from 1 to 3, and when m represents an integer of 2 or 3, Y may be the same or different, which comprises reacting a compound represented by the general formula (II),

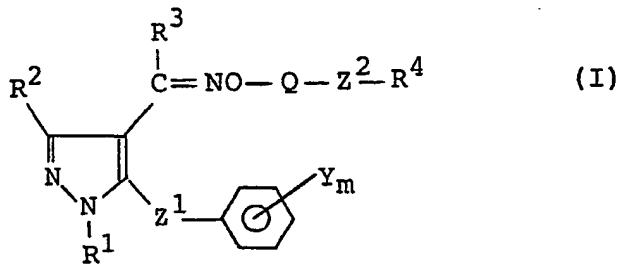


wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $Y$ ,  $Z^1$  and  $m$  are as defined above, and  $M^1$  represents hydrogen or alkali metal,  
with a compound represented by the general formula (III),



wherein  $R^4$ ,  $Q$  and  $Z^2$  are as defined above, and Hal represents halogen.

6. A method for producing a pyrazole oxime derivative represented by the general formula (I),

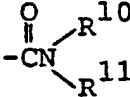


wherein  $R^1$  represents  $C_1-C_4$  alkyl or phenyl;  $R^2$  represents hydrogen,  $C_1-C_5$  alkyl,  $C_1-C_3$  haloalkyl or phenyl;  $R^3$  represents hydrogen,  $C_1-C_4$  alkyl or phenyl;  $R^4$  represents hydrogen,  $C_2-C_4$  alkylcarbonyl, benzoyl, naphthyl or a substituent of

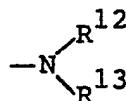
the formula, [in which X represents hydrogen;

halogen;  $C_1-C_{12}$  alkyl;  $C_1-C_6$  alkyl substituted with halogen, cyano, hydroxy,  $C_1-C_5$  alkoxy or  $C_2-C_6$  alkoxycarbonyl;  $C_3-C_8$  cycloalkyl; cycloalkyl substituted with from one to three members selected from the group consisting of  $C_1-C_4$  alkyl, halogen and cyano;  $C_2-C_4$  alkenyl substituted with halogen, hydroxy,  $C_2-C_4$  alkoxycarbonyl or  $C_2-C_6$  alkylcarbonyl; phenyl; hydroxy;  $C_1-C_6$  alkoxy;  $C_1-C_4$  alkoxy substituted with halogen or  $C_2-C_6$  alkoxycarbonyl; phenoxy which may or may not be substituted with  $C_1-C_3$  haloalkyl; benzyloxy;  $C_1-C_3$  alkylenedioxy formed by two adjacent Xs; pyridyloxy which may or may not be substituted with halogen of  $C_1-C_3$  haloalkyl; a substituent of the formula,  $-S(O)_pR^5$  (in which  $R^5$  represents  $C_1-C_6$  alkyl,  $C_1-C_5$  haloalkyl or phenyl, and p represents an integer of 0, 1 or 2); cyano; formyl; nitro; a substituent of the formula,  $-COOR^6$  (in which  $R^6$  represents hydrogen; alkali metal;  $C_1-C_{10}$  alkyl;  $C_1-C_5$  alkyl substituted with halogen,  $C_1-C_4$  alkoxy, phenoxy,  $C_2-C_4$  alkoxycarbonyl or phenoxyphenyl;  $C_2-C_7$  alkenyl;  $C_3-C_7$  alkynyl;  $C_3-C_8$  cycloalkyl;  $C_3-C_8$  cycloalkyl substituted with  $C_1-C_3$  alkyl; phenyl; or a substituent of the formula,  $-S_n^{R^7} \begin{array}{c} R^7 \\ | \\ R^8 \\ \backslash \\ n \\ / \\ R^9 \end{array}$  (in which  $R^7$ ,  $R^8$  and  $R^9$ , which may be the same or different, represent  $C_1-C_4$  alkyl or  $C_3-C_8$  cycloalkyl);  $C_2-C_6$  alkylcarbonyl;  $C_2-C_6$  alkylcarbonyl substituted with cyano or  $C_2-C_6$  alkoxycarbonyl; benzoyl which may or may not be substituted with halogen or  $C_1-C_6$  alkyl;  $C_2-C_6$  alkylthiocarbonyl;  $C_3-C_7$  alkoxycarbonylcarbonyl; a

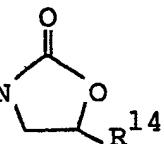
same or different, represent  $C_1-C_4$  alkyl or  $C_3-C_8$  cycloalkyl);  $C_2-C_6$  alkylcarbonyl;  $C_2-C_6$  alkylcarbonyl substituted with cyano or  $C_2-C_6$  alkoxycarbonyl; benzoyl which may or may not be substituted with halogen or  $C_1-C_6$  alkyl;  $C_2-C_6$  alkylthiocarbonyl;  $C_3-C_7$  alkoxycarbonylcarbonyl; a

substituent of the formula,  (in which R<sup>10</sup> and

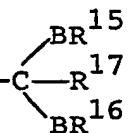
R<sup>11</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl or phenyl; piperidinocarbonyl; morpholinocarbonyl which may or may not be substituted with one or two C<sub>1</sub>-C<sub>4</sub> alkyls; a substituent of the formula,

 (in which R<sup>12</sup> represents hydrogen or C<sub>1</sub>-C<sub>5</sub> alkyl,

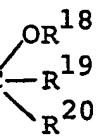
and R<sup>13</sup> represents formyl, C<sub>2</sub>-C<sub>12</sub> alkoxy carbonyl, or C<sub>2</sub>-C<sub>5</sub> alkoxy carbonyl substituted with halogen or C<sub>1</sub>-C<sub>4</sub> alkoxy); a

substituent of the formula,  (in which R<sup>14</sup>

represents hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl); a

substituent of the formula,  (in which R<sup>15</sup> and

R<sup>16</sup>, which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl or, taken together, may form C<sub>1</sub>-C<sub>4</sub> alkylene, R<sup>17</sup> represents C<sub>1</sub>-C<sub>5</sub> alkyl, cyano or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl, and B represents oxygen or sulfur); a substituent of the

formula,  (in which R<sup>18</sup> represents hydrogen or

C<sub>2</sub>-C<sub>4</sub> alkyl carbonyl, and R<sup>19</sup> and R<sup>20</sup>, which may be the same or different, represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl); a

substituent of the formula,  $-\text{Si}(\text{R}^{21})\text{R}^{22}\text{R}^{23}$  (in which  $\text{R}^{21}$ ,  $\text{R}^{22}$

and  $\text{R}^{23}$ , which may be the same or different, represent

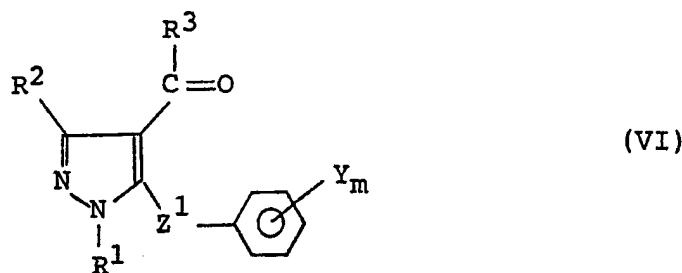
$\text{C}_1\text{-C}_4$  alkyl); or a substituent of the formula,  $-\text{O-Si}(\text{R}^{24})\text{R}^{25}\text{R}^{26}$

(in which  $\text{R}^{24}$ ,  $\text{R}^{25}$  and  $\text{R}^{26}$ , which may be the same or different, represent  $\text{C}_1\text{-C}_4$  alkyl), and n represents an integer of from 1 to 5, and when n represents an integer of from 2 to 5, X may be the same or different]; Y represents hydrogen,  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_4$  haloalkyl, halogen, hydroxy,  $\text{C}_1\text{-C}_4$  alkoxy,  $\text{C}_1\text{-C}_4$  haloalkoxy,  $\text{C}_1\text{-C}_3$  alkylenedioxy, phenoxy which may or may not be substituted with trifluoromethyl, a substituent of the formula,  $-\text{S(O)}_q\text{R}^{27}$  (in which  $\text{R}^{27}$  represents  $\text{C}_1\text{-C}_3$  alkyl and q represents an integer of 0, 1 or 2), hydroxycarbonyl,  $\text{C}_2\text{-C}_5$  alkoxy-

carbonyl or a substituent of the formula,  $-\text{N}(\text{R}^{28})\text{R}^{29}$  (in

which  $\text{R}^{28}$  and  $\text{R}^{29}$ , which may be the same or different, represent hydrogen,  $\text{C}_1\text{-C}_4$  alkyl, or benzyl which may or may not be substituted with  $\text{C}_2\text{-C}_6$  alkoxy carbonyl);  $\text{z}^1$  represents oxygen or sulfur;  $\text{z}^2$  represents oxygen, sulfur or single bond; Q represents  $\text{C}_1\text{-C}_8$  alkylene,  $\text{C}_1\text{-C}_8$  alkylene substituted with halogen or phenyl,  $\text{C}_3\text{-C}_{12}$  alkenylene,  $\text{C}_3\text{-C}_{12}$  haloalkenylene or  $\text{C}_3\text{-C}_6$  alkynylene; and m represents an integer of from 1 to 3, and when m represents an integer of 2 or 3, Y may be the same or different,

which comprises reacting a compound represented by the general formula (VI),

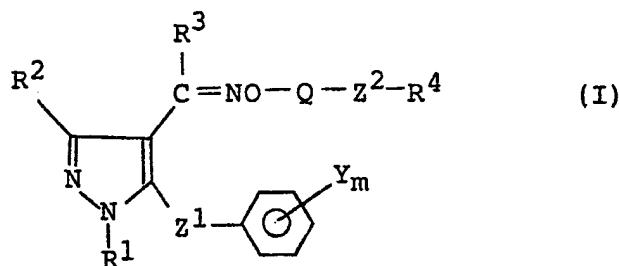


wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $Y$ ,  $Z^1$  and  $m$  are as defined above, with a compound represented by the general formula (VII),



wherein  $R^4$ ,  $Q$  and  $Z^2$  are as defined above.

7. A method for producing a pyrazole oxime derivative represented by the general formula (I),



wherein  $R^1$  represents  $C_1-C_4$  alkyl or phenyl;  $R^2$  represents hydrogen,  $C_1-C_5$  alkyl,  $C_1-C_3$  haloalkyl or phenyl;  $R^3$  represents hydrogen,  $C_1-C_4$  alkyl or phenyl;  $R^4$  represents hydrogen,  $C_2-C_4$  alkylcarbonyl, benzoyl, naphthyl or a substituent of

the formula, [in which  $X$  represents hydrogen;

halogen;  $C_1-C_{12}$  alkyl;  $C_1-C_6$  alkyl substituted with halogen, cyano, hydroxy,  $C_1-C_5$  alkoxy or  $C_2-C_6$  alkoxycarbonyl;  $C_3-C_8$  cycloalkyl; cycloalkyl substituted with from one to three members selected from the group consisting of  $C_1-C_4$  alkyl, halogen and cyano;  $C_2-C_4$  alkenyl substituted with halogen, hydroxy,  $C_2-C_4$  alkoxycarbonyl or  $C_2-C_6$  alkylcarbonyl; phenyl; hydroxy;  $C_1-C_6$  alkoxy;  $C_1-C_4$  alkoxy substituted with halogen or  $C_2-C_6$  alkoxycarbonyl; phenoxy which may or may not be substituted with  $C_1-C_3$  haloalkyl; benzyloxy;  $C_1-C_3$  alkylenedioxy formed by two adjacent Xs; pyridyloxy which may or may not be substituted with halogen or  $C_1-C_3$  haloalkyl; a substituent of the formula,  $-S(O)_pR^5$  (in which  $R^5$  represents  $C_1-C_6$  alkyl,  $C_1-C_5$  haloalkyl or phenyl, and p represents an integer of 0, 1 or 2); cyano; formyl; nitro; a substituent of the formula,  $-COOR^6$  (in which  $R^6$  represents hydrogen; alkali metal;  $C_1-C_{10}$  alkyl;  $C_1-C_5$  alkyl substituted with halogen,  $C_1-C_4$  alkoxy, phenoxy,  $C_2-C_4$  alkoxycarbonyl or phenoxyphenyl;  $C_2-C_7$  alkenyl;  $C_3-C_7$  alkynyl;  $C_3-C_8$  cycloalkyl,  $C_3-C_8$  cycloalkyl substituted with  $C_1-C_3$  alkyl; phenyl; or a substituent of the formula,  $-S\begin{array}{c} R^7 \\ \diagup \\ n \\ \diagdown \\ R^8 \\ | \\ R^9 \end{array}$  (in which  $R^7$ ,  $R^8$  and  $R^9$ , which may be the same or different, represent  $C_1-C_4$  alkyl or  $C_3-C_8$  cycloalkyl);  $C_2-C_6$  alkylcarbonyl;  $C_2-C_6$  alkylcarbonyl substituted with cyano or  $C_2-C_6$  alkoxycarbonyl; benzoyl which may or may not be substituted with halogen or  $C_1-C_6$  alkyl;  $C_2-C_6$  alkylthiocarbonyl;  $C_3-C_7$  alkoxycarbonylcarbonyl; a

substituent of the formula,  $\text{CN} \begin{array}{c} \text{O} \\ || \\ \text{R}^{10} \\ \backslash \\ \text{R}^{11} \end{array}$  (in which  $\text{R}^{10}$  and

$\text{R}^{11}$ , which may be the same or different, represent hydrogen,  $\text{C}_1\text{-C}_6$  alkyl or phenyl); piperidinocarbonyl; morpholinocarbonyl which may or may not be substituted with one or two  $\text{C}_1\text{-C}_4$  alkyls; a substituent of the formula,

$\begin{array}{c} \text{R}^{12} \\ | \\ -\text{N}- \\ | \\ \text{R}^{13} \end{array}$  (in which  $\text{R}^{12}$  represents hydrogen or  $\text{C}_1\text{-C}_5$  alkyl,

and  $\text{R}^{13}$  represents formyl,  $\text{C}_2\text{-C}_{12}$  alkoxy carbonyl, or  $\text{C}_2\text{-C}_5$  alkoxy carbonyl substituted with halogen or  $\text{C}_1\text{-C}_4$  alkoxy); a

substituent of the formula,  $\begin{array}{c} \text{O} \\ || \\ \text{N} \\ \backslash \quad / \\ \text{R}^{14} \end{array}$  (in which  $\text{R}^{14}$

represents hydrogen,  $\text{C}_1\text{-C}_4$  alkyl or  $\text{C}_2\text{-C}_6$  alkoxyalkyl); a

substituent of the formula,  $\begin{array}{c} \text{BR}^{15} \\ | \\ -\text{C}-\text{R}^{17} \\ | \\ \text{BR}^{16} \end{array}$  (in which  $\text{R}^{15}$  and

$\text{R}^{16}$ , which may be the same or different, represent  $\text{C}_1\text{-C}_4$  alkyl or, taken together, may form  $\text{C}_1\text{-C}_4$  alkylene,  $\text{R}^{17}$  represents  $\text{C}_1\text{-C}_5$  alkyl, cyano or  $\text{C}_2\text{-C}_6$  alkoxy carbonyl, and B represents oxygen or sulfur); a substituent of the

formula,  $\begin{array}{c} \text{OR}^{18} \\ | \\ -\text{C}-\text{R}^{19} \\ | \\ \text{R}^{20} \end{array}$  (in which  $\text{R}^{18}$  represents hydrogen or

$\text{C}_2\text{-C}_4$  alkyl carbonyl, and  $\text{R}^{19}$  and  $\text{R}^{20}$ , which may be the same or different, represent hydrogen or  $\text{C}_1\text{-C}_6$  alkyl); a

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substituent of the formula, -Si<sub>22</sub>  
R<sup>21</sup> R<sup>22</sup>  
R<sup>23</sup>

and R<sup>23</sup>, which may be the same or different, represent

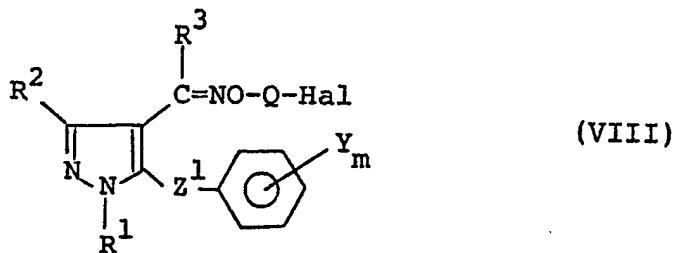
C<sub>1</sub>-C<sub>4</sub> alkyl); or a substituent of the formula, -O-Si<sub>25</sub>  
R<sup>24</sup> R<sup>25</sup>  
R<sup>26</sup>

(in which R<sup>24</sup>, R<sup>25</sup> and R<sup>26</sup>, which may be the same or  
different, represent C<sub>1</sub>-C<sub>4</sub> alkyl), and n represents an  
integer of from 1 to 5, and when n represents an integer of  
from 2 to 5, X may be the same or different]; Y represents  
hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, hydroxy,  
C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>3</sub> alkylenedioxy,  
phenoxy which may or may not be substituted with  
trifluoromethyl, a substituent of the formula, -S(O)<sub>q</sub>R<sup>27</sup>  
(in which R<sup>27</sup> represents C<sub>1</sub>-C<sub>3</sub> alkyl and q represents an  
integer of 0, 1 or 2), hydroxycarbonyl, C<sub>2</sub>-C<sub>5</sub> alkoxy-

carbonyl or a substituent of the formula, -N<sub>29</sub>  
R<sup>28</sup> R<sup>29</sup> (in

which R<sup>28</sup> and R<sup>29</sup>, which may be the same or different,  
represent hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, or benzyl which may or may  
not be substituted with C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl), z<sup>1</sup> repre-  
sents oxygen or sulfur; z<sup>2</sup> represents oxygen, sulfur or  
single bond; Q represents C<sub>1</sub>-C<sub>8</sub> alkylene, C<sub>1</sub>-C<sub>8</sub> alkylene  
substituted with halogen or phenyl, C<sub>3</sub>-C<sub>12</sub> alkenylene,  
C<sub>3</sub>-C<sub>12</sub> haloalkenylene or C<sub>3</sub>-C<sub>6</sub> alkynylene; and m represents  
an integer of from 1 to 3, and when m represents an integer  
of 2 or 3, Y may be the same or different,

which comprises reacting a compound represented by the general formula (VIII),

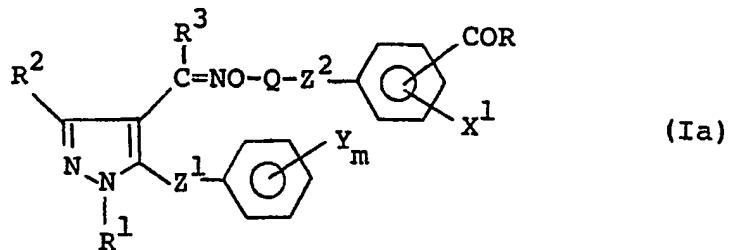


wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $Y$ ,  $Q$ ,  $Z^1$  and  $m$  are as defined above, and Hal represents halogen,  
with a compound represented by the general formula (IX),



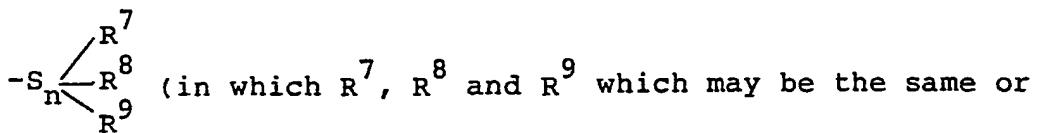
wherein  $R^4$  and  $Z^2$  are as defined above, and  $M^2$  represents hydrogen or alkali metal.

8. A method for producing a pyrazole oxime derivative represented by the general formula (Ia),



wherein R represents a substituent of the formula,  $-OW$  {in which W represents alkali metal;  $C_1-C_{10}$  alkyl; alkyl substituted with halogen,  $C_1-C_4$  alkoxy, phenoxy,  $C_2-C_4$  alkoxycarbonyl or phenoxyphenyl;  $C_2-C_7$  alkenyl;  $C_3-C_7$  alkynyl;  $C_3-C_8$  cycloalkyl;  $C_3-C_8$  cycloalkyl substituted

with  $C_1-C_3$  alkyl; phenyl; or a substituent of the formula,

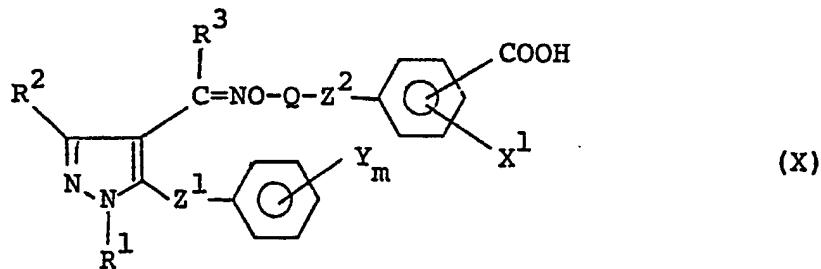


substituent of the formula,  $-\text{N}_n^{\text{R}^{10}} \text{R}^{11}$  (in which  $R^{10}$  and  $R^{11}$ , which may be the same or different, represent hydrogen,  $C_1-C_6$  alkyl or phenyl); piperidino; morpholino which may or may not be substituted with one or two  $C_1-C_4$  alkyls; or  $C_2-C_6$  alkylthio;  $R^1$  represents  $C_1-C_4$  alkyl or phenyl;  $R^2$  represents hydrogen,  $C_1-C_5$  alkyl,  $C_1-C_3$  haloalkyl or phenyl;  $R^3$  represents hydrogen,  $C_1-C_4$  alkyl or phenyl; Y represents hydrogen,  $C_1-C_6$  alkyl,  $C_1-C_4$  haloalkyl, halogen, hydroxy,  $C_1-C_4$  alkoxy,  $C_1-C_4$  haloalkoxy,  $C_1-C_3$  alkyl-enedioxy, phenoxy which may or may not be substituted with trifluoromethyl, a substituent of the formula,  $-\text{S}(\text{O})_q^{\text{R}^{27}}$  (in which  $R^{27}$  represents  $C_1-C_3$  alkyl and q represents an integer of 0, 1 or 2), hydroxycarbonyl,  $C_2-C_5$  alkoxy-

carbonyl or a substituent of the formula,  $-\text{N}_n^{\text{R}^{28}} \text{R}^{29}$  (in

which  $R^{28}$  and  $R^{29}$ , which may be the same or different, represent hydrogen,  $C_1-C_4$  alkyl, or benzyl which may or may not be substituted with  $C_2-C_6$  alkoxy carbonyl);  $Z^1$  represents oxygen or sulfur;  $Z^2$  represents oxygen, sulfur or single bond; Q represents  $C_1-C_8$  alkylene,  $C_1-C_8$  alkylene substituted with halogen or phenyl,  $C_3-C_{12}$  alkenylene,

$C_3-C_{12}$  haloalkenlene or  $C_3-C_6$  alkynylene;  $m$  represents an integer of from 1 to 3, and when  $m$  represents an integer of 2 or 3,  $Y$  may be the same or different; and  $X^1$  represents hydrogen or  $C_1-C_4$  alkyl,  
which comprises reacting a compound represented by the general formula (X),



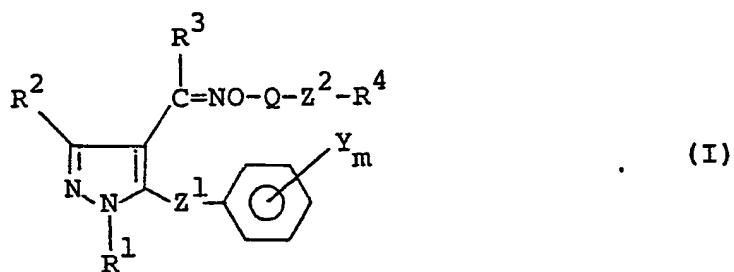
wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $X^1$ ,  $Y$ ,  $Z^1$ ,  $Z^2$ ,  $Q$  and  $m$  are as defined above, with a compound represented by the general formula (XI),

RH

(XI)

wherein  $R$  is as defined above.

9. An insecticidal and acaricidal composition for use in agriculture and horticulture comprising an insecticidally and/or acaricidally effective amount of a pyrazole oxime derivative as an active ingredient and a suitable carrier, said pyrazole oxime derivative being represented by the general formula (I),



wherein  $R^1$  represents  $C_1-C_4$  alkyl or phenyl;  $R^2$  represents hydrogen,  $C_1-C_5$  alkyl,  $C_1-C_3$  haloalkyl or phenyl;  $R^3$  represents hydrogen,  $C_1-C_4$  alkyl or phenyl;  $R^4$  represents hydrogen,  $C_2-C_4$  alkylcarbonyl, benzoyl, naphthyl or a substituent of

the formula, — [in which  $X$  represents hydrogen;

halogen;  $C_1-C_{12}$  alkyl;  $C_1-C_6$  alkyl substituted with halogen, cyano, hydroxy,  $C_1-C_5$  alkoxy or  $C_2-C_6$  alkoxy carbonyl;  $C_3-C_8$  cycloalkyl; cycloalkyl substituted with from one to three members selected from the group consisting of  $C_1-C_4$  alkyl, halogen and cyano;  $C_2-C_4$  alkenyl substituted with halogen, hydroxy,  $C_2-C_4$  alkoxy carbonyl or  $C_2-C_6$  alkyl carbonyl; phenyl; hydroxy;  $C_1-C_6$  alkoxy;  $C_1-C_4$  alkoxy substituted with halogen or  $C_2-C_6$  alkoxy carbonyl; phenoxy which may or may not be substituted with  $C_1-C_3$  haloalkyl; benzyloxy;  $C_1-C_3$  alkylenedioxy formed by two adjacent Xs; pyridyloxy which may or may not be substituted with halogen or  $C_1-C_3$  haloalkyl; a substituent of the formula,  $-S(O)_pR^5$ <sup>5</sup> (in which  $R^5$  represents  $C_1-C_6$  alkyl,  $C_1-C_5$  haloalkyl or phenyl, and  $p$  represents an integer of 0, 1 or 2); cyano; formyl; nitro; a substituent of the formula,  $-COOR^6$ <sup>6</sup> (in

which R<sup>6</sup> represents hydrogen; alkali metal; C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>1</sub>-C<sub>5</sub> alkyl substituted with halogen, C<sub>1</sub>-C<sub>4</sub> alkoxy, phenoxy, C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl or phenoxyphenyl; C<sub>2</sub>-C<sub>7</sub> alkenyl; C<sub>3</sub>-C<sub>7</sub> alkynyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl substituted with C<sub>1</sub>-C<sub>3</sub> alkyl; phenyl; or a substituent of

the formula,  $-S_n \begin{cases} R^7 \\ R^8 \\ R^9 \\ R \end{cases}$  (in which R<sup>7</sup>, R<sup>8</sup> and R<sup>9</sup>, which may be

the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>3</sub>-C<sub>8</sub> cycloalkyl); C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl; C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl substituted with cyano or C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl; benzoyl which may or may not be substituted with halogen or C<sub>1</sub>-C<sub>6</sub> alkyl; C<sub>2</sub>-C<sub>6</sub> alkylthiocarbonyl; C<sub>3</sub>-C<sub>7</sub> alkoxycarbonyl-

carbonyl; a substituent of the formula,  $-CN \begin{cases} O \\ || \\ R^{10} \\ R^{11} \end{cases}$  (in which

R<sup>10</sup> and R<sup>11</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl or phenyl); piperidinocarbonyl; morpholinocarbonyl which may or may not be substituted with one or two C<sub>1</sub>-C<sub>4</sub> alkyls; a substituent of the formula,

$-N \begin{cases} R^{12} \\ R^{13} \end{cases}$  (in which R<sup>12</sup> represents hydrogen or C<sub>1</sub>-C<sub>5</sub> alkyl,

and R<sup>13</sup> represents formyl, C<sub>2</sub>-C<sub>12</sub> alkoxycarbonyl, or C<sub>2</sub>-C<sub>5</sub> alkoxycarbonyl substituted with halogen or C<sub>1</sub>-C<sub>4</sub> alkoxy); a

substituent of the formula,  $-N \begin{cases} O \\ || \\ O \\ R^{14} \end{cases}$  (in which R<sup>14</sup>

represents hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>2</sub>-C<sub>6</sub> alkoxyalkyl; a

substituent of the formula,  $\begin{array}{c} \text{BR}^{15} \\ | \\ -\text{C}-\text{R}^{17} \\ | \\ \text{BR}^{16} \end{array}$  (in which R<sup>15</sup> and R<sup>16</sup>,

which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl or, taken together, may form C<sub>1</sub>-C<sub>4</sub> alkylene, R<sup>17</sup> represents C<sub>1</sub>-C<sub>5</sub> alkyl, cyano or C<sub>2</sub>-C<sub>6</sub> alkoxy carbonyl, and B represents oxygen or sulfur); a substituent of the formula,

$\begin{array}{c} \text{OR}^{18} \\ | \\ -\text{C}-\text{R}^{19} \\ | \\ \text{R}^{20} \end{array}$  (in which R<sup>18</sup> represents hydrogen or C<sub>2</sub>-C<sub>4</sub>

alkyl carbonyl, and R<sup>19</sup> and R<sup>20</sup>, which may be the same or different, represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl); a sub-

stituent of the formula,  $\begin{array}{c} \text{R}^{21} \\ | \\ -\text{Si}-\text{R}^{22} \\ | \\ \text{R}^{23} \end{array}$  (in which R<sup>21</sup>, R<sup>22</sup> and

R<sup>23</sup>, which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub>

alkyl); or a substituent of the formula,  $\begin{array}{c} \text{R}^{24} \\ | \\ -\text{O}-\text{Si}-\text{R}^{25} \\ | \\ \text{R}^{26} \end{array}$  (in

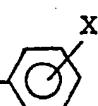
which R<sup>24</sup>, R<sup>25</sup> and R<sup>26</sup>, which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl), and n represents an integer of from 1 to 5, and when n represents an integer of from 2 to 5, X may be the same or different]; Y represents hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> haloalkyl, halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> haloalkoxy, C<sub>1</sub>-C<sub>3</sub> alkylenedioxy, phenoxy which may or may not be substituted with trifluoromethyl, a substituent of the formula,  $-\text{S(O)}_q\text{R}^{27}$  (in which R<sup>27</sup> represents C<sub>1</sub>-C<sub>3</sub>

alkyl and q represents an integer of 0, 1 or 2), hydroxycarbonyl,  $C_2-C_5$  alkoxy carbonyl or a substituent of the

formula,  $-N\begin{array}{c} R^{28} \\ | \\ R^{29} \end{array}$  (in which  $R^{28}$  and  $R^{29}$ , which may be the

same or different, represent hydrogen,  $C_1-C_4$  alkyl, or benzyl which may or may not be substituted with  $C_2-C_6$  alkoxy carbonyl);  $Z^1$  represents oxygen or sulfur;  $Z^2$  represents oxygen, sulfur or single bond; Q represents  $C_1-C_8$  alkylene,  $C_1-C_8$  alkylene substituted with halogen or phenyl,  $C_3-C_{12}$  alkenylene,  $C_3-C_{12}$  haloalkenylene or  $C_3-C_6$  alkynylene; and m represents an integer of from 1 to 3, and when m represents an integer of 2 or 3, Y may be the same or different.

10. An insecticidal and acaricidal composition for use in agriculture and horticulture according to Claim 9, wherein  $R^1$  represents  $C_1-C_4$  alkyl;  $R^2$  represents  $C_1-C_4$  alkyl or  $C_1-C_3$  haloalkyl;  $R^3$  represents hydrogen or  $C_1-C_4$

alkyl;  $R^4$  represents a substituent of the formula, 

[in which X represents  $C_1-C_{12}$  alkyl,  $C_1-C_4$  haloalkyl,  $C_5-C_7$  cycloalkyl;  $C_3-C_7$  cycloalkyl substituted with from one to three members selected from the group consisting of  $C_1-C_3$  alkyl, halogen and cyano;  $C_3-C_4$  alkoxy;  $C_1-C_2$  haloalkoxy; 3-chloro-5-trifluoromethylpyridin-2-yloxy; a substituent of the formula,  $-S(O)_{p'}R^5$  (in which  $R^5$  represents  $C_2-C_4$  alkyl,  $C_1-C_3$  haloalkyl or phenyl, and p represents an integer of

0, 1 or 2); a substituent of the formula,  $-COOR^6$  (in which  $R^6$  represents  $C_3-C_7$  alkyl;  $C_4-C_6$  haloalkyl;  $C_5-C_6$  cycloalkyl; or  $C_5-C_6$  cycloalkyl substituted with  $C_1-C_3$  alkyl);  $C_2-C_6$  alkylcarbonyl;  $C_2-C_6$  alkylthiocarbonyl;  $C_3-C_9$   $N,N$ -

dialkylcarbamoyl; a substituent of the formula,  $-N\begin{array}{c} R^{12} \\ | \\ R^{13} \end{array}$

(in which  $R^{12}$  represents  $C_1-C_5$  alkyl and  $R^{13}$  represents  $C_2-C_{10}$  alkoxy carbonyl or formyl); 1,3-dioxolane-2-yl substituted with  $C_1-C_4$  alkyl; 1,3-dithiolane-2-yl substituted with  $C_1-C_4$  alkyl; or trimethylsilyl]; Y represents hydrogen, halogen,  $C_1-C_4$  alkoxy or  $C_1-C_4$  haloalkoxy; and Q represents  $C_1-C_4$  alkylene.

11. An insecticidal and acaricidal composition for use in agriculture and horticulture according to Claim 9, wherein  $R^1$  represents methyl;  $R^2$  represents methyl or trifluoromethyl;  $R^3$  represents hydrogen or methyl;  $R^4$

represents a substituent of the formula,  $-C_6H_4-X$  [in

which X represents tert-butyl, 2,2-dichloro-1-methylcyclopropyl, 1-cyanocyclopentyl, cyclohexyl, tert-butoxy, 1,1,2,2-tetrafluoroethoxy, 3-chloro-5-trifluoromethyl-pyridin-2-yloxy, tert-butylthio, heptafluoropropylthio, heptafluoropropylsulfinyl, 1,1,2,2-tetrafluoroethyl-sulfinyl, a substituent of the formula,  $-COOR^6$  (in which  $R^6$  represents  $C_3-C_5$  alkyl, 1,1-dimethyl-2-chloroethyl, cyclohexyl or 1-methylcyclohexyl), tert-butylcarbonyl,

tert-butylthiocarbonyl, N,N-diisopropylcarbamoyl, a

substituent of the formula,  $-\text{N} \begin{array}{c} \text{C}_2\text{H}_5 \\ | \\ \text{R}^{13} \end{array}$  (in which  $\text{R}^{13}$  repre-

sents  $\text{C}_4\text{-C}_9$  alkoxy carbonyl or 2-chloroethoxy carbonyl), 2-isopropyl-1,3-dioxolane-2-yl, 2-isopropyl-1,3-dithiolane-2-yl or trimethylsilyl]; Y represents hydrogen or fluorine;  $\text{Z}^1$  represents oxygen;  $\text{Z}^2$  represents oxygen or single bond; Q represents  $\text{C}_1\text{-C}_2$  alkylene which may have a branched chain; and m represents an integer of 1.

12. An insecticidal and acaricidal composition for use in agriculture and horticulture according to Claim 9, wherein  $\text{R}^1$  represents methyl;  $\text{R}^2$  represents methyl or trifluoromethyl;  $\text{R}^3$  represents hydrogen;  $\text{R}^4$  represents a

substituent of the formula,  $-\text{C}_6\text{H}_4\text{-X}$  [in which X repre-

sents tert-butyl, 2,2-dichloro-1-methylcyclopropyl, 1-cyanocyclopentyl, tert-butoxy, 1,1,2,2-tetrafluoroethoxy, heptafluoropropylthio, heptafluoropropylsulfinyl, a substituent of the formula,  $-\text{COOR}^6$  (in which  $\text{R}^6$  represents  $\text{C}_3\text{-C}_5$  alkyl, 1,1-dimethyl-2-chloroethyl, cyclohexyl or 1-methylcyclohexyl), tert-butylcarbonyl, N,N-diisopropyl-

carbamoyl, a substituent of the formula,  $-\text{N} \begin{array}{c} \text{C}_2\text{H}_5 \\ | \\ \text{R}^{13} \end{array}$  (in

which  $\text{R}^{13}$  represents  $\text{C}_4\text{-C}_8$  alkoxy carbonyl), 2-isopropyl-1,3-dioxolane-2-yl, 2-isopropyl-1,3-dithiolane-2-yl or

trimethylsilyl]; Y represents hydrogen or fluorine;  $z^1$  represents oxygen;  $z^2$  represents oxygen or single bond; Q represents  $C_1-C_2$  alkylene which may have a branched chain; and m represents an integer of 1.



(12)

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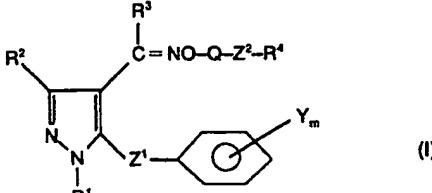
(71) Applicant: **NIHON NOHYAKU CO., LTD., 1-2-5,**  
**Nihonbashi, Chuo-ku Tokyo (JP)**

(72) Inventor: **Hamaguchi, Hiroshi Rose Manshon Fujinomori**  
**A-804, 10-1, Fukakusa-Hottacho, Fushimi-ku Kyoto (JP)**  
Inventor: **Takaiishi, Hideo, 7-20, Nigawa-Yurinocho,**  
**Nishinomiyashii (JP)**  
Inventor: **Ohshima, Tetsuji, 7-20, Nigawa-Yurinocho,**  
**Nishinomiyashii (JP)**  
Inventor: **Konno, Takamichi, 3121 A, Aileen Dr., Raleigh**  
**NC 27606 (US)**  
Inventor: **Miyagi, Yukio, 7-23-816, Nankonaka-4-chome,**  
**Suminoe-ku Osaka (JP)**  
Inventor: **Shiraiwa, Yutaka, 521-3, Kusabe, Saikai-shi**  
**(JP)**  
Inventor: **Akita, Takayuki, 2038-29, Murakami,**  
**Yachiyo-shi (JP)**

(74) Representative: **Patentanwälte Grünecker, Kinkeldey,**  
**Stockmair & Partner, Maximilianstrasse 58,**  
**D-8000 München 22 (DE)**

**(54) A pyrazole oxime derivative and its production and use.**

(57) A pyrazole oxime derivative represented by the general formula (I) which is useful as an insecticide, miticide and fungicide,

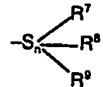


wherein R<sup>1</sup> represents C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl; R<sup>2</sup> represents hydrogen, C<sub>1</sub>-C<sub>5</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> haloalkyl or phenyl; R<sup>3</sup> represents hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl; R<sup>4</sup> represents hydrogen, C<sub>2</sub>-C<sub>4</sub> alkylcarbonyl, benzoyl, naphthyl or a substituent of the formula,



(in which X represents hydrogen; halogen; C<sub>1</sub>-C<sub>12</sub> alkyl; C<sub>1</sub>-C<sub>6</sub> alkyl substituted with halogen, cyano, hydroxy, C<sub>1</sub>-C<sub>5</sub> alkoxy or C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl; cycloalkyl substituted with from one to three members selected from

the group consisting of C<sub>1</sub>-C<sub>4</sub> alkyl, halogen and cyano; C<sub>2</sub>-C<sub>4</sub> alkenyl substituted with halogen, hydroxy, C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl or C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl; phenyl; hydroxy; C<sub>1</sub>-C<sub>8</sub> alkoxy; C<sub>1</sub>-C<sub>4</sub> alkoxy substituted with halogen or C<sub>2</sub>-C<sub>6</sub> alkoxycarbonyl; phenoxy which may or may not be substituted with C<sub>1</sub>-C<sub>3</sub> haloalkyl; benzyloxy; C<sub>1</sub>-C<sub>3</sub> alkyleneoxy formed by two adjacent Xs; pyridyloxy which may or may not be substituted with halogen or C<sub>1</sub>-C<sub>3</sub> haloalkyl; a substituent of the formula, -S(O)<sub>p</sub>R<sup>5</sup> (in which R<sup>5</sup> represents C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>5</sub> haloalkyl or phenyl, and p represents an integer of 0, 1 or 2); cyano; formyl; nitro; a substituent of the formula -COOR<sup>6</sup> (in which R<sup>6</sup> represents hydrogen; alkali metal; C<sub>1</sub>-C<sub>10</sub> alkyl; C<sub>1</sub>-C<sub>5</sub> alkyl substituted with halogen, C<sub>1</sub>-C<sub>4</sub> alkoxy, phenoxy, C<sub>2</sub>-C<sub>4</sub> alkoxycarbonyl or phenoxyphenyl; C<sub>2</sub>-C<sub>7</sub> alkenyl; C<sub>3</sub>-C<sub>7</sub> alkynyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl; C<sub>3</sub>-C<sub>8</sub> cycloalkyl substituted with C<sub>1</sub>-C<sub>3</sub> alkyl; phenyl; or a substituent of the formula,



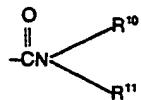
(in which R<sup>7</sup>, R<sup>8</sup> and R<sup>9</sup>, which may be the same or different, represent C<sub>1</sub>-C<sub>4</sub> alkyl or C<sub>3</sub>-C<sub>8</sub> cycloalkyl); C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl; C<sub>2</sub>-C<sub>6</sub> alkylcarbonyl substituted with cyano or C<sub>2</sub>-C<sub>6</sub>

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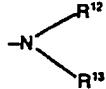
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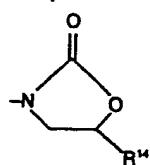
alkoxycarbonyl; benzoyl which may or may not be substituted with halogen or C<sub>1</sub>–C<sub>6</sub> alkyl; C<sub>2</sub>–C<sub>6</sub> alkylthiocarbonyl; C<sub>3</sub>–C<sub>7</sub> alkoxy carbonyl/carbonyl; a substituent of the formula,



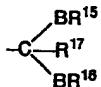
(in which R<sup>10</sup> and R<sup>11</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>–C<sub>6</sub> alkyl or phenyl); piperidinocarbonyl; morpholinocarbonyl which may or may not be substituted with one or two C<sub>1</sub>–C<sub>4</sub> alkyls; a substituent of the formula,



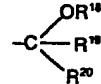
(in which R<sup>12</sup> represents hydrogen or C<sub>1</sub>–C<sub>5</sub> alkyl, and R<sup>13</sup> represents formyl, C<sub>2</sub>–C<sub>12</sub> alkoxy carbonyl, or C<sub>2</sub>–C<sub>5</sub> alkoxy carbonyl substituted with halogen or C<sub>1</sub>–C<sub>4</sub> alkoxy); a substituent of the formula,



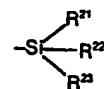
(in which R<sup>14</sup> represents hydrogen, C<sub>1</sub>–C<sub>4</sub> alkyl or C<sub>2</sub>–C<sub>8</sub> alkoxyalkyl); a substituent of the formula,



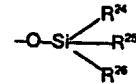
(in which R<sup>15</sup> and R<sup>16</sup>, which may be the same or different, represent C<sub>1</sub>–C<sub>4</sub> alkyl or, taken together, may form C<sub>1</sub>–C<sub>4</sub> alkylene, R<sup>17</sup> represents C<sub>1</sub>–C<sub>5</sub> alkyl, cyano or C<sub>2</sub>–C<sub>6</sub> alkoxy carbonyl, and B represents oxygen or sulfur); a substituent of the formula,



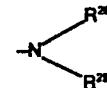
(in which R<sup>18</sup> represents hydrogen or C<sub>2</sub>–C<sub>4</sub> alkyl carbonyl, and R<sup>19</sup> and R<sup>20</sup>, which may be the same or different, represent hydrogen or C<sub>1</sub>–C<sub>6</sub> alkyl); a substituent of the formula,



(in which R<sup>21</sup>, R<sup>22</sup> and R<sup>23</sup>, which may be the same or different, represent C<sub>1</sub>–C<sub>4</sub> alkyl); or a substituent of the formula,



(in which R<sup>24</sup>, R<sup>25</sup> and R<sup>26</sup>, which may be the same or different, represent C<sub>1</sub>–C<sub>4</sub> alkyl), and n represents an integer of from 1 to 5, and when n represents an integer of from 2 to 5, X may be the same or different]; Y represents hydrogen, C<sub>1</sub>–C<sub>6</sub> alkyl, C<sub>1</sub>–C<sub>4</sub> haloalkyl, halogen, hydroxy, C<sub>1</sub>–C<sub>4</sub> alkoxy, C<sub>1</sub>–C<sub>4</sub> haloalkoxy, C<sub>1</sub>–C<sub>3</sub> alkylene dioxy, phenoxy which may or may not be substituted with trifluoromethyl, a substituent of the formula, –S(O)<sub>q</sub>R<sup>27</sup> (in which R<sup>27</sup> represents C<sub>1</sub>–C<sub>3</sub> alkyl and q represents an integer of 0, 1 or 2), hydroxycarbonyl, C<sub>2</sub>–C<sub>5</sub> alkoxy carbonyl or a substituent of the formula,



(in which R<sup>28</sup> and R<sup>29</sup>, which may be the same or different, represent hydrogen, C<sub>1</sub>–C<sub>4</sub> alkyl, or benzyl which may or may not be substituted with C<sub>2</sub>–C<sub>6</sub> alkoxy carbonyl); Z<sup>1</sup> represents oxygen or sulfur; Z<sup>2</sup> represents oxygen, sulfur or single bond; Q represents C<sub>1</sub>–C<sub>8</sub> alkylene, C<sub>1</sub>–C<sub>8</sub> alkylene substituted with halogen or phenyl, C<sub>3</sub>–C<sub>12</sub> alkenylene, C<sub>3</sub>–C<sub>12</sub> haloalkenylene or C<sub>3</sub>–C<sub>6</sub> alkylene; and m represents an integer of from 1 to 3, and when m represents an integer of 2 or 3, Y may be the same or different; and the method of controlling said pests by using the same oxime derivative.



European Patent  
Office

# EUROPEAN SEARCH REPORT

0234045

Application Number

EP 86 11 8020

| DOCUMENTS CONSIDERED TO BE RELEVANT  |   |   |   |
|--|---|---|---|
| Category   | Citation of document with indication, where appropriate, of relevant passages | Relevant to claim   | CLASSIFICATION OF THE APPLICATION (Int. Cl. 4)  |
| A  | US-A-4 477 462 (AOYAGI)<br>-----  |   | C 07 D 231/20<br>C 07 D 231/18<br>C 07 D 231/22<br>C 07 D 405/12<br>C 07 D 409/12<br>C 07 D 413/12<br>C 07 D 401/12<br>A 01 N 43/56<br>C 07 F 7/18<br>C 07 F 7/08 |
|  |   |   |   |
| TECHNICAL FIELDS SEARCHED (Int. Cl.4)  |   |   |   |
| C 07 D 231/00<br>C 07 D 405/00<br>C 07 D 409/00<br>C 07 D 413/00<br>C 07 D 401/00<br>A 01 N 43/00<br>C 07 F 7/00   |   |   |   |
|  |   |   |   |
| The present search report has been drawn up for all claims   |   |   |   |
| Place of search  | Date of completion of the search  | Examiner  |   |
| THE HAGUE  | 12-11-1987  | DE BUYSER I.A.F.  |   |
| CATEGORY OF CITED DOCUMENTS  |   | T : theory or principle underlying the invention<br>E : earlier patent document, but published on, or<br>after the filing date<br>D : document cited in the application<br>L : document cited for other reasons<br>.....<br>& : member of the same patent family, corresponding<br>document |   |
| X : particularly relevant if taken alone<br>Y : particularly relevant if combined with another<br>document of the same category<br>A : technological background<br>O : non-written disclosure<br>P : intermediate document |   |   |   |

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